```
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 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  FEB 27   New STN AnaVist pricing effective March 1, 2006
 NEWS  4  APR 04   STN AnaVist $500 visualization usage credit offered
 NEWS  5  MAY 10   CA/CAplus enhanced with 1900-1906 U.S. patent records
 NEWS  6  MAY 11   KOREAPAT updates resume
 NEWS  7  MAY 19   Derwent World Patents Index to be reloaded and enhanced
 NEWS  8  MAY 30   IPC 8 Rolled-up Core codes added to CA/CAplus and
                   USPATFULL/USPAT2
 NEWS  9  MAY 30   The F-Term thesaurus is now available in CA/CAplus
 NEWS 10  JUN 02   The first reclassification of IPC codes now complete in
                   INPADOC
 NEWS 11  JUN 26   TULSA/TULSA2 reloaded and enhanced with new search and
                   and display fields
 NEWS 12  JUN 28   Price changes in full-text patent databases EPFULL and PCTFULL
 NEWS 13  JUl 11   CHEMSAFE reloaded and enhanced
 NEWS 14  JUl 14   FSTA enhanced with Japanese patents
 NEWS 15  JUl 19   Coverage of Research Disclosure reinstated in DWPI
 NEWS 16  AUG 09   INSPEC enhanced with 1898-1968 archive

 NEWS EXPRESS  JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:52:02 ON 24 AUG 2006

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21
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FILE 'REGISTRY' ENTERED AT 14:52:40 ON 24 AUG 2006

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4
DICTIONARY FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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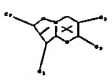
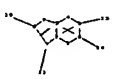
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10762959.str





chain nodes :
10 11 13 14
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
5-13 6-14 7-11 8-10
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 5-13 6-14 7-8 7-11 8-9 8-10

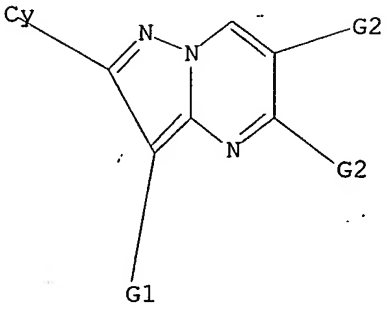
G1:Cl,Br,F,I,Cb

G2:C,H,O,Cl,Br,F,I

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 13:CLASS 14:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Cl,Br,F,I,Cb
G2 C,H,O,Cl,Br,F,I

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 14:52:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4370 TO ITERATE

45.8% PROCESSED 2000 ITERATIONS 8 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 83436 TO 91364
PROJECTED ANSWERS: 99 TO 599

L2 8 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:53:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 87505 TO ITERATE

100.0% PROCESSED 87505 ITERATIONS 299 ANSWERS
SEARCH TIME: 00.00.02

L3 299 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 166.94 167.15

FILE 'CAPLUS' ENTERED AT 14:53:15 ON 24 AUG 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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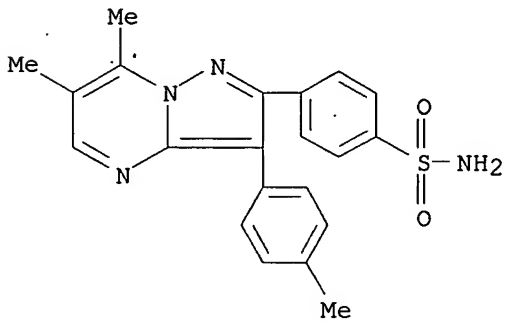
FILE COVERS 1907 - 24 Aug 2006 VOL 145 ISS 9
FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

=> s l3
L4 38 L3

=> d l4 ibib hitstr abs 1-38

L4 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:198071 CAPLUS
DOCUMENT NUMBER: 144:425021
TITLE: Scaffold-hopping potential of ligand-based similarity concepts
AUTHOR(S): Renner, Steffen; Schneider, Gisbert
CORPORATE SOURCE: Beilstein Endowed Chair for Cheminformatics Institute of Organic Chemistry & Chemical Biology, Johann Wolfgang Goethe University, Frankfurt, 60323, Germany
SOURCE: ChemMedChem (2006), 1(2), 181-185
CODEN: CHEMGX; ISSN: 1860-7179
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 328554-39-8
RL: PAC (Pharmacological activity); BIOL (Biological study)
(COX2 inhibitor; scaffold-hopping potential of ligand-based similarity concepts for virtual drug screening using topol. and three-dimensional and mol.-surface-based pharmacophore pair descriptors and substructure fingerprint method)
RN 328554-39-8 CAPLUS
CN Benzenesulfonamide, 4-[6,7-dimethyl-3-(4-methylphenyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



AB The scaffold-hopping efficiency of topol., three-dimensional, and mol.-surface-based pharmacophore pair descriptors (CATS) is compared with a popular substructure fingerprint method (MACCS). Both MACCS and CATS are suited for retrospective scaffold retrieval. For more diverse ligand classes, the pharmacophore-based CATS descriptors slightly outperformed substructure MACCS keys. For the particular purpose of scaffold hopping, a reasonable strategy may be to use more generalized mol. representations

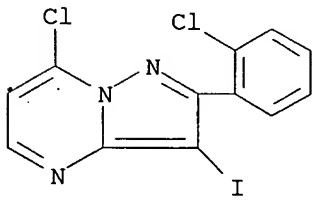
like pharmacophore descriptors.
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1171548 CAPLUS
DOCUMENT NUMBER: 143:422367
TITLE: Preparation of pyrazolo[1,5-a]pyrimidin-7-ones as cannabinoid CB1 receptor antagonists.
INVENTOR(S): Griffith, David Andrew
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

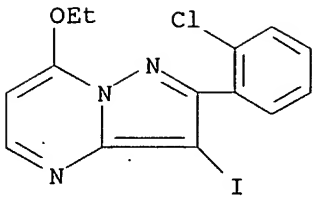
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005103052	A1	20051103	WO 2005-IB991	20050411
WO 2005103052	C1	20060413		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

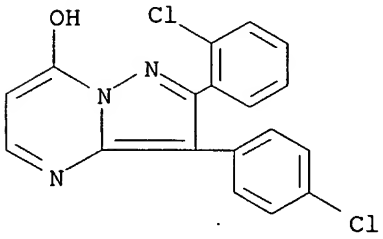
PRIORITY APPLN. INFO.: US 2004-564648P P 20040421
OTHER SOURCE(S): MARPAT 143:422367
IT 737827-54-2P 737827-65-5P 737827-66-6P
737827-67-7P 737827-68-8P 737827-69-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidinones as cannabinoid CB1 receptor antagonists)
RN 737827-54-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-chloro-2-(2-chlorophenyl)-3-iodo- (9CI) (CA INDEX NAME)



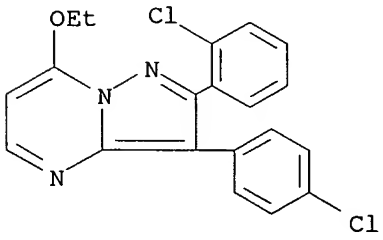
RN 737827-65-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-7-ethoxy-3-iodo- (9CI) (CA INDEX NAME)



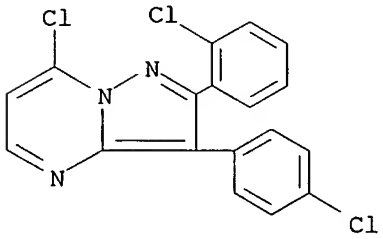
RN 737827-66-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-ol, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-
(9CI) (CA INDEX NAME)



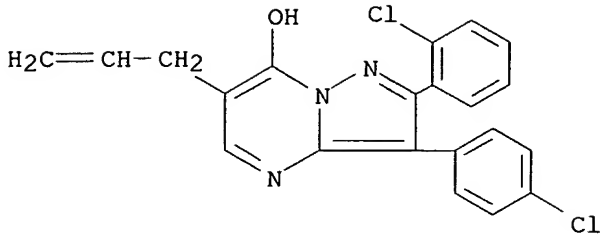
RN 737827-67-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-ethoxy-
(9CI) (CA INDEX NAME)



RN 737827-68-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-chloro-2-(2-chlorophenyl)-3-(4-chlorophenyl)-
(9CI) (CA INDEX NAME)



RN 737827-69-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-ol, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-(2-
propenyl)- (9CI) (CA INDEX NAME)



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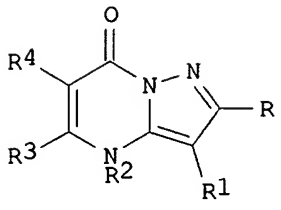
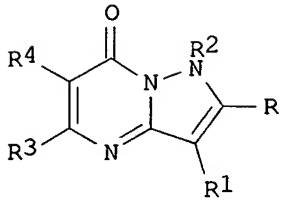


I



II

AB Title compds. [I, II; R = (substituted) aryl; R1 = R1a, CH:CHR1a; R1a = (substituted) alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl; R2 = alkyl, haloalkyl; R3 = H, (substituted) alkyl, alkoxy; R4 = H, (substituted) alkyl, alkoxy, alkylaminoalkyl, aralkyl, alkenyl, aryl, heteroaryl, carbocyclyl, heteroarylalkyl, lactone, lactam], were prepared Thus, 3-(4-chlorophenyl)-2-(2-chlorophenyl)-1-methyl-7-oxo-1,7-dihydropyrazolo[1,5-a]pyrimidine-6-carboxaldehyde (preparation given) 2,2-difluoropropylamine hydrochloride, Et3N, HOAc, NaOAc, and NaBH3CN were stirred together overnight in MeOH to give 83% 3-(4-chlorophenyl)-2-(2-chlorophenyl)-6-[(2,2-difluoropropylamino)methyl]-1-methyl-1H-pyrazolo[1,5-a]pyrimidin-7-one. I showed CB-1 receptor binding activity in the range of 3-305 nM.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:160837 CAPLUS
DOCUMENT NUMBER: 142:233372
TITLE: Pharmaceutical composition using a combination of an opioid receptor antagonist and a CB-1 receptor antagonist for the prevention and treatment of addiction in a mammal
INVENTOR(S): Coe, Jotham Wadsworth; Iredale, Philip A.; McHardy, Stanton Furst; McLean, Stafford
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043327	A1	20050224	US 2004-870209	20040617
CA 2536280	AA	20050303	CA 2004-2536280	20040809
WO 2005018645	A1	20050303	WO 2004-IB2596	20040809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

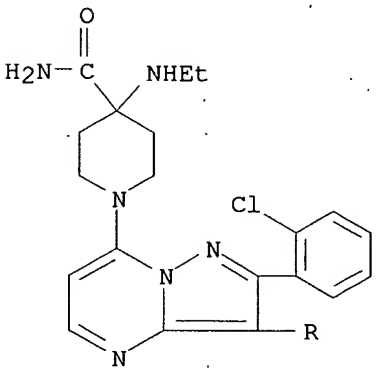
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

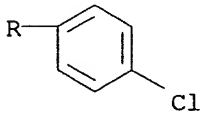
EP 1658082 A1 20060524 EP 2004-744231 20040809
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

PRIORITY APPLN. INFO.: US 2003-496803P P 20030821
WO 2004-IB2596 W 20040809

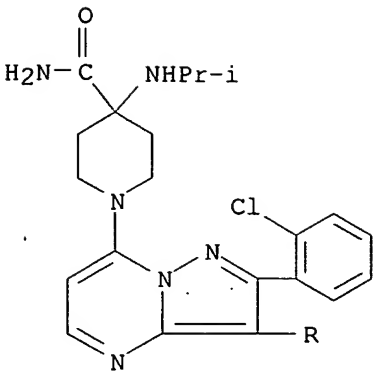
IT 737827-71-3 737827-73-5 737827-74-6
737827-77-9 737827-81-5 737827-84-8
737828-12-5 737828-13-6 737828-23-8
737828-25-0 845670-46-4 845670-47-5
845670-48-6 845670-49-7 845670-50-0
845670-51-1 845670-52-2 845670-53-3
845670-54-4 845670-55-5 845670-56-6
845670-57-7 845670-58-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opioid receptor antagonist-CB-1 receptor antagonist combination for prevention and treatment of addiction)

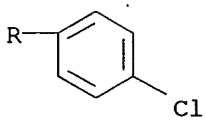
RN 737827-71-3 CAPLUS
CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)- (9CI) (CA INDEX NAME)



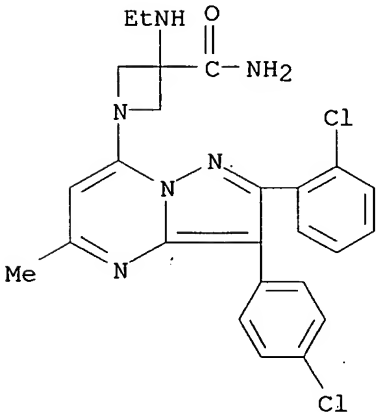


RN 737827-73-5 CAPLUS
CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)amino]- (9CI) (CA INDEX NAME)

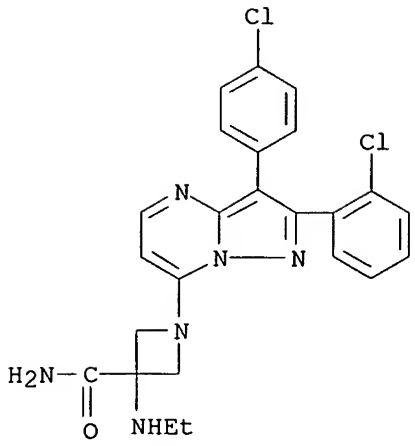




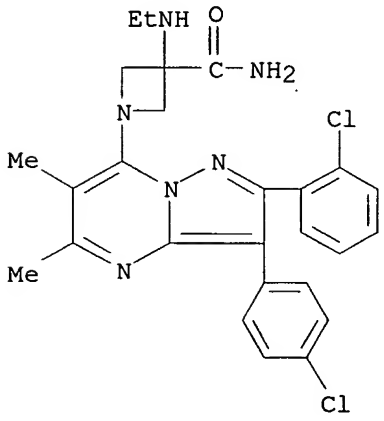
RN 737827-74-6 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



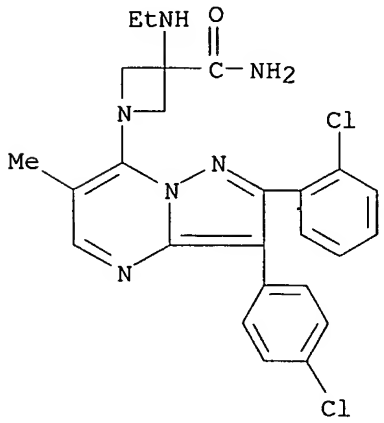
RN 737827-77-9 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



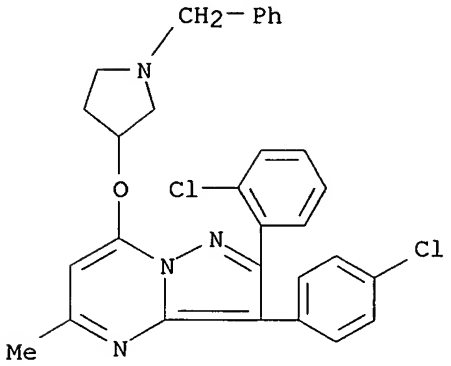
RN 737827-81-5 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



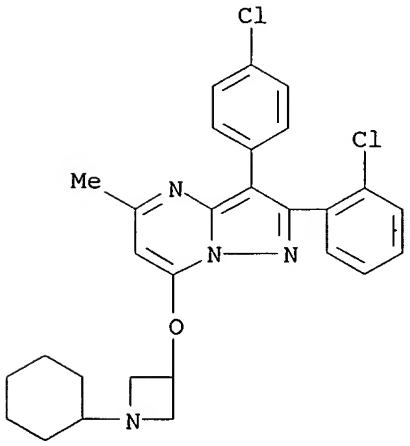
RN 737827-84-8 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



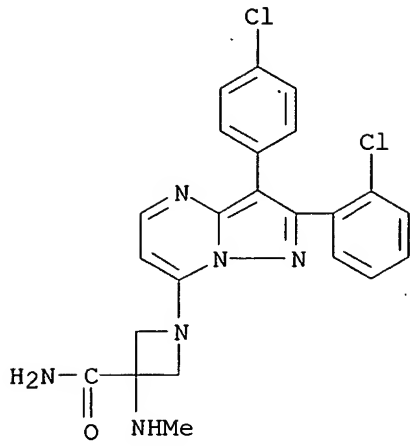
RN 737828-12-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-[[1-(phenylmethyl)-3-pyrrolidinyl]oxy]- (9CI) (CA INDEX NAME)



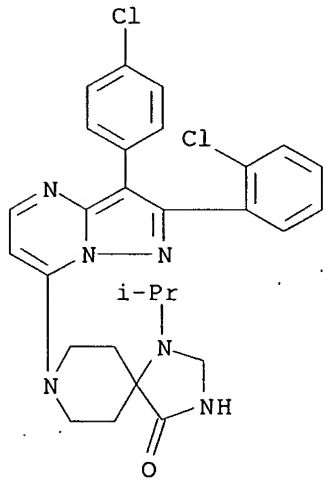
RN 737828-13-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-[(1-cyclohexyl-3-azetidinyl)oxy]-5-methyl- (9CI) (CA INDEX NAME)



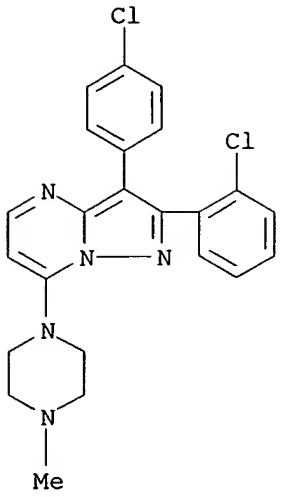
RN 737828-23-8 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)



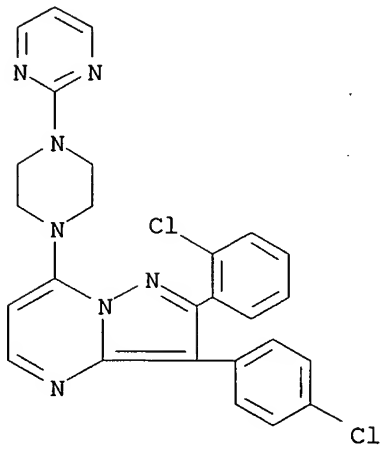
RN 737828-25-0 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 845670-46-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

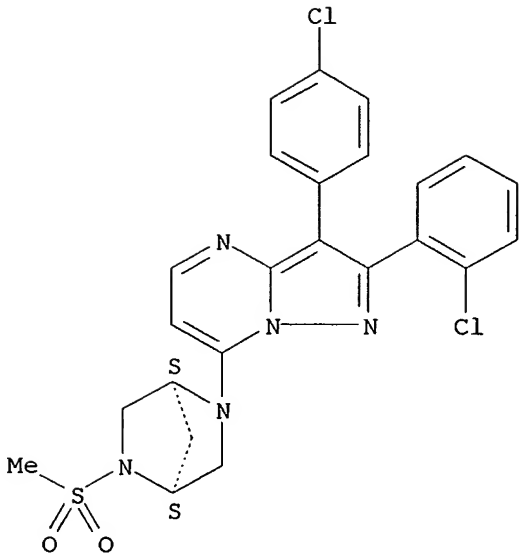


RN 845670-47-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

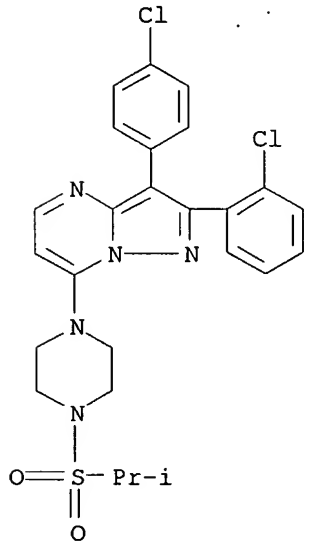


RN 845670-48-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-[(1S,4S)-5-(methylsulfonyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]- (9CI) (CA INDEX NAME)

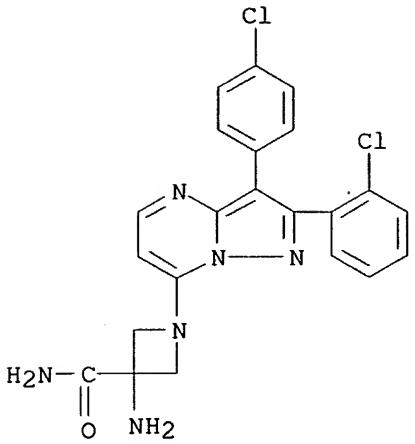
Absolute stereochemistry.



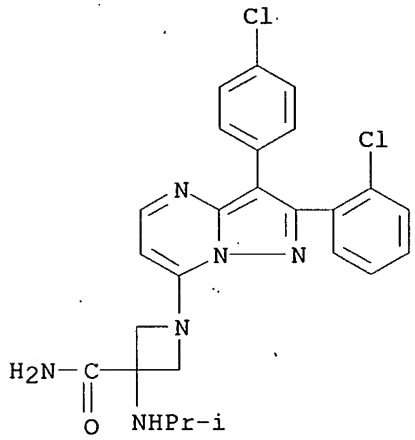
RN 845670-49-7 CAPLUS
CN Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



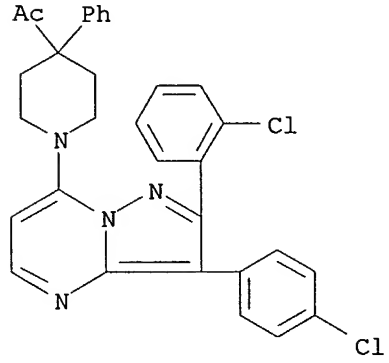
RN 845670-50-0 CAPLUS
CN 3-Azetidinecarboxamide, 3-amino-1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 845670-51-1 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-[(1-methylethyl)amino]- (9CI) (CA INDEX NAME)



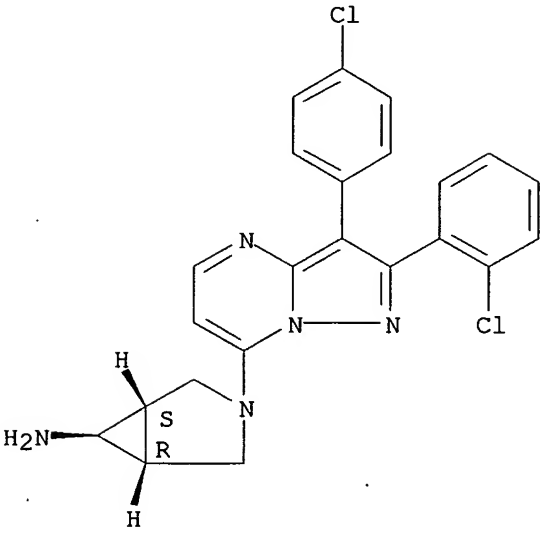
RN 845670-52-2 CAPLUS
CN Ethanone, 1-[1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-phenyl-4-piperidinyl]- (9CI) (CA INDEX NAME)



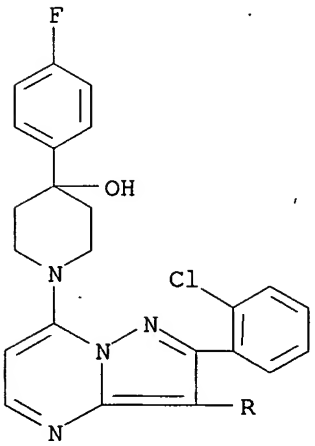
RN 845670-53-3 CAPLUS
CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(2-chlorophenyl)-3-(4-

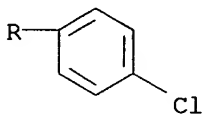
chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-, (1α,5α,6α)-
(9CI) (CA INDEX NAME)

Relative stereochemistry.

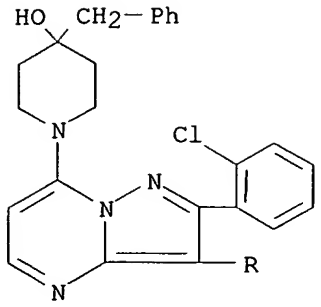


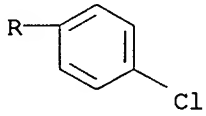
RN 845670-54-4 CAPLUS
CN 4-Piperidinol, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



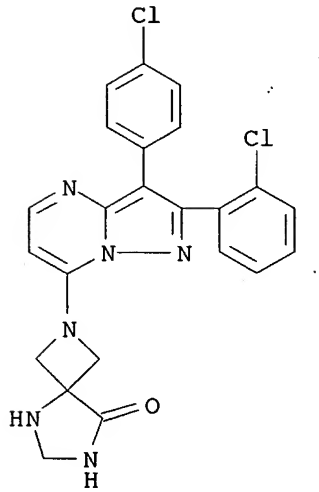


RN 845670-55-5 CAPLUS
CN 4-Piperidinol, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

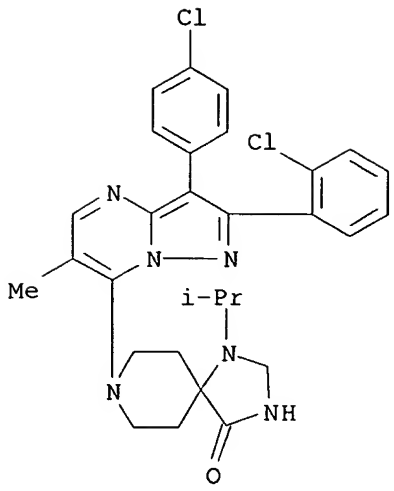




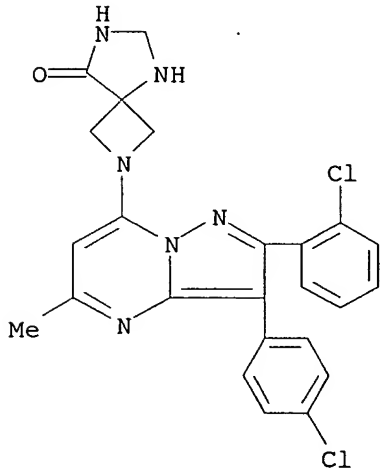
RN 845670-56-6 CAPLUS
CN 2,5,7-Triazaspiro[3.4]octan-8-one, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



RN 845670-57-7 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 845670-58-8 CAPLUS
CN 2,5,7-Triazaspiro[3.4]octan-8-one, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



AB Pharmaceutical compns. are disclosed for the treatment of alc. or cocaine dependence or addiction, tobacco dependence or addiction, reduction of alc. withdrawal symptoms or aiding in the cessation or lessening of alc. use or substance abuse or other behavioral dependencies including gambling. The pharmaceutical compns. are comprised of a therapeutically effective combination of an opioid receptor antagonist and a CB-1 receptor antagonist and a pharmaceutically acceptable carrier. The method of using these compds. is also disclosed.

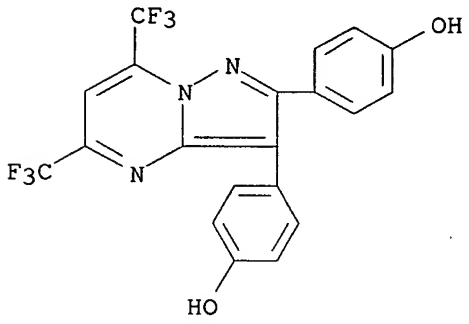
L4 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:106585 CAPLUS
DOCUMENT NUMBER: 143:440360
TITLE: Pyrazolo[1,5-a]pyrimidines: estrogen receptor ligands possessing estrogen receptor β antagonist activity. [Erratum to document cited in CA142:038212]
AUTHOR(S): Compton, Dennis R.; Sheng, Shubin; Carlson, Kathryn E.; Rebacz, Natalie A.; Lee, In Young; Katzenellenbogen, Benita S.; Katzenellenbogen, John A.

CORPORATE SOURCE: Department of Chemistry, University of Illinois, Urbana, IL, 61801, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(7), 2724
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 805239-57-0P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(mol. modeling; preparation of pyrazolopyrimidines as estrogen receptor ligands possessing estrogen receptor β antagonist activity (Erratum))

RN 805239-57-0 CAPLUS

CN Phenol, 4,4'-[5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidine-2,3-diyl]bis- (9CI) (CA INDEX NAME)



IT 433239-86-2P 805239-02-5P 805239-13-8P
805239-19-4P 805239-40-1P 805239-41-2P
805239-42-3P 805239-43-4P 805239-44-5P
805239-45-6P 805239-46-7P 805239-47-8P
805239-48-9P 805239-49-0P 805239-50-3P
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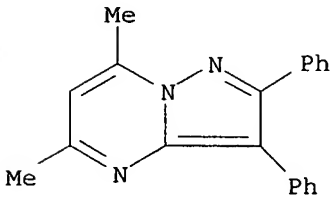
805239-58-1P 805239-59-2P 805239-60-5P
805239-61-6P 805239-62-7P 805239-63-8P
805239-64-9P 805239-65-0P 805239-66-1P
805239-67-2P 805239-68-3P 805239-69-4P
805239-70-7P 805239-71-8P 805239-72-9P
805239-73-0P 805239-74-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pyrazolopyrimidines as estrogen receptor ligands possessing estrogen receptor β antagonist activity (Erratum))

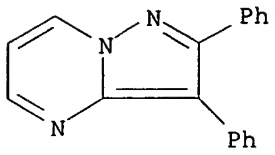
RN 433239-86-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 5,7-dimethyl-2,3-diphenyl- (9CI) (CA INDEX NAME)

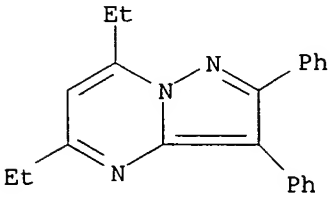


RN 805239-02-5 CAPLUS

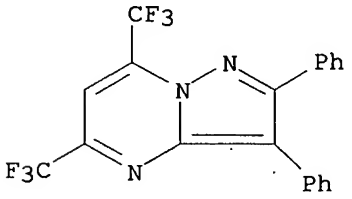
CN Pyrazolo[1,5-a]pyrimidine, 2,3-diphenyl- (9CI) (CA INDEX NAME)



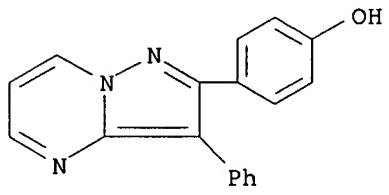
RN 805239-13-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-2,3-diphenyl- (9CI) (CA INDEX NAME)



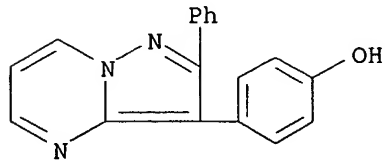
RN 805239-19-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-diphenyl-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



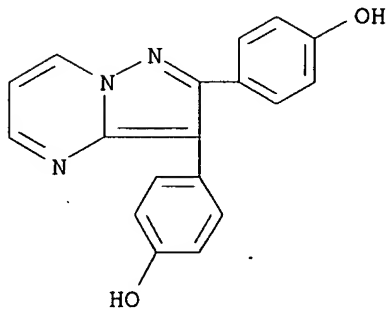
RN 805239-40-1 CAPLUS
CN Phenol, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



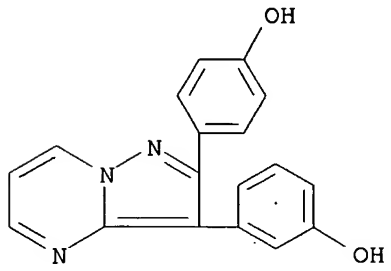
RN 805239-41-2 CAPLUS
CN Phenol, 4-(2-phenylpyrazolo[1,5-a]pyrimidin-3-yl)- (9CI) (CA INDEX NAME)



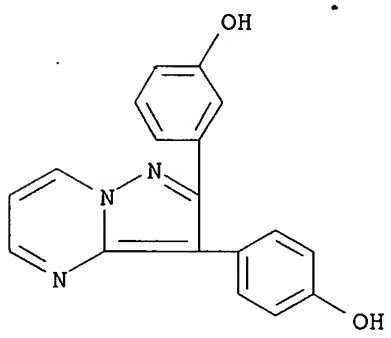
RN 805239-42-3 CAPLUS
CN Phenol, 4,4'-pyrazolo[1,5-a]pyrimidine-2,3-diylbis- (9CI) (CA INDEX NAME)



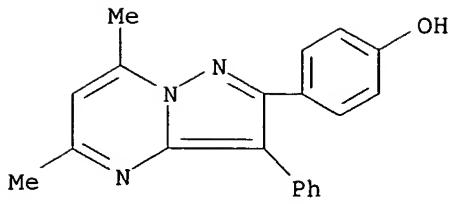
RN 805239-43-4 CAPLUS
CN Phenol, 3-[2-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



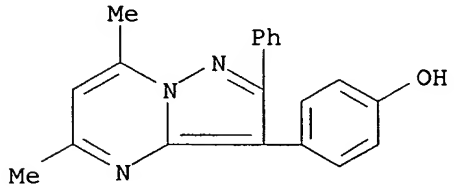
RN 805239-44-5 CAPLUS
CN Phenol, 3-[3-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



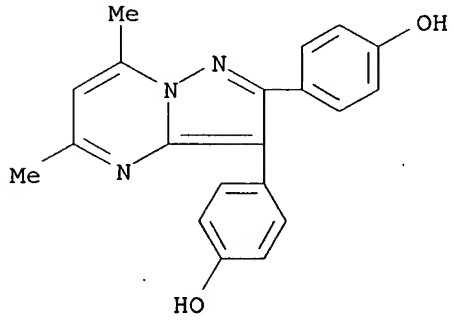
RN 805239-45-6 CAPLUS
CN Phenol, 4-(5,7-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



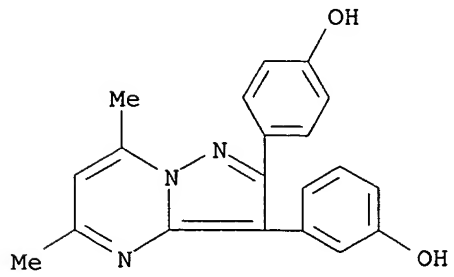
RN 805239-46-7 CAPLUS
CN Phenol, 4-(5,7-dimethyl-2-phenylpyrazolo[1,5-a]pyrimidin-3-yl)- (9CI) (CA INDEX NAME)



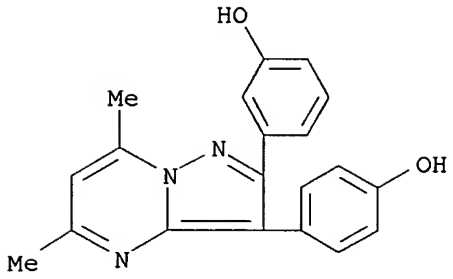
RN 805239-47-8 CAPLUS
CN Phenol, 4,4'-(5,7-dimethylpyrazolo[1,5-a]pyrimidine-2,3-diyl)bis- (9CI) (CA INDEX NAME)



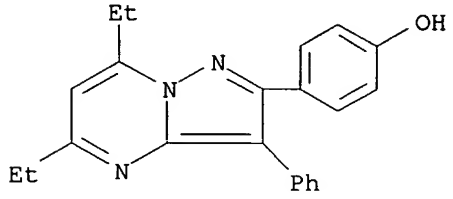
RN 805239-48-9 CAPLUS
CN Phenol, 3-[2-(4-hydroxyphenyl)-5,7-dimethylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



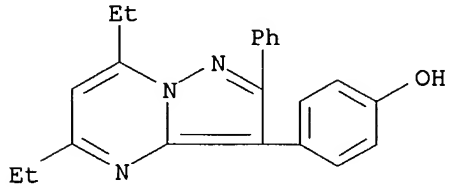
RN 805239-49-0 CAPLUS
CN Phenol, 3-[3-(4-hydroxyphenyl)-5,7-dimethylpyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



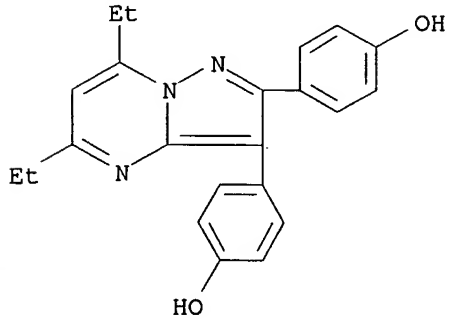
RN 805239-50-3 CAPLUS
CN Phenol, 4-(5,7-diethyl-3-phenylpyrazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



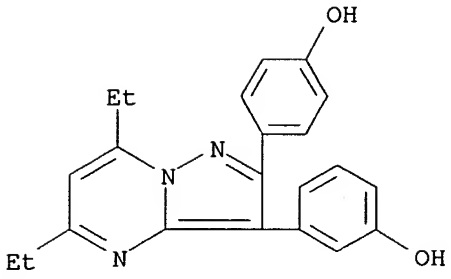
RN 805239-51-4 CAPLUS
CN Phenol, 4-(5,7-diethyl-2-phenylpyrazolo[1,5-a]pyrimidin-3-yl)- (9CI) (CA INDEX NAME)



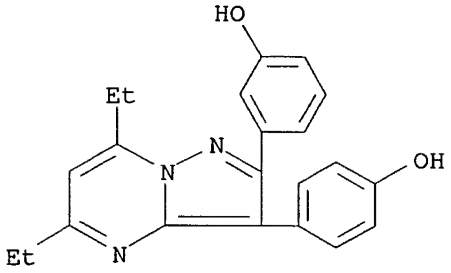
RN 805239-52-5 CAPLUS
CN Phenol, 4,4'-(5,7-diethylpyrazolo[1,5-a]pyrimidine-2,3-diyl)bis- (9CI) (CA INDEX NAME)



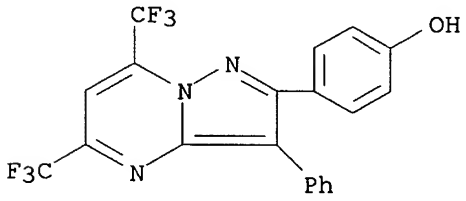
RN 805239-53-6 CAPLUS
CN Phenol, 3-[5,7-diethyl-2-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



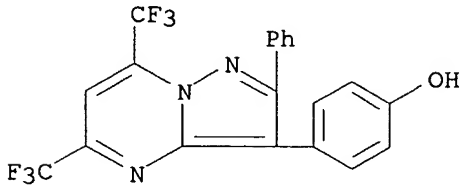
RN 805239-54-7 CAPLUS
CN Phenol, 3-[5,7-diethyl-3-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



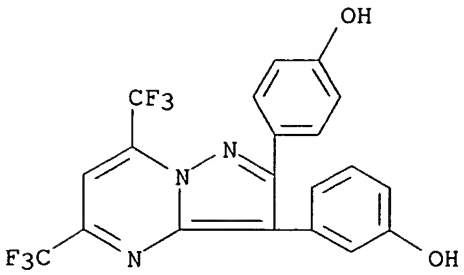
RN 805239-55-8 CAPLUS
CN Phenol, 4-[3-phenyl-5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



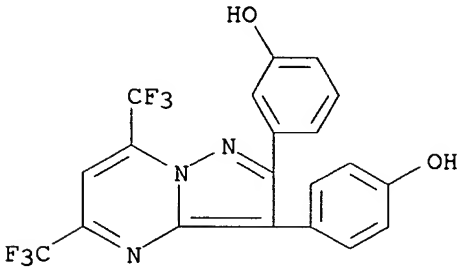
RN 805239-56-9 CAPLUS
CN Phenol, 4-[2-phenyl-5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



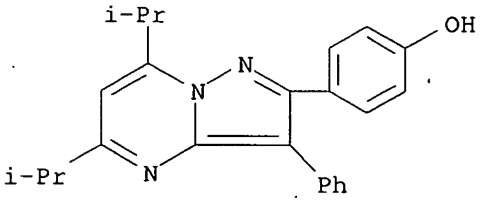
RN 805239-58-1 CAPLUS
CN Phenol, 3-[2-(4-hydroxyphenyl)-5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



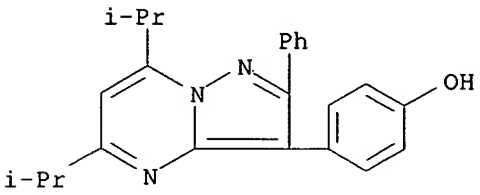
RN 805239-59-2 CAPLUS
CN Phenol, 3-[3-(4-hydroxyphenyl)-5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



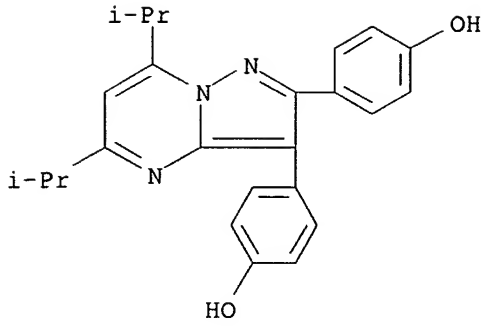
RN 805239-60-5 CAPLUS
CN Phenol, 4-[5,7-bis(1-methylethyl)-3-phenylpyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



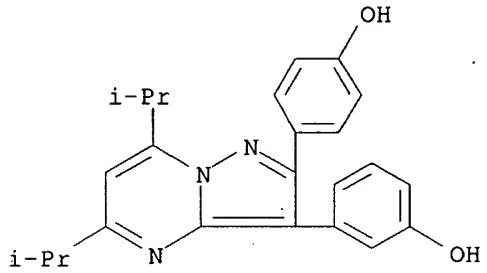
RN 805239-61-6 CAPLUS
CN Phenol, 4-[5,7-bis(1-methylethyl)-2-phenylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



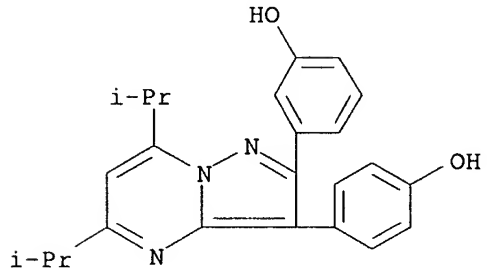
RN 805239-62-7 CAPLUS
CN Phenol, 4,4'-[5,7-bis(1-methylethyl)pyrazolo[1,5-a]pyrimidine-2,3-diyl]bis- (9CI) (CA INDEX NAME)



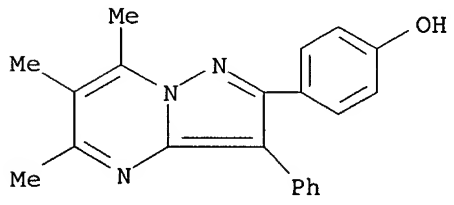
RN 805239-63-8 CAPLUS
CN Phenol, 3-[2-(4-hydroxyphenyl)-5,7-bis(1-methylethyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



RN 805239-64-9 CAPLUS
CN Phenol, 3-[3-(4-hydroxyphenyl)-5,7-bis(1-methylethyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)

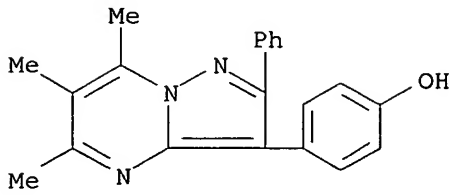


RN 805239-65-0 CAPLUS
CN Phenol, 4-(5,6,7-trimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)

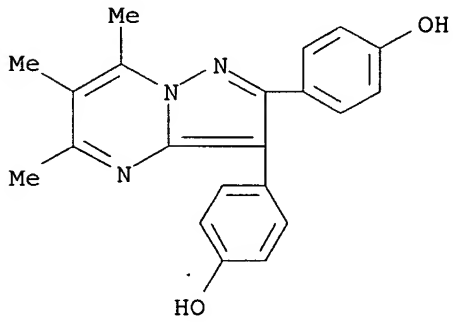


RN 805239-66-1 CAPLUS

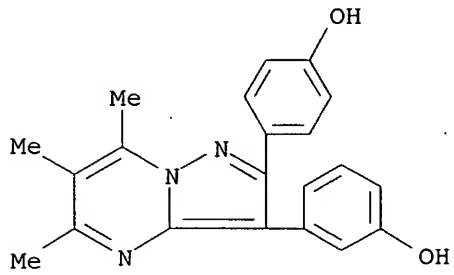
CN Phenol, 4-(5,6,7-trimethyl-2-phenylpyrazolo[1,5-a]pyrimidin-3-yl)- (9CI) (CA INDEX NAME)



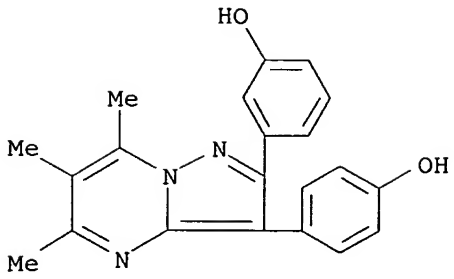
RN 805239-67-2 CAPLUS
CN Phenol, 4,4'-(5,6,7-trimethylpyrazolo[1,5-a]pyrimidine-2,3-diyl)bis- (9CI) (CA INDEX NAME)



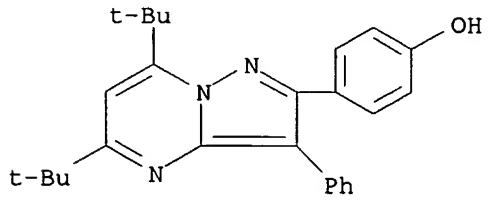
RN 805239-68-3 CAPLUS
CN Phenol, 3-[2-(4-hydroxyphenyl)-5,6,7-trimethylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



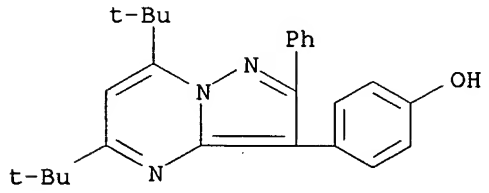
RN 805239-69-4 CAPLUS
CN Phenol, 3-[3-(4-hydroxyphenyl)-5,6,7-trimethylpyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



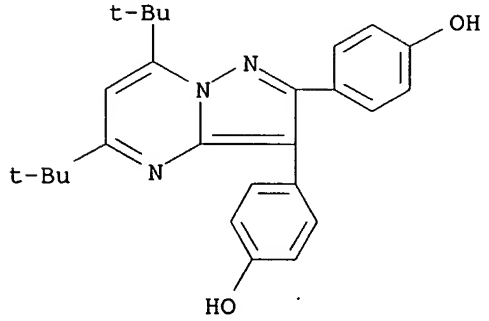
RN 805239-70-7 CAPLUS
CN Phenol, 4-[5,7-bis(1,1-dimethylethyl)-3-phenylpyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



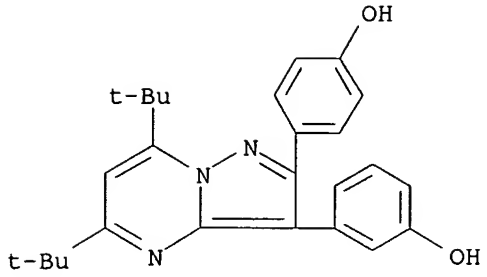
RN 805239-71-8 CAPLUS
CN Phenol, 4-[5,7-bis(1,1-dimethylethyl)-2-phenylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



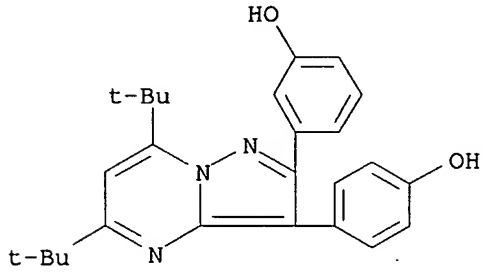
RN 805239-72-9 CAPLUS
CN Phenol, 4,4'-[5,7-bis(1,1-dimethylethyl)pyrazolo[1,5-a]pyrimidine-2,3-diyl]bis- (9CI) (CA INDEX NAME)



RN 805239-73-0 CAPLUS
CN Phenol, 3-[5,7-bis(1,1-dimethylethyl)-2-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

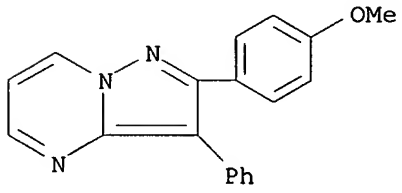


RN 805239-74-1 CAPLUS
CN Phenol, 3-[5,7-bis(1,1-dimethylethyl)-3-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)

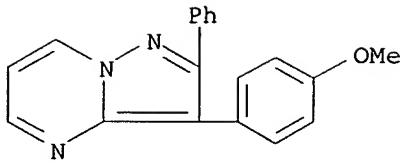


IT 805238-97-5P 805238-98-6P 805238-99-7P
805239-00-3P 805239-01-4P 805239-03-6P
805239-04-7P 805239-05-8P 805239-06-9P
805239-07-0P 805239-08-1P 805239-09-2P
805239-10-5P 805239-11-6P 805239-12-7P
805239-14-9P 805239-15-0P 805239-16-1P
805239-17-2P 805239-18-3P 805239-20-7P
805239-21-8P 805239-22-9P 805239-23-0P
805239-24-1P 805239-25-2P 805239-26-3P
805239-27-4P 805239-28-5P 805239-29-6P
805239-30-9P 805239-31-0P 805239-32-1P
805239-33-2P 805239-34-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as estrogen receptor ligands possessing estrogen receptor β antagonist activity (Erratum))

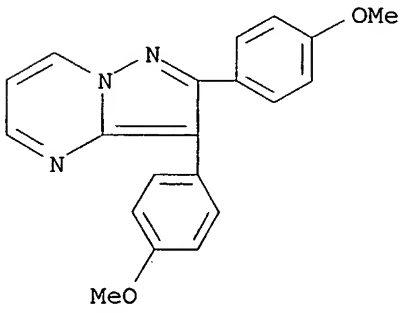
RN 805238-97-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-methoxyphenyl)-3-phenyl- (9CI) (CA INDEX NAME)



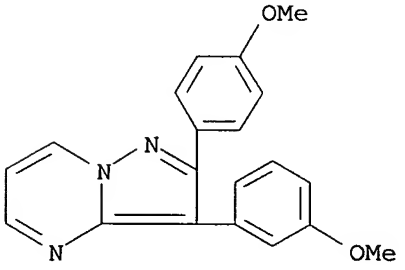
RN 805238-98-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



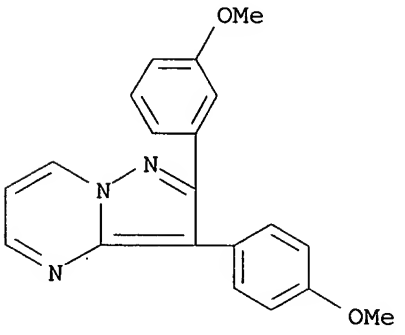
RN 805238-99-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



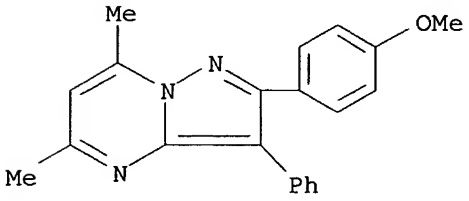
RN 805239-00-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



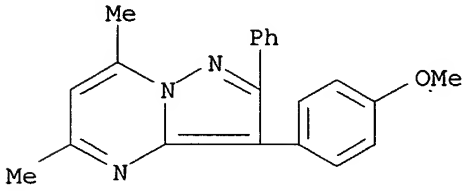
RN 805239-01-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(3-methoxyphenyl)-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



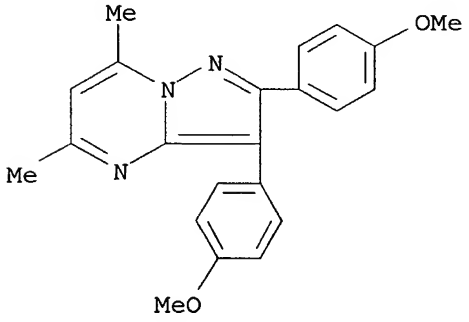
RN 805239-03-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-methoxyphenyl)-5,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



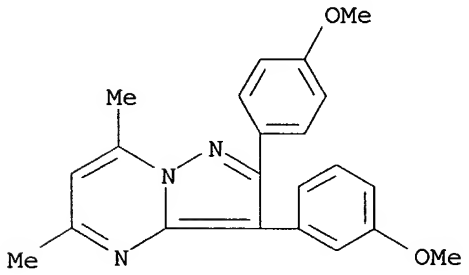
RN 805239-04-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-5,7-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



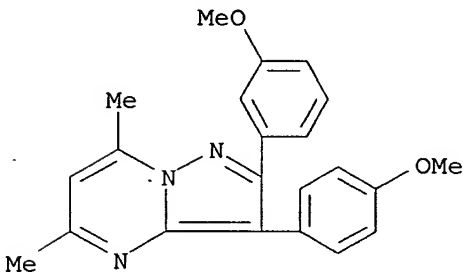
RN 805239-05-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-bis(4-methoxyphenyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



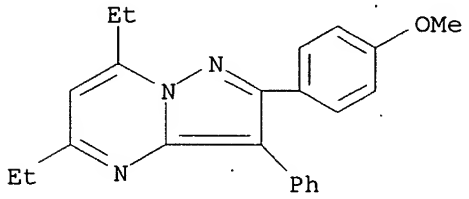
RN 805239-06-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-2-(4-methoxyphenyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



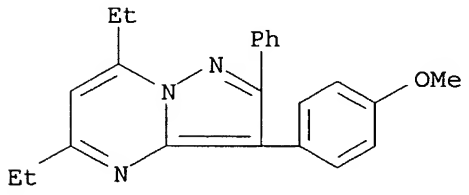
RN 805239-07-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(3-methoxyphenyl)-3-(4-methoxyphenyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



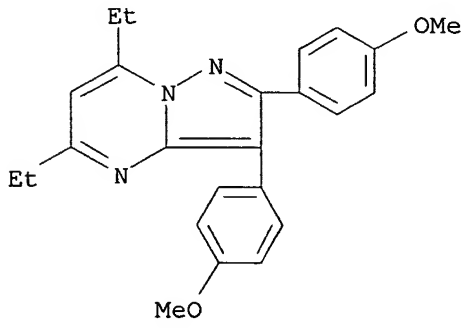
RN 805239-08-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-2-(4-methoxyphenyl)-3-phenyl- (9CI) (CA INDEX NAME)



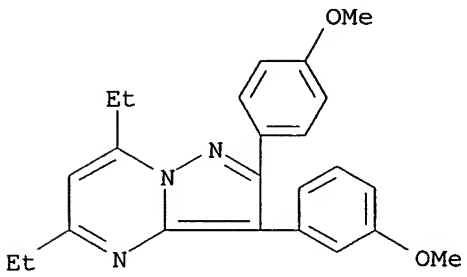
RN 805239-09-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-3-(4-methoxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



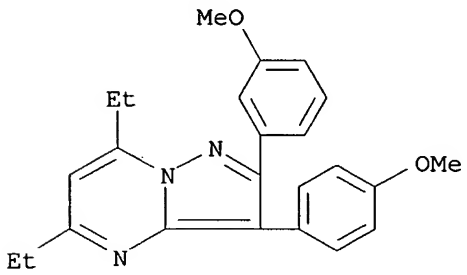
RN 805239-10-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-2,3-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



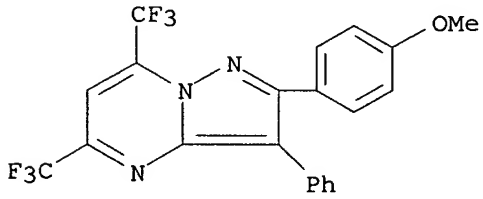
RN 805239-11-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-3-(3-methoxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



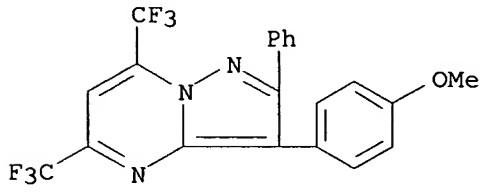
RN 805239-12-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-2-(3-methoxyphenyl)-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 805239-14-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-methoxyphenyl)-3-phenyl-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

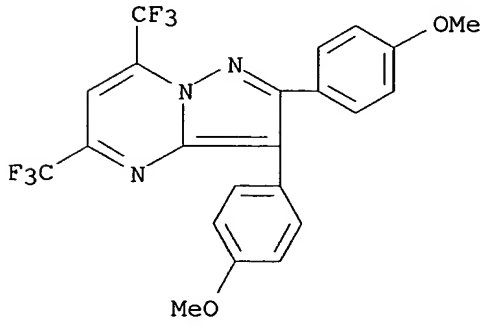


RN 805239-15-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-2-phenyl-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

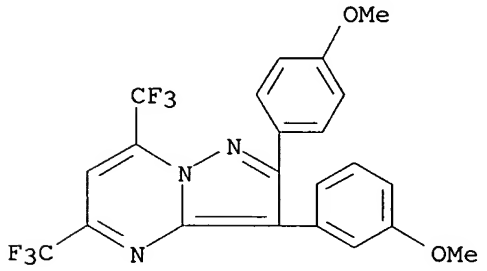


RN 805239-16-1 CAPLUS

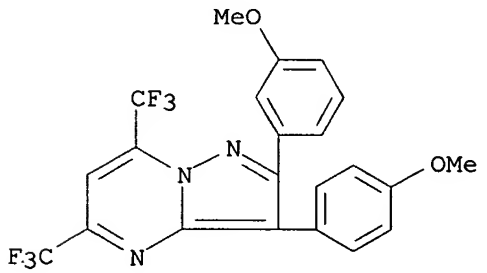
CN Pyrazolo[1,5-a]pyrimidine, 2,3-bis(4-methoxyphenyl)-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



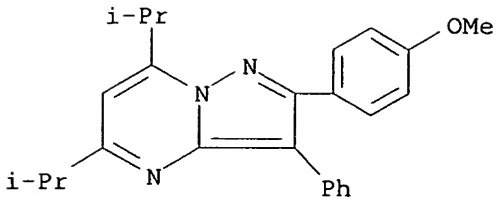
RN 805239-17-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-2-(4-methoxyphenyl)-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



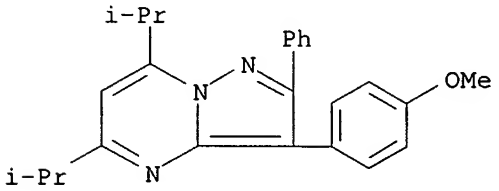
RN 805239-18-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(3-methoxyphenyl)-3-(4-methoxyphenyl)-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



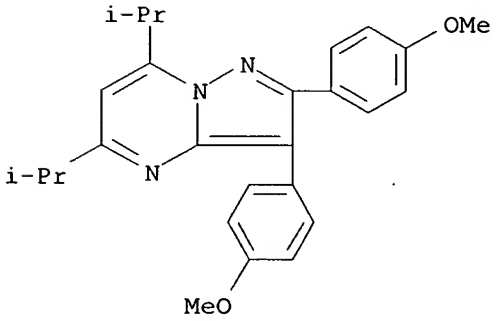
RN 805239-20-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-methoxyphenyl)-5,7-bis(1-methylethyl)-3-phenyl- (9CI) (CA INDEX NAME)



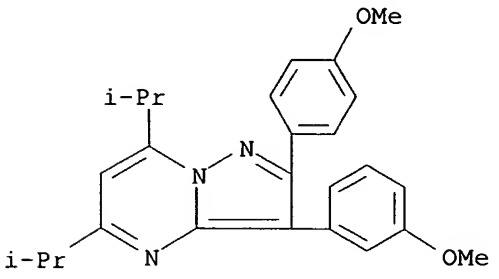
RN 805239-21-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-5,7-bis(1-methylethyl)-2-phenyl- (9CI) (CA INDEX NAME)



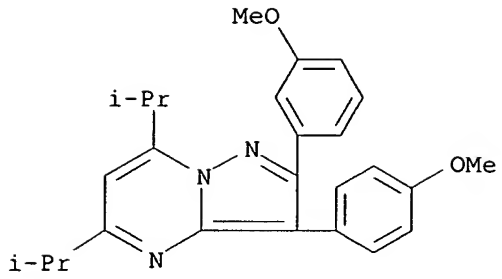
RN 805239-22-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-bis(4-methoxyphenyl)-5,7-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



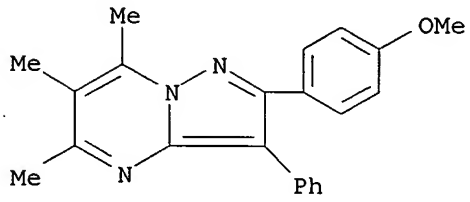
RN 805239-23-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-2-(4-methoxyphenyl)-5,7-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



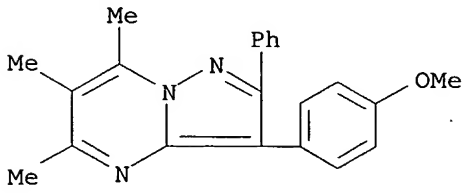
RN 805239-24-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(3-methoxyphenyl)-3-(4-methoxyphenyl)-5,7-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



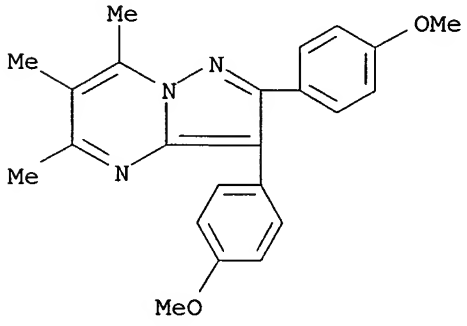
RN 805239-25-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-methoxyphenyl)-5,6,7-trimethyl-3-phenyl- (9CI) (CA INDEX NAME)



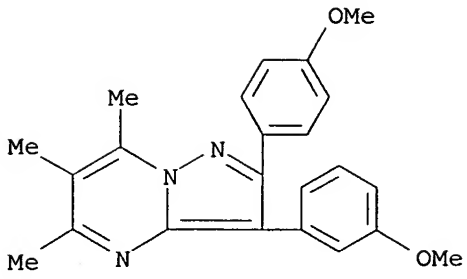
RN 805239-26-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-5,6,7-trimethyl-2-phenyl- (9CI) (CA INDEX NAME)



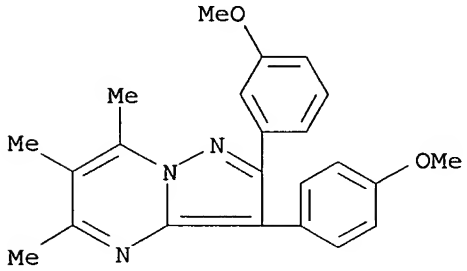
RN 805239-27-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-bis(4-methoxyphenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



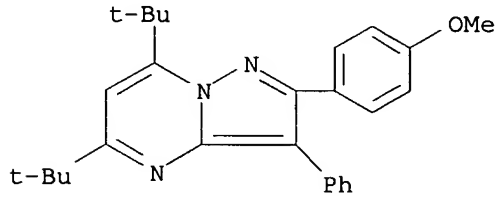
RN 805239-28-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-2-(4-methoxyphenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



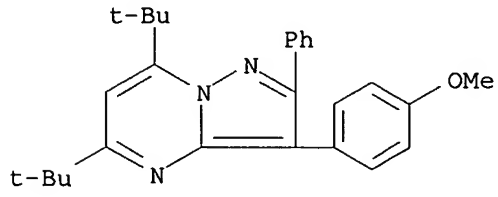
RN 805239-29-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(3-methoxyphenyl)-3-(4-methoxyphenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



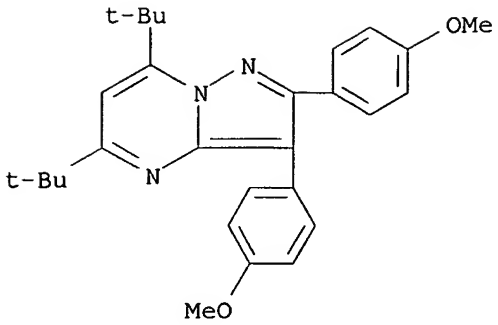
RN 805239-30-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-bis(1,1-dimethylethyl)-2-(4-methoxyphenyl)-3-phenyl- (9CI) (CA INDEX NAME)



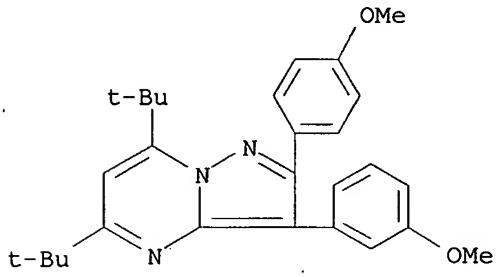
RN 805239-31-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-bis(1,1-dimethylethyl)-3-(4-methoxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



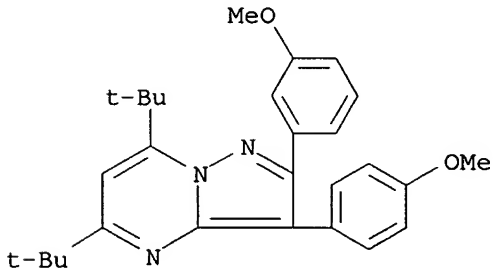
RN 805239-32-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-bis(1,1-dimethylethyl)-2,3-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 805239-33-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-bis(1,1-dimethylethyl)-3-(3-methoxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



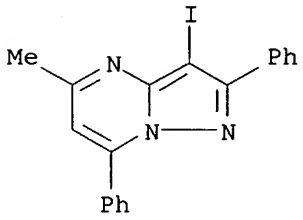
RN 805239-34-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-bis(1,1-dimethylethyl)-2-(3-methoxyphenyl)-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



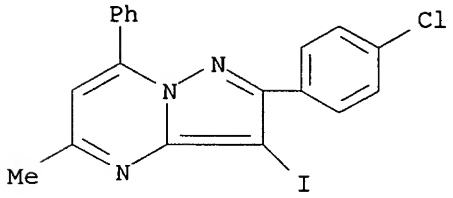
AB On page 5877, in Table 1, the correct values of ERα and ERβ for compound 24b are 0.01 ± 0.001 and 0.36 ± 0.01, resp.

L4 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:59110 CAPLUS
DOCUMENT NUMBER: 142:261500
TITLE: ω-Functionalized 3-alkynylpyrazolo[1,5-a]pyrimidines by Sonogashira coupling
AUTHOR(S): Yin, Lunxiang; Liebscher, Juergen
CORPORATE SOURCE: Institut fuer Chemie, Humboldt-Universitaet Berlin, Berlin, 12489, Germany
SOURCE: Synthesis (2005), (1), 131-135
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

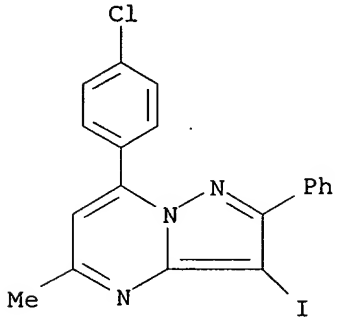
OTHER SOURCE(S): CASREACT 142:261500
IT 802983-72-8 802983-91-1 802983-93-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ω-functionalized 3-alkynylpyrazolo[1,5-a]pyrimidines by Sonogashira coupling of 3-iodopyrazolo[1,5-a]pyrimidines with propargylic and homopropargylic compds.)
RN 802983-72-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-iodo-5-methyl-2,7-diphenyl- (9CI) (CA INDEX NAME)



RN 802983-91-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-chlorophenyl)-3-iodo-5-methyl-7-phenyl- (9CI) (CA INDEX NAME)



RN 802983-93-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-(4-chlorophenyl)-3-iodo-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



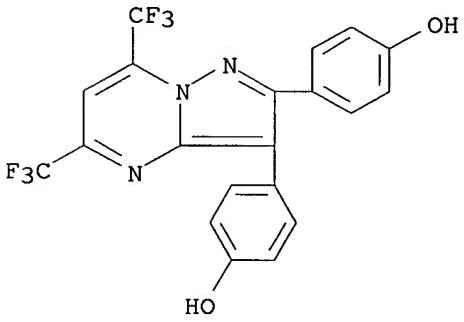
AB ω-Functionalized 3-alkynylpyrazolo[1,5-a]pyrimidines were synthesized via the Pd-C/CuI/PPh3-catalyzed Sonogashira coupling of 3-iodopyrazolo[1,5-a]pyrimidines with propargylic and homopropargylic compds. Subsequent Pd-C-catalyzed hydrogenation of the C-C triple bond afforded 3-(3-dimethylaminopropyl)pyrazolo[1,5-a]pyrimidines.
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:861024 CAPLUS

DOCUMENT NUMBER: 142:38212
TITLE: Pyrazolo[1,5-a]pyrimidines: estrogen receptor ligands possessing estrogen receptor β antagonist activity
AUTHOR(S): Compton, Dennis R.; Sheng, Shubin; Carlson, Kathryn E.; Rebacz, Natalie A.; Lee, In Young; Katzenellenbogen, Benita S.; Katzenellenbogen, John A.
CORPORATE SOURCE: Department of Chemistry, University of Illinois, Urbana, IL, 61801, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(24), 5872-5893
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:38212

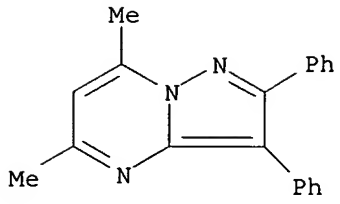
IT 805239-57-0P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(mol. modeling; preparation of pyrazolopyrimidines as estrogen receptor ligands possessing estrogen receptor β antagonist activity)

RN 805239-57-0 CAPLUS
CN Phenol, 4,4'-[5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidine-2,3-diyl]bis- (9CI) (CA INDEX NAME)

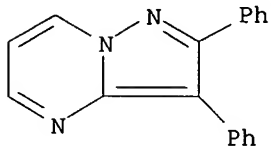


IT 433239-86-2P 805239-02-5P 805239-13-8P
805239-19-4P 805239-40-1P 805239-41-2P
805239-42-3P 805239-43-4P 805239-44-5P
805239-45-6P 805239-46-7P 805239-47-8P
805239-48-9P 805239-49-0P 805239-50-3P
805239-51-4P 805239-52-5P 805239-53-6P
805239-54-7P 805239-55-8P 805239-56-9P
805239-58-1P 805239-59-2P 805239-60-5P
805239-61-6P 805239-62-7P 805239-63-8P
805239-64-9P 805239-65-0P 805239-66-1P
805239-67-2P 805239-68-3P 805239-69-4P
805239-70-7P 805239-71-8P 805239-72-9P
805239-73-0P 805239-74-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pyrazolopyrimidines as estrogen receptor ligands possessing estrogen receptor β antagonist activity)

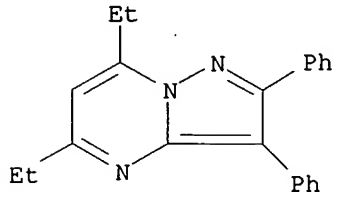
RN 433239-86-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-dimethyl-2,3-diphenyl- (9CI) (CA INDEX NAME)



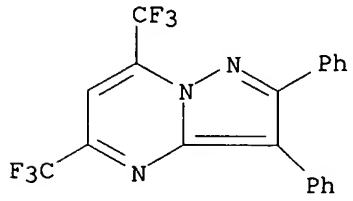
RN 805239-02-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-diphenyl- (9CI) (CA INDEX NAME)



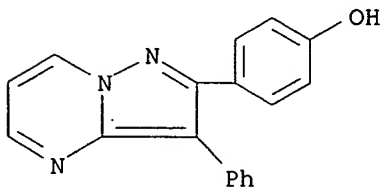
RN 805239-13-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-2,3-diphenyl- (9CI) (CA INDEX NAME)



RN 805239-19-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-diphenyl-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

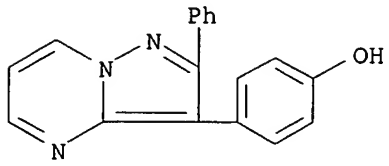


RN 805239-40-1 CAPLUS
CN Phenol, 4-(3-phenylpyrazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)

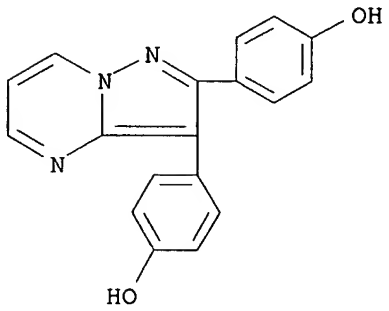


RN 805239-41-2 CAPLUS

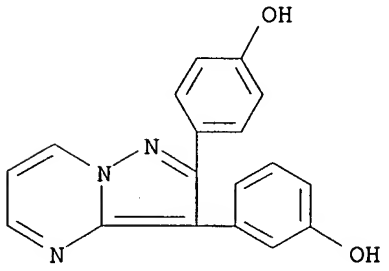
CN Phenol, 4-(2-phenylpyrazolo[1,5-a]pyrimidin-3-yl)- (9CI) (CA INDEX NAME)



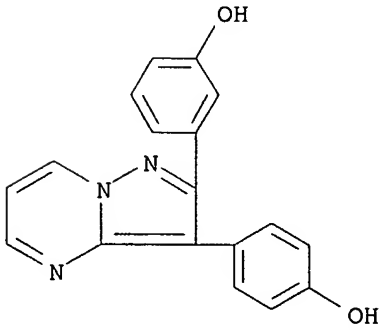
RN 805239-42-3 CAPLUS
CN Phenol, 4,4'-pyrazolo[1,5-a]pyrimidine-2,3-diylbis- (9CI) (CA INDEX NAME)



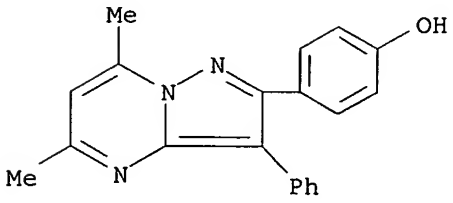
RN 805239-43-4 CAPLUS
CN Phenol, 3-[2-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



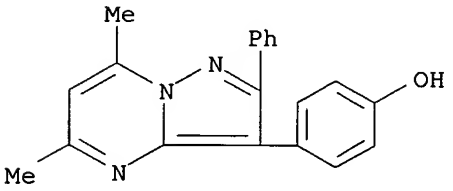
RN 805239-44-5 CAPLUS
CN Phenol, 3-[3-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



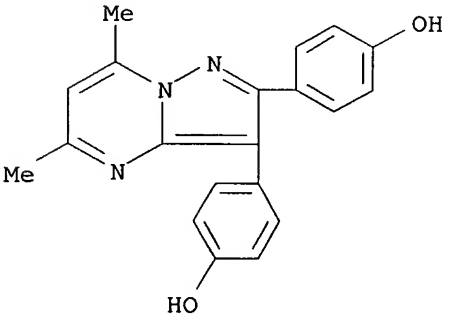
RN 805239-45-6 CAPLUS
CN Phenol, 4-(5,7-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



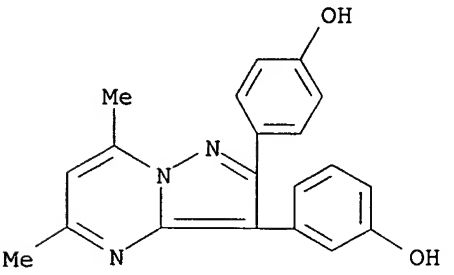
RN 805239-46-7 CAPLUS
CN Phenol, 4-(5,7-dimethyl-2-phenylpyrazolo[1,5-a]pyrimidin-3-yl)- (9CI) (CA INDEX NAME)



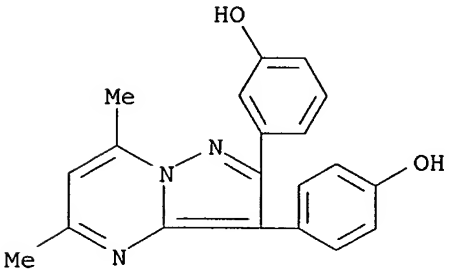
RN 805239-47-8 CAPLUS
CN Phenol, 4,4'-(5,7-dimethylpyrazolo[1,5-a]pyrimidine-2,3-diyl)bis- (9CI) (CA INDEX NAME)



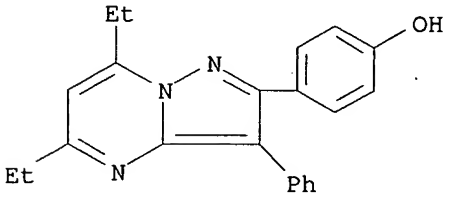
RN 805239-48-9 CAPLUS
CN Phenol, 3-[2-(4-hydroxyphenyl)-5,7-dimethylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



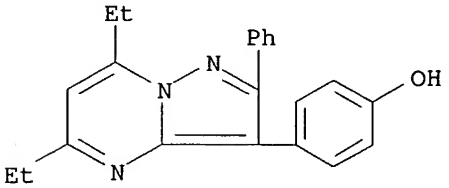
RN 805239-49-0 CAPLUS
CN Phenol, 3-[3-(4-hydroxyphenyl)-5,7-dimethylpyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



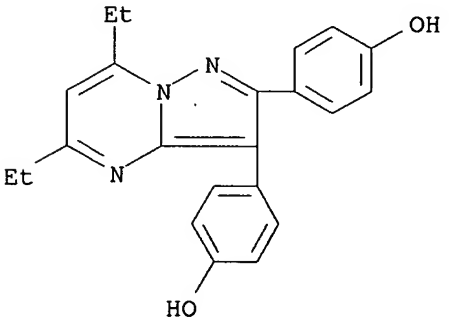
RN 805239-50-3 CAPLUS
CN Phenol, 4-(5,7-diethyl-3-phenylpyrazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



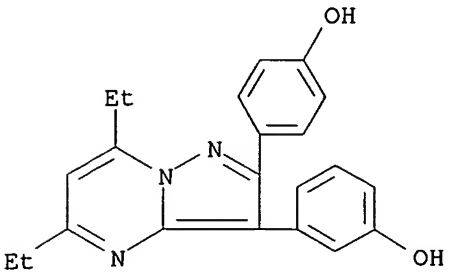
RN 805239-51-4 CAPLUS
CN Phenol, 4-(5,7-diethyl-2-phenylpyrazolo[1,5-a]pyrimidin-3-yl)- (9CI) (CA INDEX NAME)



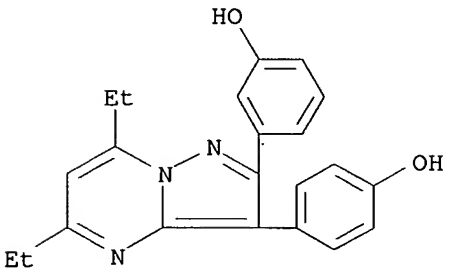
RN 805239-52-5 CAPLUS
CN Phenol, 4,4'-(5,7-diethylpyrazolo[1,5-a]pyrimidine-2,3-diyl)bis- (9CI) (CA INDEX NAME)



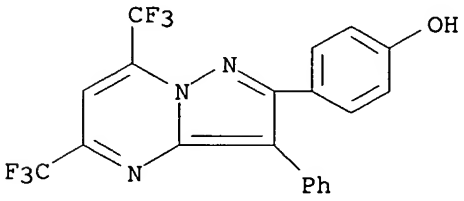
RN 805239-53-6 CAPLUS
CN Phenol, 3-[5,7-diethyl-2-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]-
(9CI) (CA INDEX NAME)



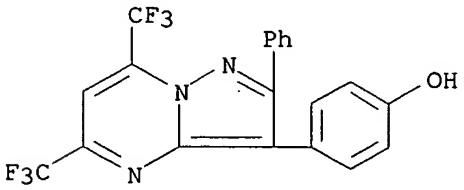
RN 805239-54-7 CAPLUS
CN Phenol, 3-[5,7-diethyl-3-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-2-yl]-
(9CI) (CA INDEX NAME)



RN 805239-55-8 CAPLUS
CN Phenol, 4-[3-phenyl-5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-2-yl]-
(9CI) (CA INDEX NAME)

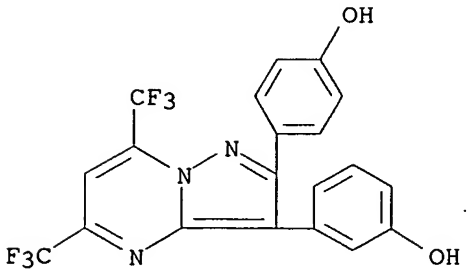


RN 805239-56-9 CAPLUS
CN Phenol, 4-[2-phenyl-5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]-
(9CI) (CA INDEX NAME)

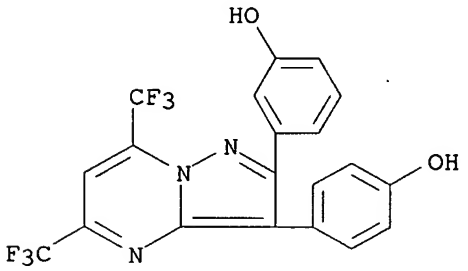


RN 805239-58-1 CAPLUS

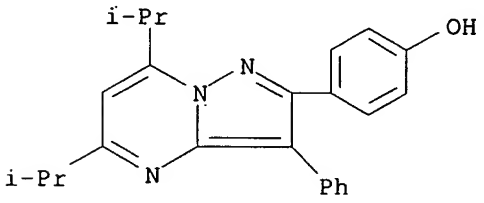
CN Phenol, 3-[2-(4-hydroxyphenyl)-5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



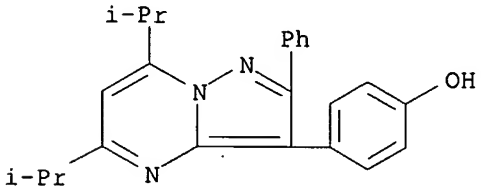
RN 805239-59-2 CAPLUS
CN Phenol, 3-[3-(4-hydroxyphenyl)-5,7-bis(trifluoromethyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



RN 805239-60-5 CAPLUS
CN Phenol, 4-[5,7-bis(1-methylethyl)-3-phenylpyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)

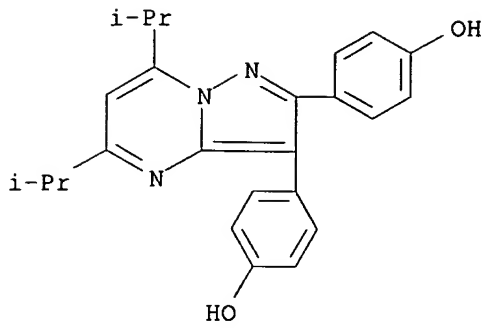


RN 805239-61-6 CAPLUS
CN Phenol, 4-[5,7-bis(1-methylethyl)-2-phenylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

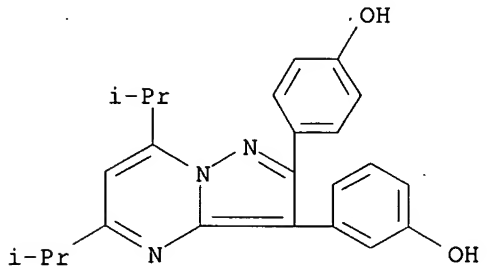


RN 805239-62-7 CAPLUS
CN Phenol, 4,4'-[5,7-bis(1-methylethyl)pyrazolo[1,5-a]pyrimidine-2,3-diyl]bis-

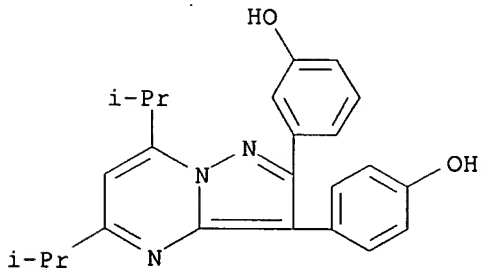
(9CI) (CA INDEX NAME)



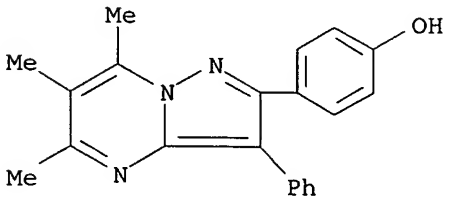
RN 805239-63-8 CAPLUS
CN Phenol, 3-[2-(4-hydroxyphenyl)-5,7-bis(1-methylethyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



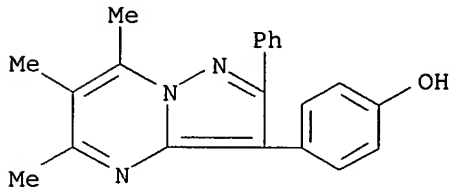
RN 805239-64-9 CAPLUS
CN Phenol, 3-[3-(4-hydroxyphenyl)-5,7-bis(1-methylethyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



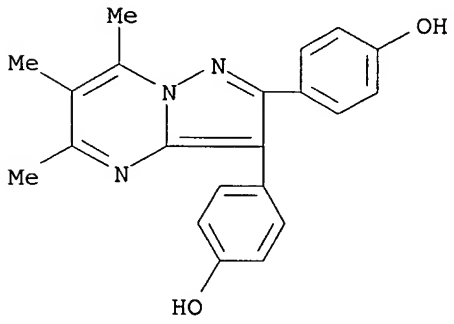
RN 805239-65-0 CAPLUS
CN Phenol, 4-(5,6,7-trimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-2-yl)- (9CI) (CA INDEX NAME)



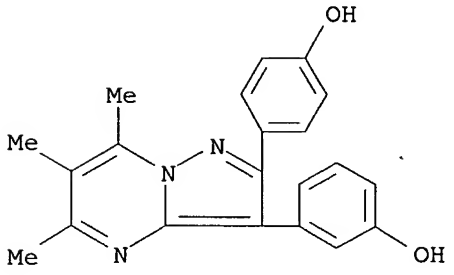
RN 805239-66-1 CAPLUS
CN Phenol, 4-(5,6,7-trimethyl-2-phenylpyrazolo[1,5-a]pyrimidin-3-yl)- (9CI) (CA INDEX NAME)



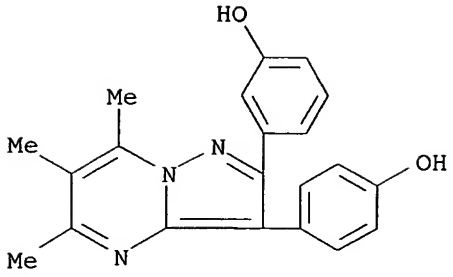
RN 805239-67-2 CAPLUS
CN Phenol, 4,4'-(5,6,7-trimethylpyrazolo[1,5-a]pyrimidine-2,3-diyl)bis- (9CI) (CA INDEX NAME)



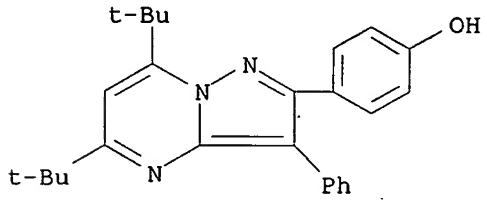
RN 805239-68-3 CAPLUS
CN Phenol, 3-[2-(4-hydroxyphenyl)-5,6,7-trimethylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



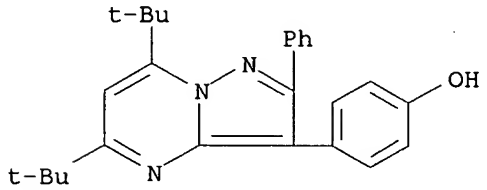
RN 805239-69-4 CAPLUS
CN Phenol, 3-[3-(4-hydroxyphenyl)-5,6,7-trimethylpyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



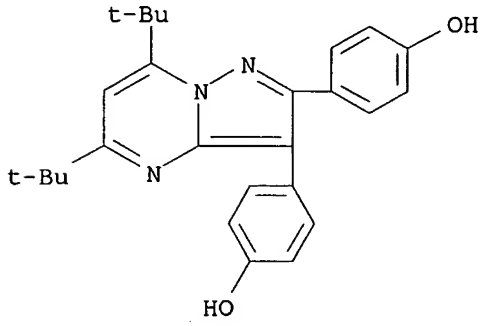
RN 805239-70-7 CAPLUS
CN Phenol, 4-[5,7-bis(1,1-dimethylethyl)-3-phenylpyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



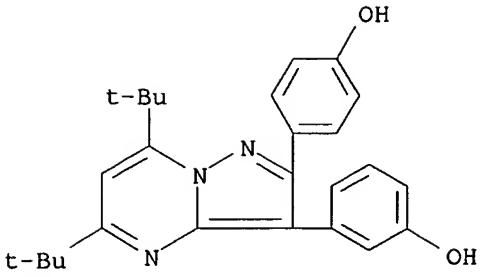
RN 805239-71-8 CAPLUS
CN Phenol, 4-[5,7-bis(1,1-dimethylethyl)-2-phenylpyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)



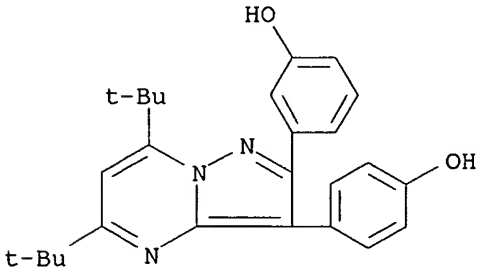
RN 805239-72-9 CAPLUS
CN Phenol, 4,4'-[5,7-bis(1,1-dimethylethyl)pyrazolo[1,5-a]pyrimidine-2,3-diyl]bis- (9CI) (CA INDEX NAME)



RN 805239-73-0 CAPLUS
CN Phenol, 3-[5,7-bis(1,1-dimethylethyl)-2-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

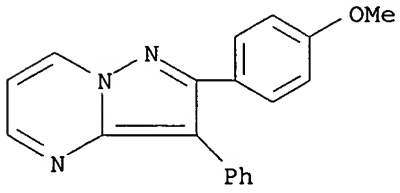


RN 805239-74-1 CAPLUS
CN Phenol, 3-[5,7-bis(1,1-dimethylethyl)-3-(4-hydroxyphenyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)

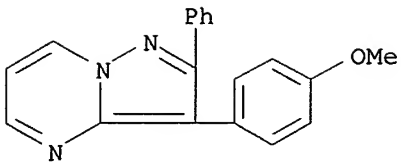


IT 805238-97-5P 805238-98-6P 805238-99-7P
805239-00-3P 805239-01-4P 805239-03-6P
805239-04-7P 805239-05-8P 805239-06-9P
805239-07-0P 805239-08-1P 805239-09-2P
805239-10-5P 805239-11-6P 805239-12-7P
805239-14-9P 805239-15-0P 805239-16-1P
805239-17-2P 805239-18-3P 805239-20-7P
805239-21-8P 805239-22-9P 805239-23-0P
805239-24-1P 805239-25-2P 805239-26-3P
805239-27-4P 805239-28-5P 805239-29-6P
805239-30-9P 805239-31-0P 805239-32-1P
805239-33-2P 805239-34-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as estrogen receptor ligands possessing estrogen receptor β antagonist activity)

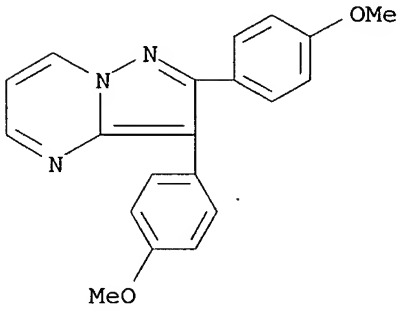
RN 805238-97-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-methoxyphenyl)-3-phenyl- (9CI) (CA INDEX NAME)



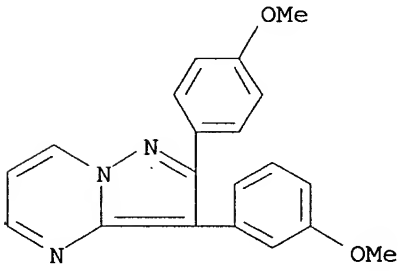
RN 805238-98-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



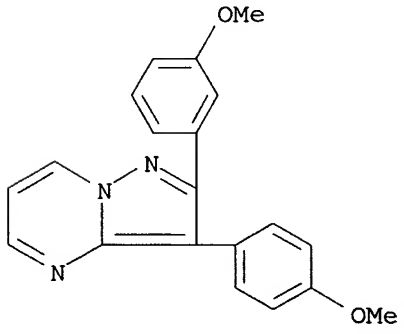
RN 805238-99-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



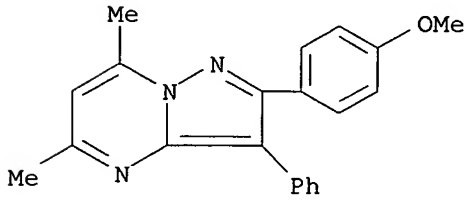
RN 805239-00-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



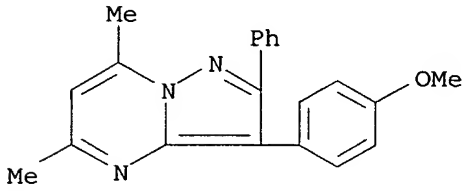
RN 805239-01-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(3-methoxyphenyl)-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



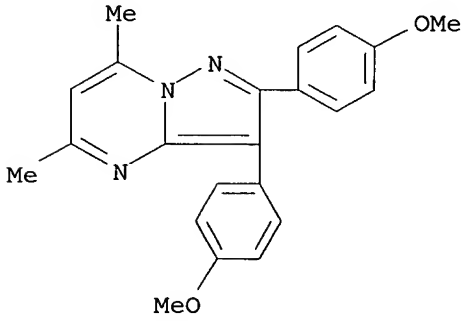
RN 805239-03-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-methoxyphenyl)-5,7-dimethyl-3-phenyl- (9CI) (CA INDEX NAME)



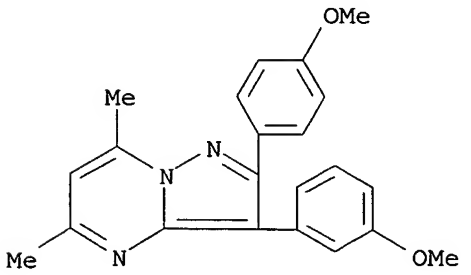
RN 805239-04-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-5,7-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



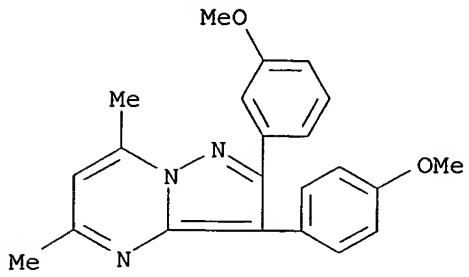
RN 805239-05-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-bis(4-methoxyphenyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



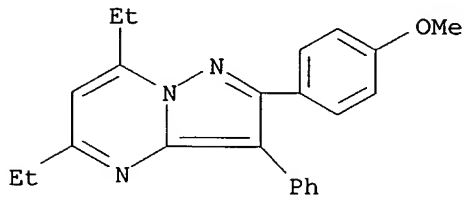
RN 805239-06-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-2-(4-methoxyphenyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



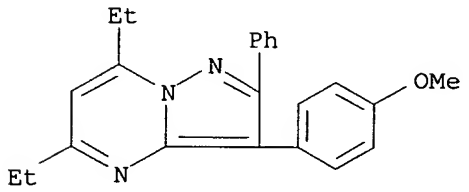
RN 805239-07-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(3-methoxyphenyl)-3-(4-methoxyphenyl)-5,7-dimethyl- (9CI) (CA INDEX NAME)



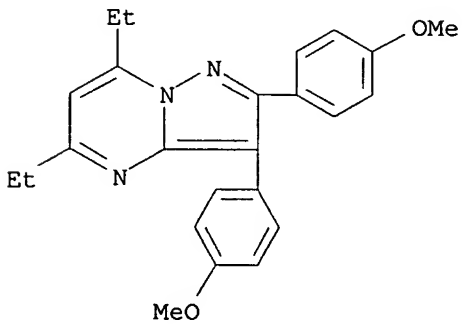
RN 805239-08-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-2-(4-methoxyphenyl)-3-phenyl- (9CI) (CA INDEX NAME)



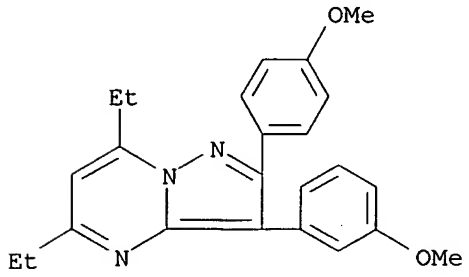
RN 805239-09-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-3-(4-methoxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



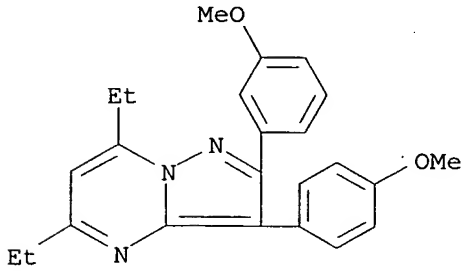
RN 805239-10-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-2,3-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



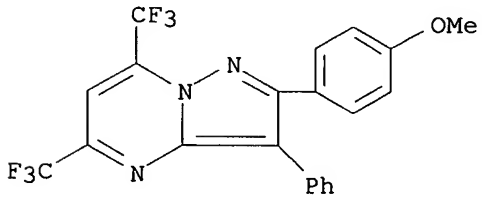
RN 805239-11-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-3-(3-methoxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



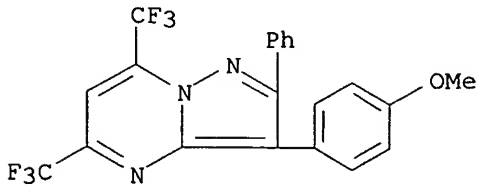
RN 805239-12-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-diethyl-2-(3-methoxyphenyl)-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 805239-14-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-methoxyphenyl)-3-phenyl-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

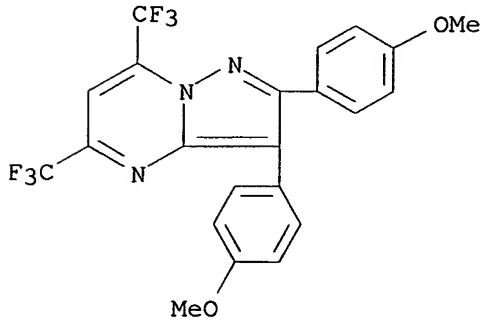


RN 805239-15-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-2-phenyl-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)

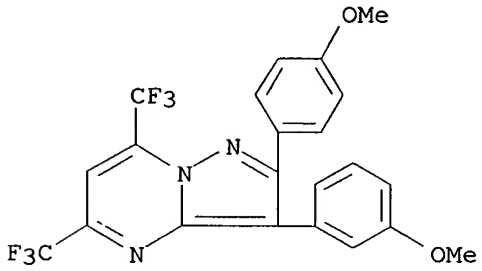


RN 805239-16-1 CAPLUS

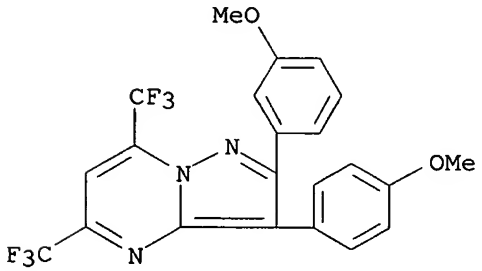
CN Pyrazolo[1,5-a]pyrimidine, 2,3-bis(4-methoxyphenyl)-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



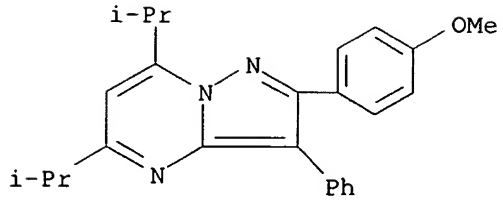
RN 805239-17-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-2-(4-methoxyphenyl)-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



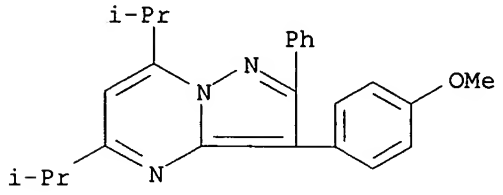
RN 805239-18-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(3-methoxyphenyl)-3-(4-methoxyphenyl)-5,7-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



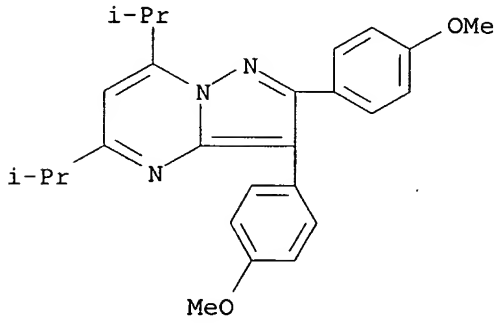
RN 805239-20-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-methoxyphenyl)-5,7-bis(1-methylethyl)-3-phenyl- (9CI) (CA INDEX NAME)



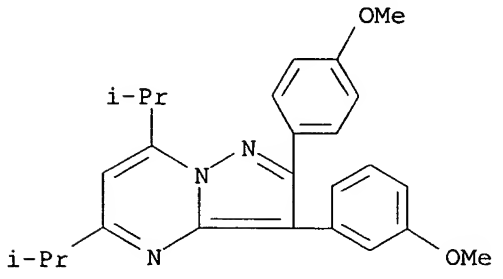
RN 805239-21-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-5,7-bis(1-methylethyl)-2-phenyl- (9CI) (CA INDEX NAME)



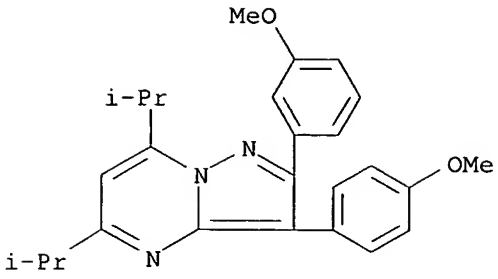
RN 805239-22-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-bis(4-methoxyphenyl)-5,7-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



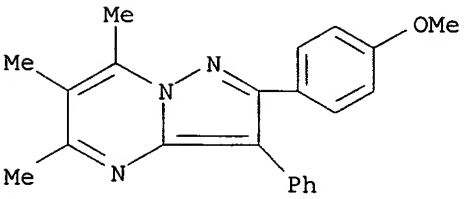
RN 805239-23-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-2-(4-methoxyphenyl)-5,7-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



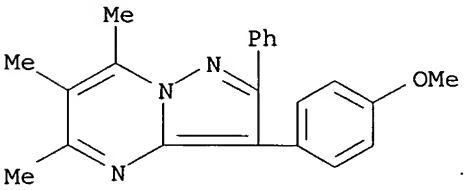
RN 805239-24-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(3-methoxyphenyl)-3-(4-methoxyphenyl)-5,7-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



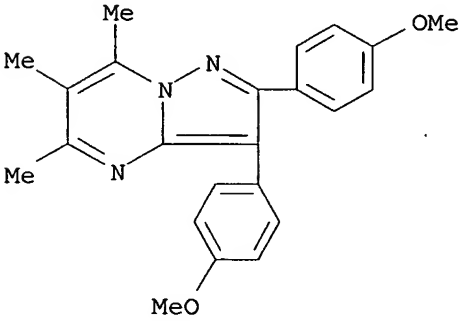
RN 805239-25-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-methoxyphenyl)-5,6,7-trimethyl-3-phenyl- (9CI) (CA INDEX NAME)



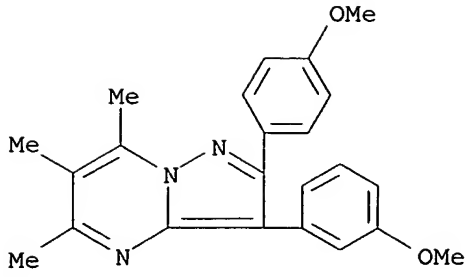
RN 805239-26-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-methoxyphenyl)-5,6,7-trimethyl-2-phenyl- (9CI) (CA INDEX NAME)



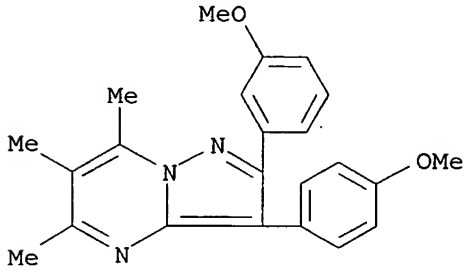
RN 805239-27-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2,3-bis(4-methoxyphenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



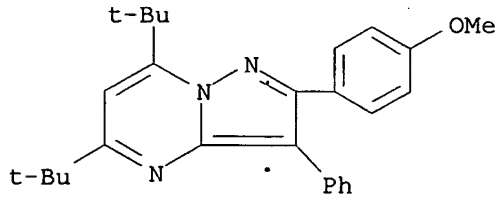
RN 805239-28-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3-methoxyphenyl)-2-(4-methoxyphenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



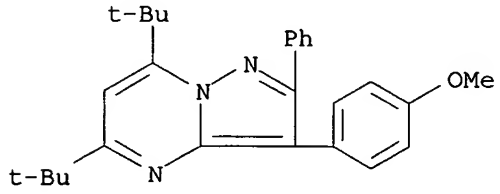
RN 805239-29-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(3-methoxyphenyl)-3-(4-methoxyphenyl)-5,6,7-trimethyl- (9CI) (CA INDEX NAME)



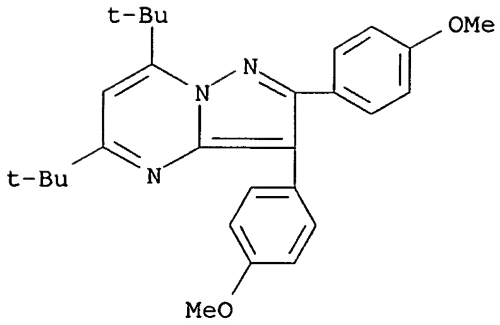
RN 805239-30-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-bis(1,1-dimethylethyl)-2-(4-methoxyphenyl)-3-phenyl- (9CI) (CA INDEX NAME)



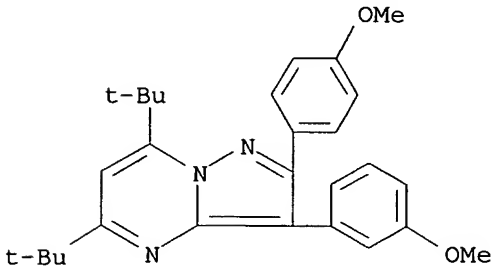
RN 805239-31-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-bis(1,1-dimethylethyl)-3-(4-methoxyphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



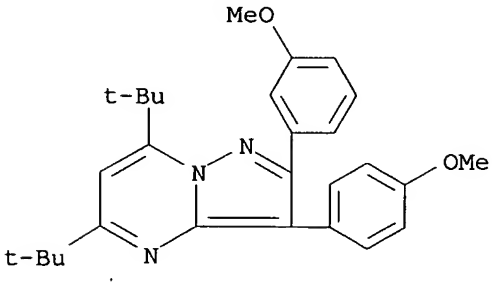
RN 805239-32-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-bis(1,1-dimethylethyl)-2,3-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 805239-33-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-bis(1,1-dimethylethyl)-3-(3-methoxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 805239-34-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5,7-bis(1,1-dimethylethyl)-2-(3-methoxyphenyl)-3-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



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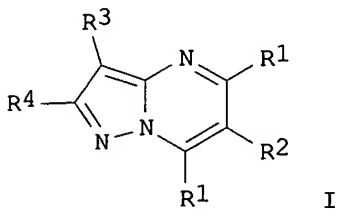


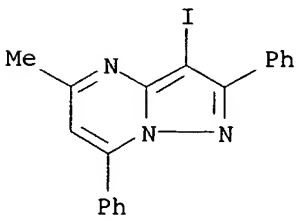
I

AB In the search for novel subtype-selective estrogen receptor (ER) ligands, various heterocyclic units were examined as core structural elements. Here, the fused, bicyclic pyrazolo[1,5-a]pyrimidine core, which is a system that

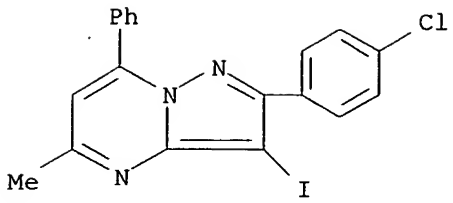
allows for analogs to be readily assembled in a library-like fashion, was investigated. This series of pyrazolo[1,5-a]pyrimidine estrogen receptor (ER) ligands I (R1 = H, Me, Et, F3C, Me2CH, Me3C, Ph; R2 = H, Me; R3, R4 = Ph, 4-HOC6H4, 3-HOC6H4) provided us with a new pharmacol. profile for an ER ligand: compds. that are passive on both ERs, with a distinct potency selectivity in favor of ERβ. The most distinctive ligand in this series, I [R1 = F3C; R2 = H; R3 = 4-HOC6H4; R4 = Ph (II)], was 36-fold selective for ERβ in binding. Curiously, on the basis of mol. modeling, the ERβ binding selectivity of compds. in this series appears to be derived from differing orientations that they adapt in the ligand binding pockets of ERα vs ERβ. In transcription assays II was fully effective as an ERβ antagonist while exhibiting no significant activity on ERα. Thus, this ligand functions as a potency- and efficacy-selective ERβ antagonist that would abrogate estrogen action through ERβ with minimal effects on its activity through ERα; as such, it could be used to study the biol. function of ERβ.

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

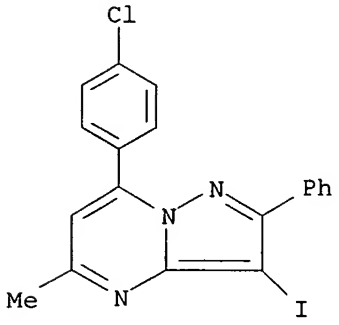
L4 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:848982 CAPLUS
DOCUMENT NUMBER: 142:23249
TITLE: Convenient synthesis of substituted 3-alkenylpyrazolo[1,5-a]pyrimidines via Heck cross-coupling reaction
AUTHOR(S): Yin, Lunxiang; Liebscher, Juergen
CORPORATE SOURCE: Institut fuer Chemie, Humboldt-Universitaet Berlin, Berlin, 12489, Germany
SOURCE: Synthesis (2004), (14), 2329-2334
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:23249
IT 802983-72-8P 802983-91-1P 802983-93-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of alkenylpyrazolo[1,5-a]pyrimidines via Heck cross-coupling reaction)
RN 802983-72-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-iodo-5-methyl-2,7-diphenyl- (9CI) (CA INDEX NAME)



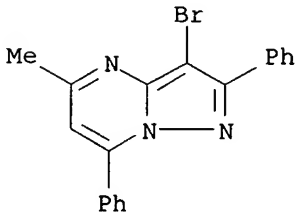
RN 802983-91-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-chlorophenyl)-3-iodo-5-methyl-7-phenyl- (9CI) (CA INDEX NAME)



RN 802983-93-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-(4-chlorophenyl)-3-iodo-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



IT 802983-74-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of alkenylpyrazolo[1,5-a]pyrimidines via Heck cross-coupling reaction)
RN 802983-74-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-5-methyl-2,7-diphenyl- (9CI) (CA INDEX NAME)



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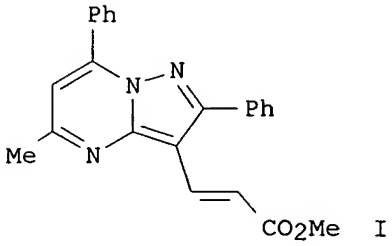


I

AB 3-Iodopyrazolo[1,5-a]pyrimidines were easily obtained by direct iodination

of pyrazolo[1,5-a]pyrimidines with NIS and could be transformed into a series of new substituted 3-alkenyl-pyrazolo[1,5-a]pyrimidines (e.g. I) by Heck cross-coupling.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:654772 CAPLUS
DOCUMENT NUMBER: 141:190798
TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as cannabinoid receptor ligands
INVENTOR(S): Griffith, David A.
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 67 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

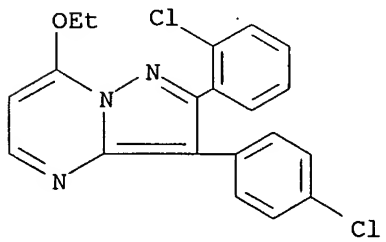
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004157838	A1	20040812	US 2004-762959	20040121
CA 2515596	AA	20040819	CA 2004-2515596	20040128
WO 2004069838	A1	20040819	WO 2004-IB286	20040128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1594872	A1	20051116	EP 2004-705862	20040128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007305	A	20060207	BR 2004-7305	20040128
JP 2006517220	T2	20060720	JP 2006-502398	20040128

PRIORITY APPLN. INFO.: US 2003-446450P P 20030210
WO 2004-IB286 W 20040128

OTHER SOURCE(S): MARPAT 141:190798

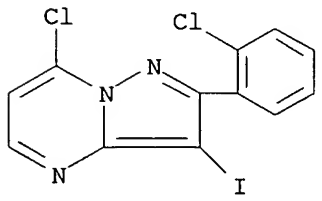
IT 737827-67-7P, 3-(4-Chlorophenyl)-2-(2-chlorophenyl)-7-ethoxypyrazolo[1,5-a]pyrimidine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of pyrazolo[1,5-a]pyrimidine derivs. as cannabinoid receptor ligands (antagonists) for treating diseases mediated by cannabinoid receptors)

RN 737827-67-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-ethoxy- (9CI) (CA INDEX NAME)

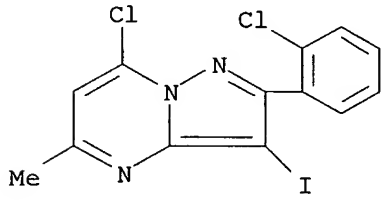


IT 737827-54-2P, 7-Chloro-2-(2-chlorophenyl)-3-iodopyrazolo[1,5-a]pyrimidine 737827-57-5P, 7-Chloro-2-(2-chlorophenyl)-3-iodo-5-methylpyrazolo[1,5-a]pyrimidine 737827-59-7P, 3-(4-Chlorophenyl)-2-(2-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-ol 737827-60-0P, 7-Chloro-3-(4-chlorophenyl)-2-(2-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidine 737827-61-1P, 3-(4-Chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-ol 737827-62-2P, 7-Chloro-3-(4-chlorophenyl)-2-(2-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidine 737827-63-3P, 3-(4-Chlorophenyl)-2-(2-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-ol 737827-64-4P, 7-Chloro-3-(4-chlorophenyl)-2-(2-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidine 737827-65-5P , 2-(2-Chlorophenyl)-7-ethoxy-3-iodopyrazolo[1,5-a]pyrimidine 737827-66-6P, 3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-ol 737827-68-8P, 7-Chloro-3-(4-chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidine 737827-69-9P, 6-Allyl-3-(4-chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-ol 737827-70-2P, 1-[2-(2-Chlorophenyl)-3-iodopyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide 737827-75-7P , 1-[2-(2-Chlorophenyl)-3-iodopyrazolo[1,5-a]pyrimidin-7-yl]-3-ethylaminoazetidine-3-carboxylic acid amide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrazolo[1,5-a]pyrimidine derivs. as cannabinoid receptor ligands (antagonists) for treating diseases mediated by cannabinoid receptors)

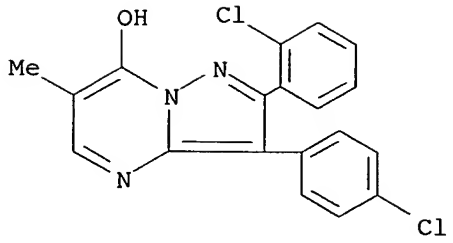
RN 737827-54-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-chloro-2-(2-chlorophenyl)-3-iodo- (9CI) (CA INDEX NAME)



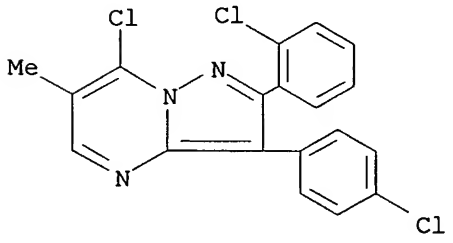
RN 737827-57-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-chloro-2-(2-chlorophenyl)-3-iodo-5-methyl- (9CI) (CA INDEX NAME)



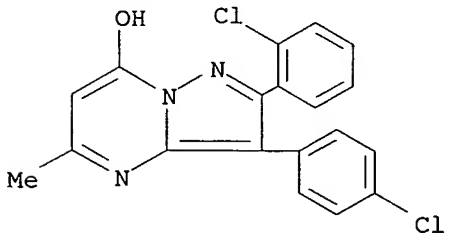
RN 737827-59-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-ol, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methyl- (9CI) (CA INDEX NAME)



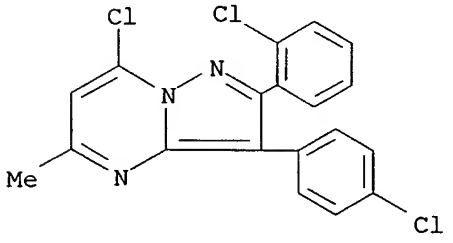
RN 737827-60-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-chloro-2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methyl- (9CI) (CA INDEX NAME)



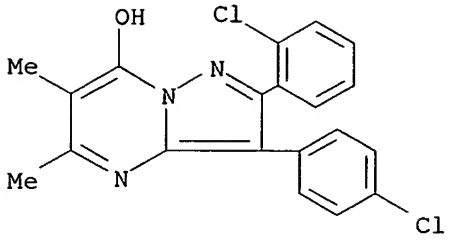
RN 737827-61-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-ol, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)



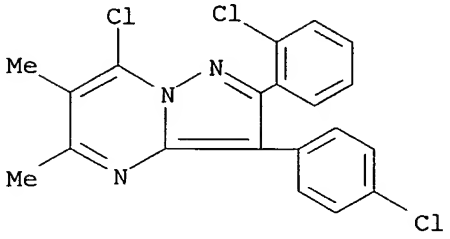
RN 737827-62-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-chloro-2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)



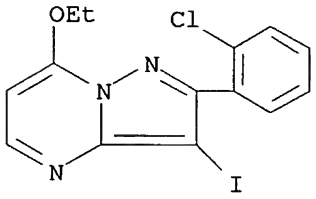
RN 737827-63-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-ol, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethyl- (9CI) (CA INDEX NAME)



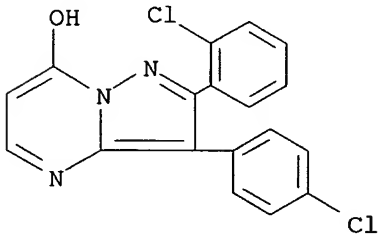
RN 737827-64-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-chloro-2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethyl- (9CI) (CA INDEX NAME)



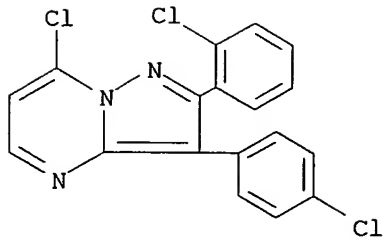
RN 737827-65-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-7-ethoxy-3-iodo- (9CI) (CA INDEX NAME)



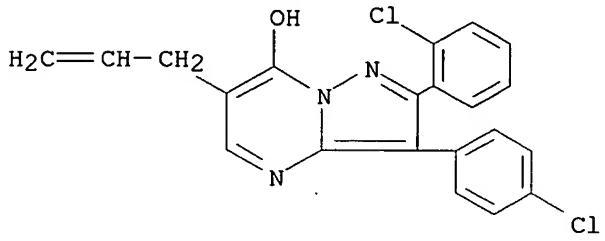
RN 737827-66-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-ol, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-(9CI) (CA INDEX NAME)



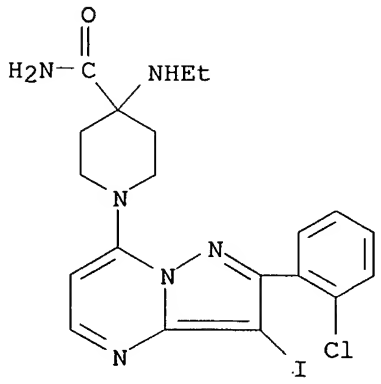
RN 737827-68-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-chloro-2-(2-chlorophenyl)-3-(4-chlorophenyl)-(9CI) (CA INDEX NAME)



RN 737827-69-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-ol, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-(2-propenyl)- (9CI) (CA INDEX NAME)



RN 737827-70-2 CAPLUS
CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-iodopyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)- (9CI) (CA INDEX NAME)



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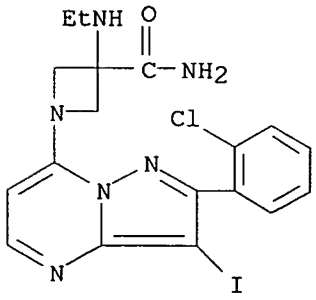

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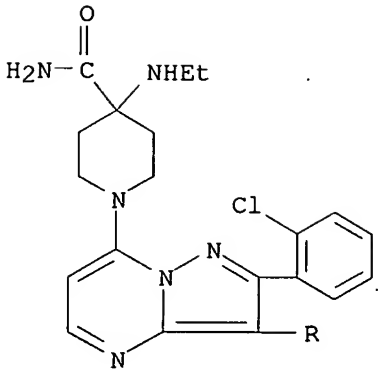
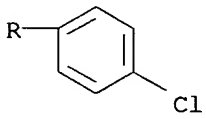
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidine derivs. as cannabinoid receptor ligands (antagonists) for treating diseases mediated by cannabinoid receptors)

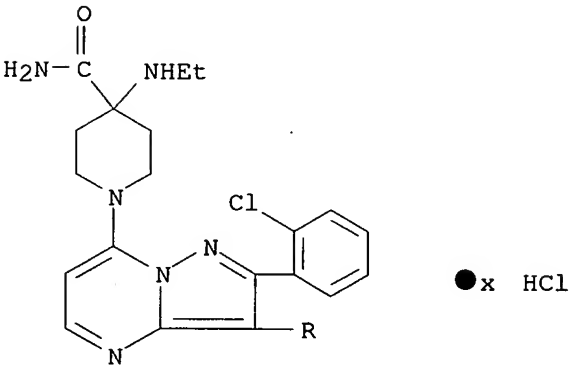
RN 737827-71-3 CAPLUS

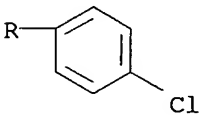
CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)- (9CI) (CA INDEX NAME)



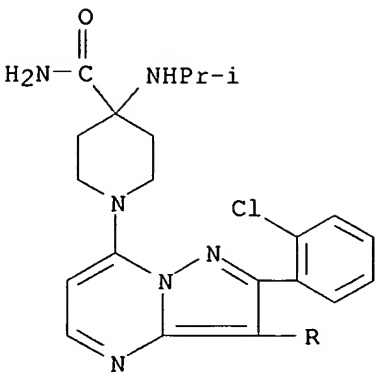


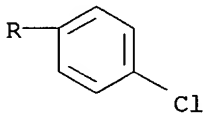
RN 737827-72-4 CAPLUS
CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)-, hydrochloride (9CI) (CA INDEX NAME)



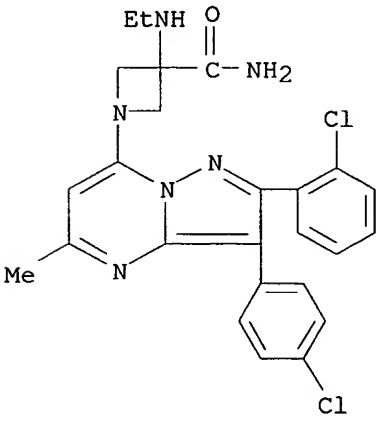


RN 737827-73-5 CAPLUS
CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)amino]- (9CI) (CA INDEX NAME)

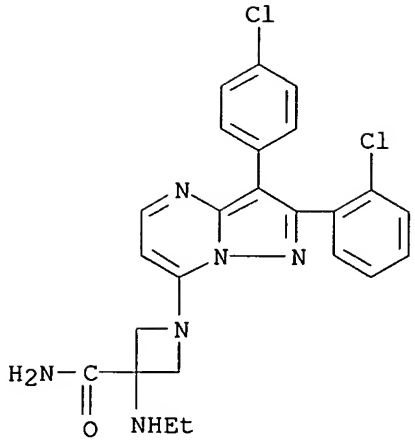




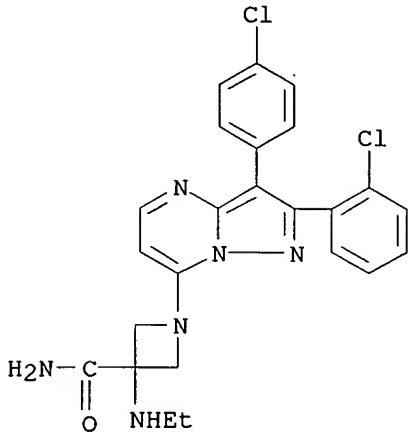
RN 737827-74-6 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



RN 737827-77-9 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

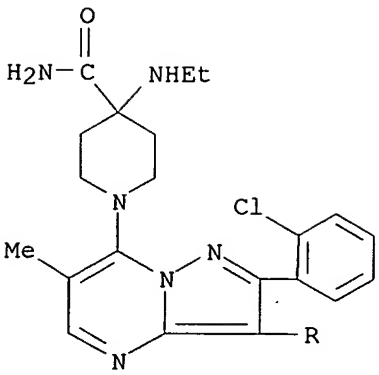


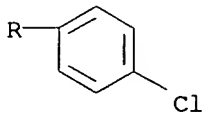
RN 737827-78-0 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)-, hydrochloride (9CI) (CA INDEX NAME)



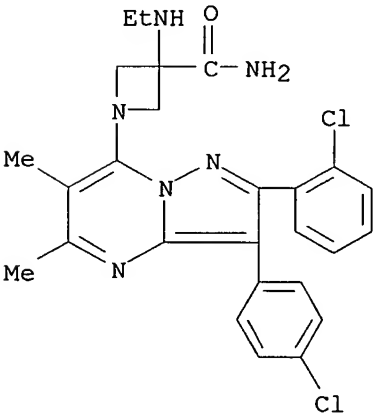
●x HCl

RN 737827-79-1 CAPLUS
CN 4-Piperidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylamino)- (9CI) (CA INDEX NAME)

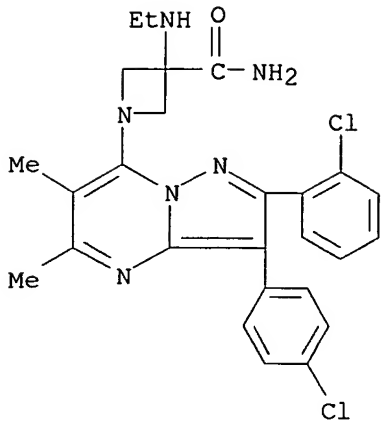




RN 737827-81-5 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)

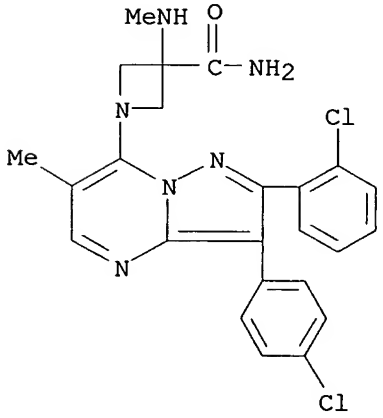


RN 737827-82-6 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5,6-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)-, hydrochloride (9CI) (CA INDEX NAME)

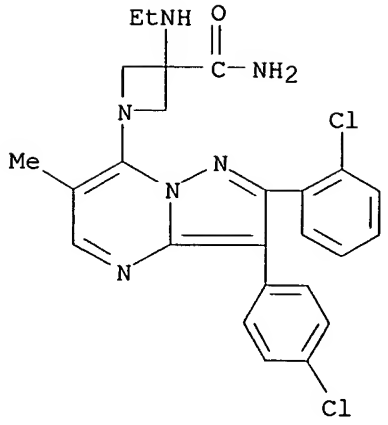


● x HCl

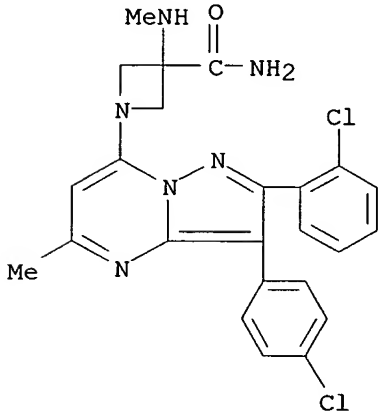
RN 737827-83-7 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)



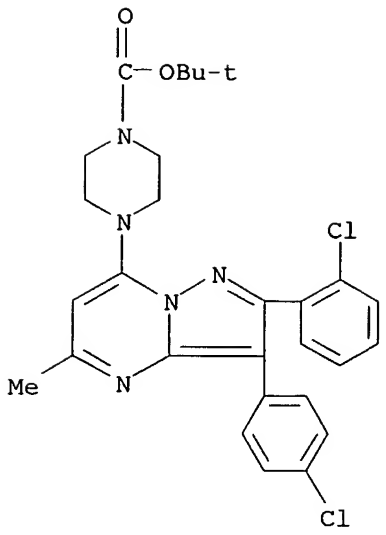
RN 737827-84-8 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-6-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(ethylamino)- (9CI) (CA INDEX NAME)



RN 737827-85-9 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)

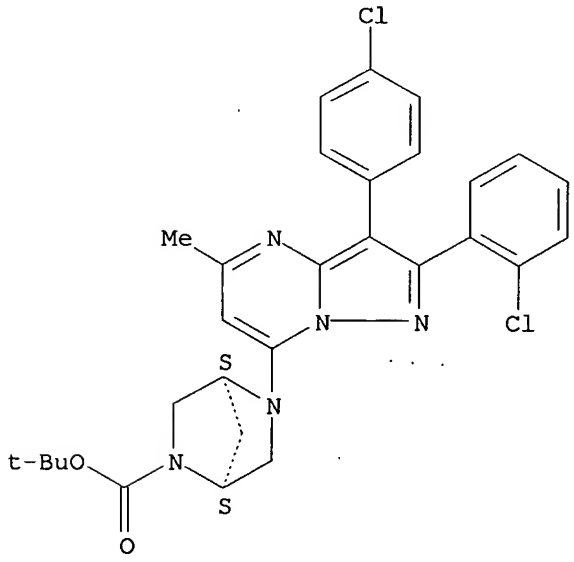


RN 737827-86-0 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

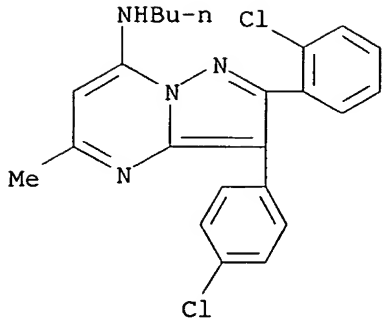


RN 737827-87-1 CAPLUS
CN 2,5-Diazabicyclo[2.2.1]heptane-2-carboxylic acid, 5-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, 1,1-dimethylethyl ester, (1S,4S)- (9CI) (CA INDEX NAME)

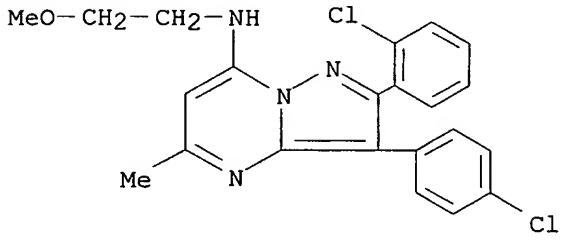
Absolute stereochemistry.



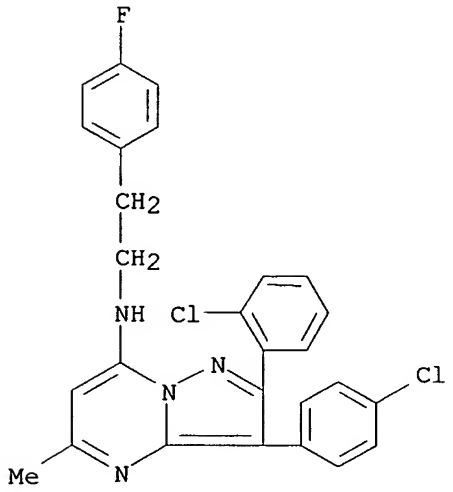
RN 737827-88-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)



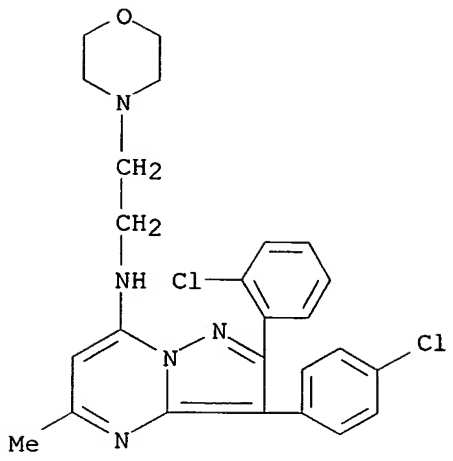
RN 737827-89-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-N-(2-methoxyethyl)-5-methyl- (9CI) (CA INDEX NAME)



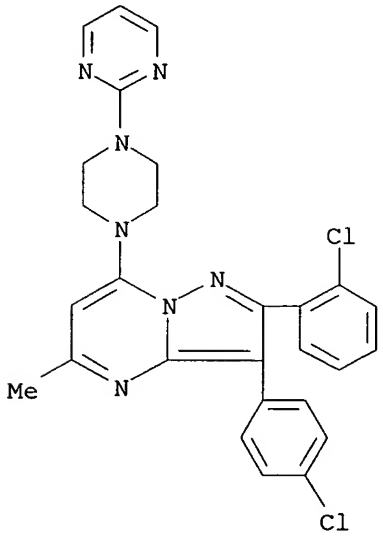
RN 737827-90-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-N-[2-(4-fluorophenyl)ethyl]-5-methyl- (9CI) (CA INDEX NAME)



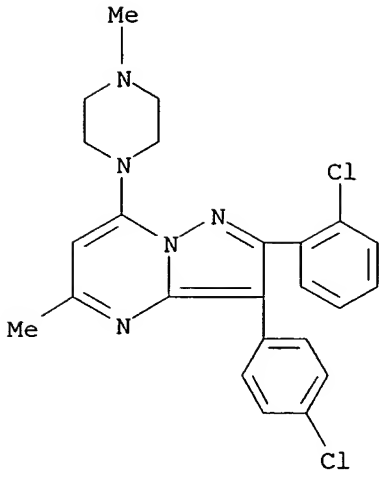
RN 737827-91-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



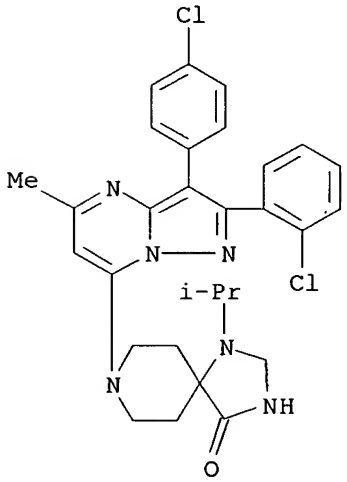
RN 737827-92-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-[4-(2-pyrimidinyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



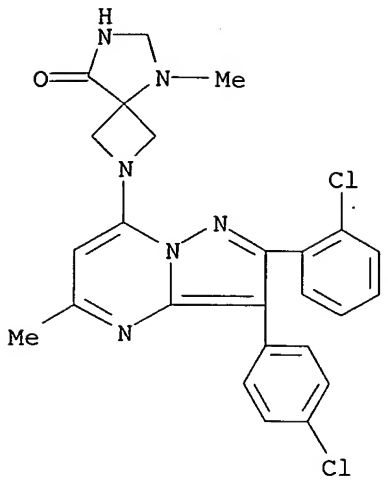
RN 737827-93-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



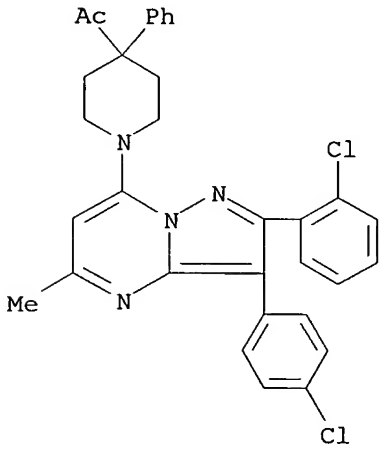
RN 737827-94-0 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)



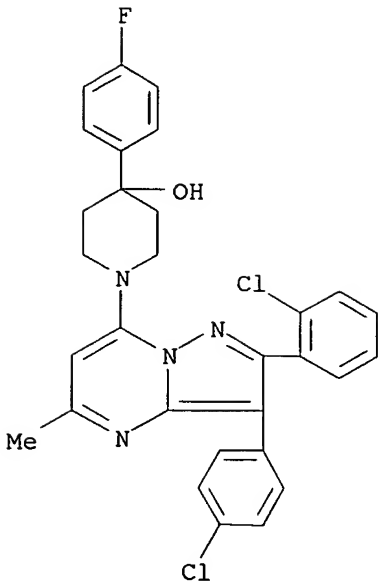
RN 737827-95-1 CAPLUS
CN 2,5,7-Triazaspiro[3.4]octan-8-one, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-5-methyl- (9CI) (CA INDEX NAME)



RN 737827-96-2 CAPLUS
CN Ethanone, 1-[1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-phenyl-4-piperidinyl]- (9CI) (CA INDEX NAME)

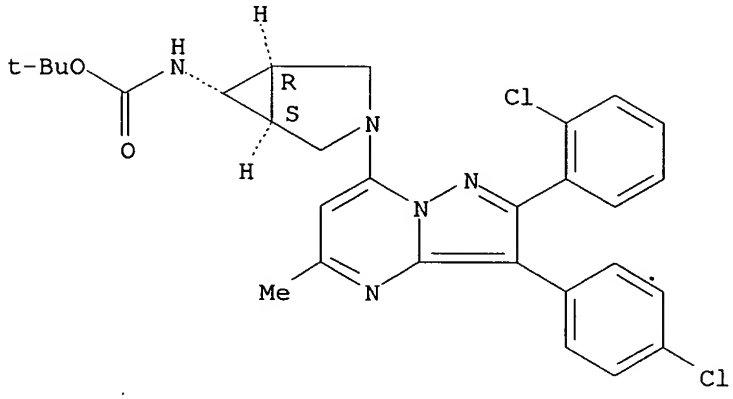


RN 737827-97-3 CAPLUS
CN 4-Piperidinol, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

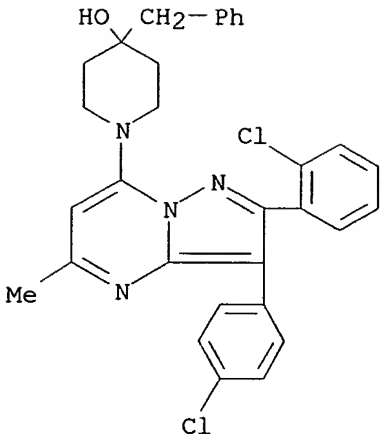


RN 737827-98-4 CAPLUS
CN Carbamic acid, [(1α,5α,6α)-3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

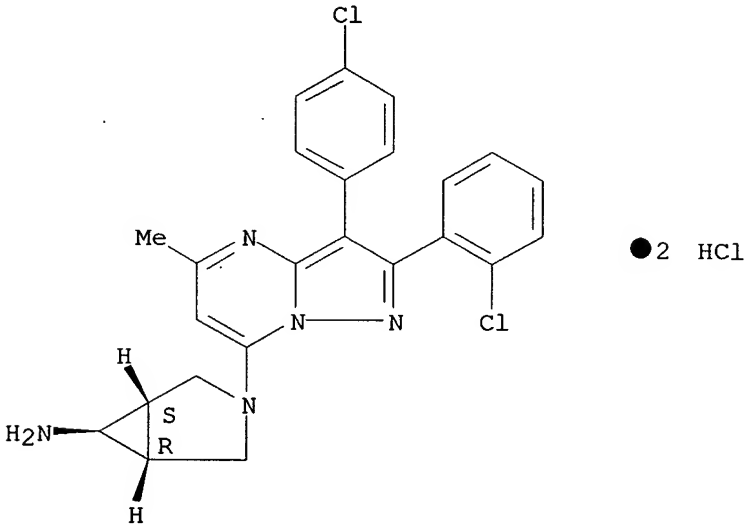


RN 737827-99-5 CAPLUS
CN 4-Piperidinol, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



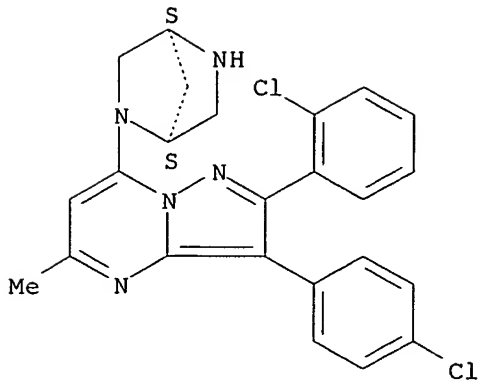
RN 737828-00-1 CAPLUS
CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, dihydrochloride, (1α,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

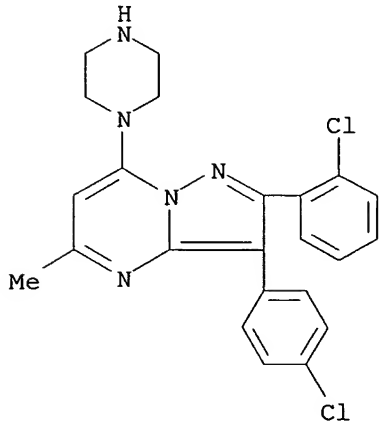


RN 737828-01-2 CAPLUS
CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, (1S,4S)- (9CI) (CA INDEX NAME)

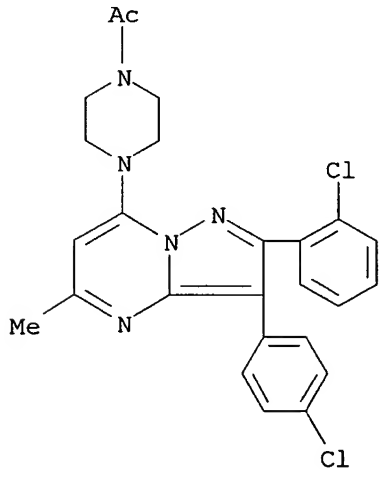
Absolute stereochemistry.



RN 737828-02-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-(1-piperazinyl)- (9CI) (CA INDEX NAME)

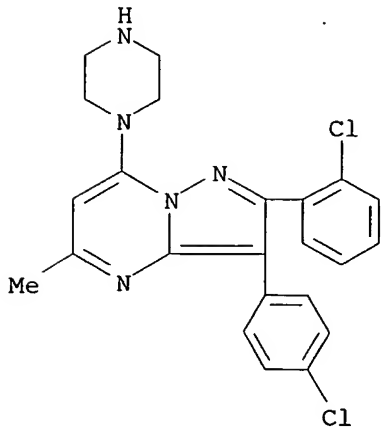


RN 737828-03-4 CAPLUS
CN Piperazine, 1-acetyl-4-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



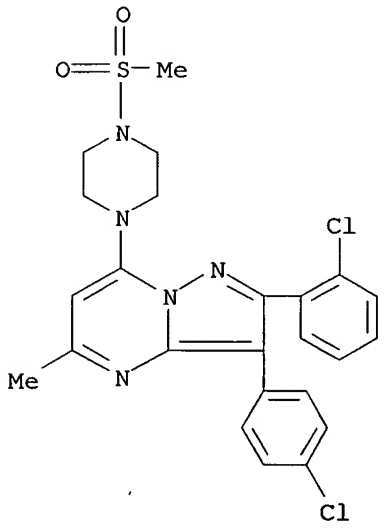
RN 737828-04-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-

7-(1-piperazinyl)-, hydrochloride (9CI) (CA INDEX NAME)

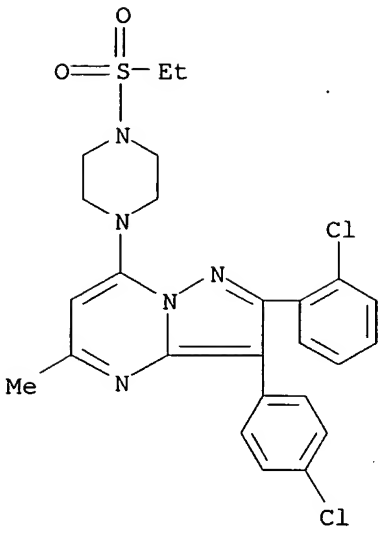


● x HCl

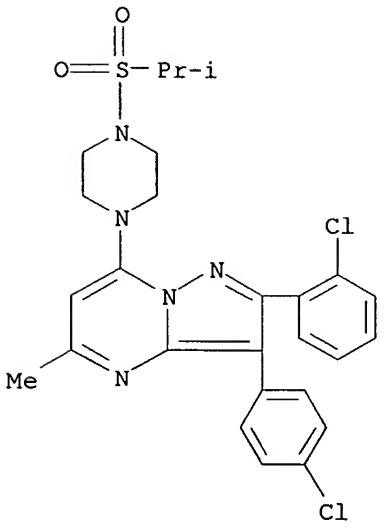
RN 737828-05-6 CAPLUS
CN Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 737828-06-7 CAPLUS
CN Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

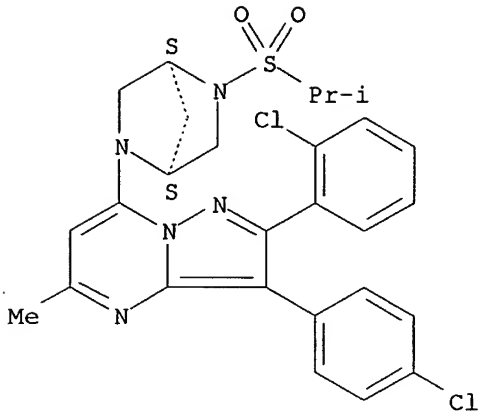


RN 737828-07-8 CAPLUS
CN Piperazine, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-4-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)



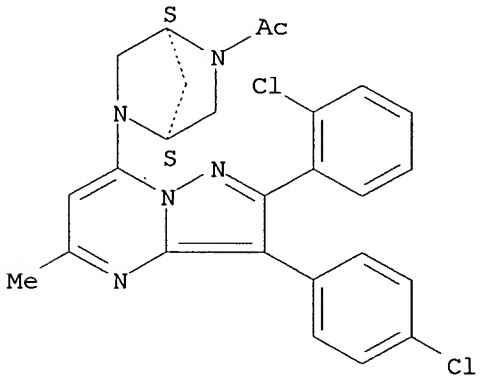
RN 737828-08-9 CAPLUS
CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-5-[(1-methylethyl)sulfonyl]-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

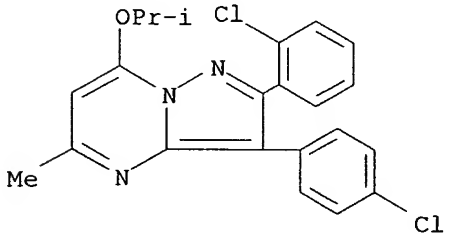


RN 737828-09-0 CAPLUS
CN 2,5-Diazabicyclo[2.2.1]heptane, 2-acetyl-5-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, (1S,4S)- (9CI) (CA INDEX NAME)

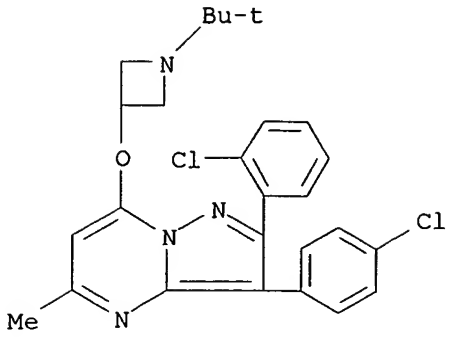
Absolute stereochemistry.



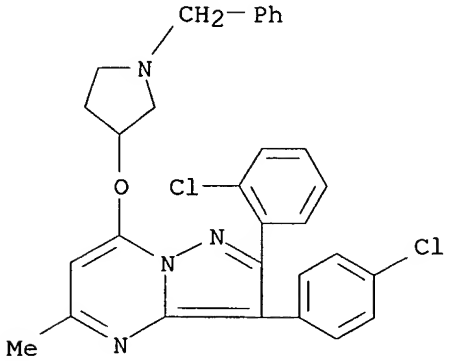
RN 737828-10-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-(1-methylethoxy)- (9CI) (CA INDEX NAME)



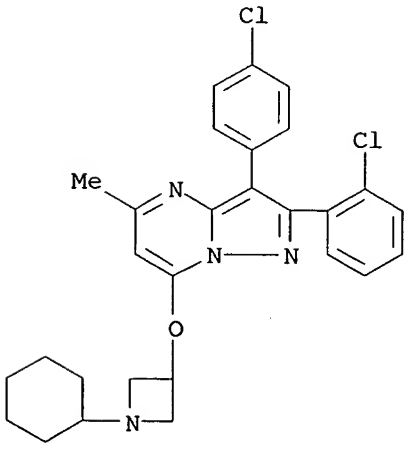
RN 737828-11-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-[[1-(1,1-dimethylethyl)-3-azetidinyl]oxy]-5-methyl- (9CI) (CA INDEX NAME)



RN 737828-12-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-7-[[1-(phenylmethyl)-3-pyrrolidinyl]oxy]- (9CI) (CA INDEX NAME)

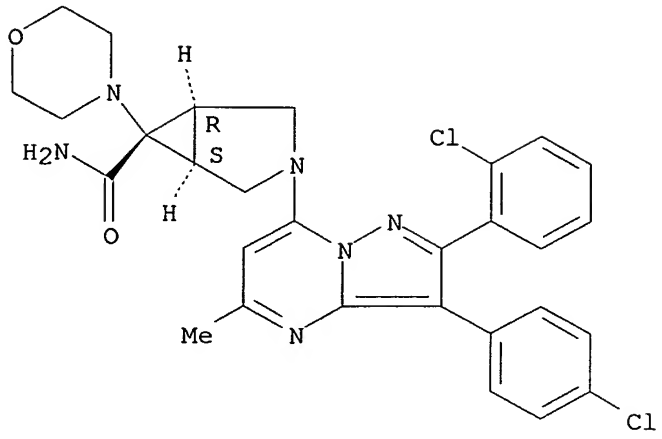


RN 737828-13-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-[(1-cyclohexyl-3-azetidinyl)oxy]-5-methyl- (9CI) (CA INDEX NAME)

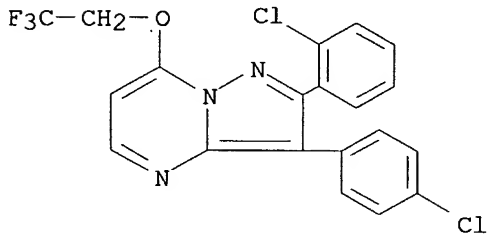


RN 737828-14-7 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane-6-carboxamide, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-6-(4-morpholinyl)-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

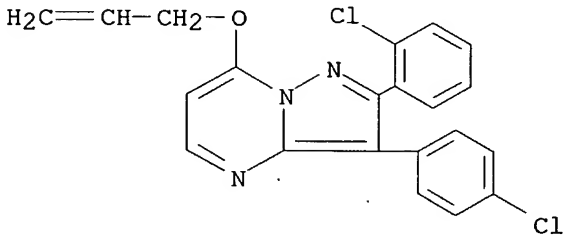
Relative stereochemistry.



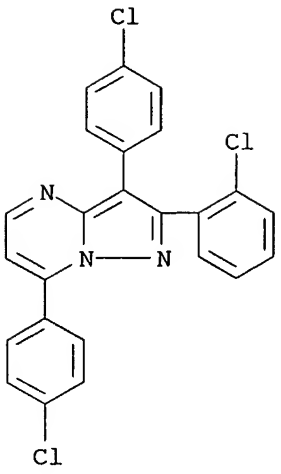
RN 737828-15-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-(2,2,2-trifluoroethoxy)- (9CI) (CA INDEX NAME)



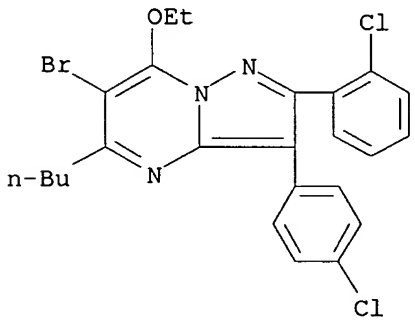
RN 737828-16-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-(2-propenyloxy)- (9CI) (CA INDEX NAME)



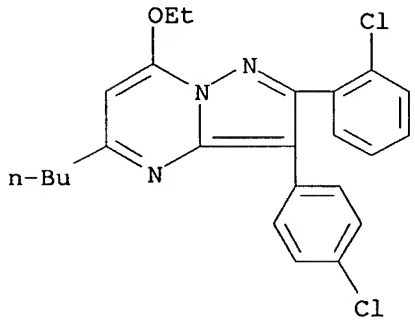
RN 737828-17-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3,7-bis(4-chlorophenyl)-(9CI) (CA INDEX NAME)



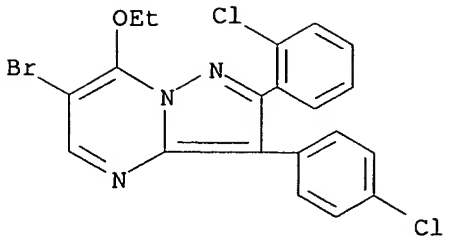
RN 737828-19-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-bromo-5-butyl-2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-ethoxy- (9CI) (CA INDEX NAME)



RN 737828-20-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5-butyl-2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-ethoxy- (9CI) (CA INDEX NAME)

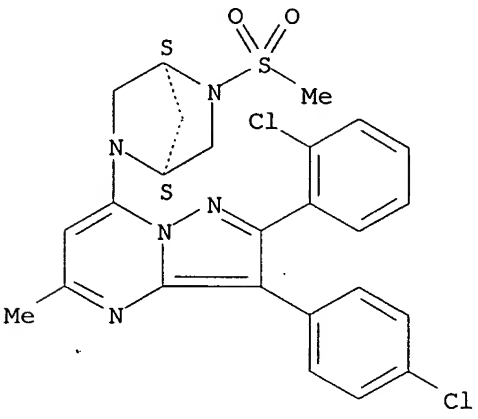


RN 737828-21-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-bromo-2-(2-chlorophenyl)-3-(4-chlorophenyl)-7-ethoxy- (9CI) (CA INDEX NAME)

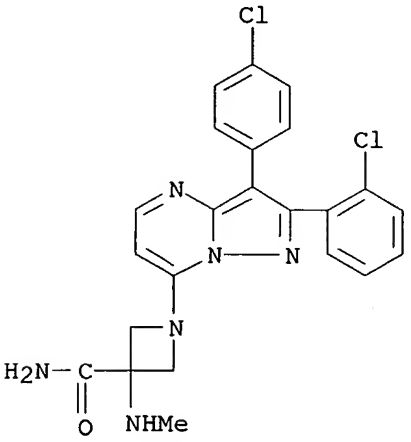


RN 737828-22-7 CAPLUS
CN 2,5-Diazabicyclo[2.2.1]heptane, 2-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-5-(methylsulfonyl)-, (1S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

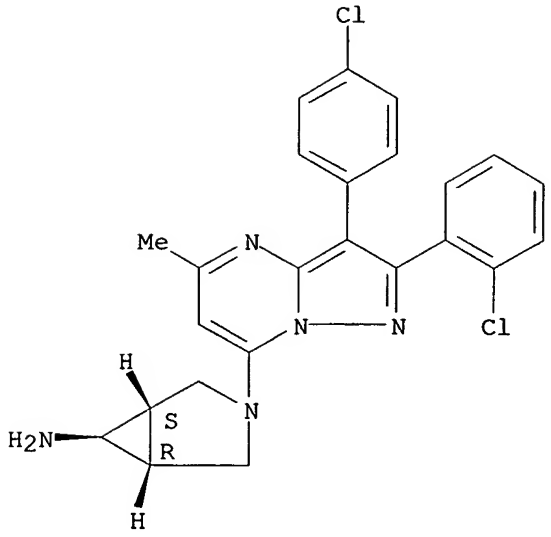


RN 737828-23-8 CAPLUS
CN 3-Azetidinecarboxamide, 1-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-3-(methylamino)- (9CI) (CA INDEX NAME)

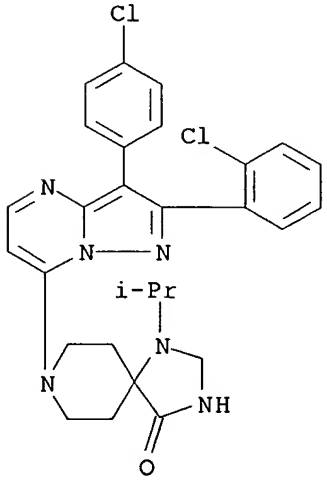


RN 737828-24-9 CAPLUS
CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

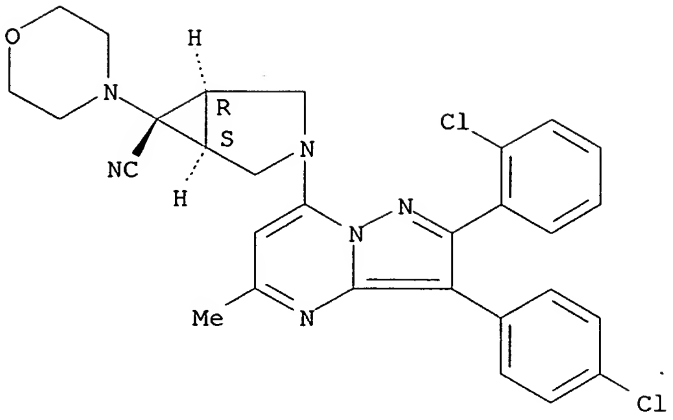


RN 737828-25-0 CAPLUS
CN 1,3,8-Triazaspiro[4.5]decan-4-one, 8-[2-(2-chlorophenyl)-3-(4-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

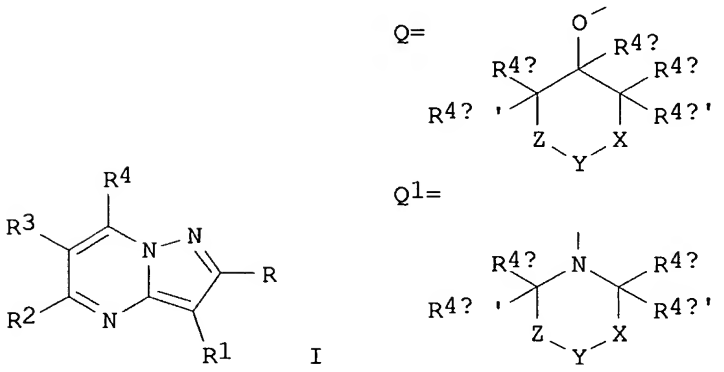


IT 737827-80-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(reactant; preparation of pyrazolo[1,5-a]pyrimidine derivs. as cannabinoid receptor ligands (antagonists) for treating diseases mediated by cannabinoid receptors)
RN 737827-80-4 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-[2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]-6-(4-morpholinyl)-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



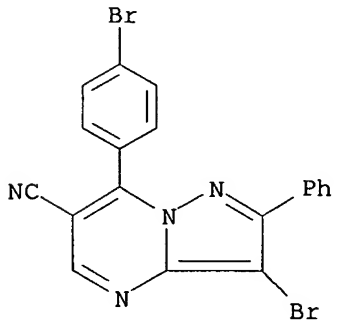
GI



AB Compds. of formula (I) [wherein R, R1 = each (un)substituted aryl or heteroaryl; R2, R3 = H, halo, C1-4alkyl, halo-C1-4 alkyl, C1-4 alkoxy; R4 = Q, Q1, OR5 (where R5 taken together with R3 forms a 5- to 6-membered partially saturated heterocyclic ring optionally containing an addnl. oxygen, or a 5-membered heteroaryl, said heterocyclic ring and said heteroaryl being optionally substituted with one or more substituents); R4a = H, C1-3 alkyl; R4b, R4b', R4f, R4f'= H, cyano, HO, NH2, CONH2, C1-6 alkyl, C1-6 alkoxy, acyloxy, acyl, C1-3 alkoxycarbonyl, mono- or di(C1-4 alkyl)carbamoyl, mono- or di(C1-6 alkyl)amino, C3-6 cycloalkylamino, acylamino, aryl(C1-4 alkyl)amino, heteroaryl(C1-4 alkyl)amino, aryl, heteroaryl, each (un)substituted and partially or fully saturated 3-6 membered heterocycle or carbocyclic ring; or either R4b or R4b' taken together with R4e, R4e', R4f, or R4f' forms a bond, a methylene bridge, or an ethylene bridge; X, Z = a bond, (un)substituted CH2CH2; Y = O, S, CO, each (un)substituted CH2CH2 or NH] or pharmaceutically acceptable salt thereof, prodrugs of said compds. or said salts, or solvates or hydrates of said compds., said salts or said prodrugs are prepared These compds. act as cannabinoid receptor ligands and are useful for treating disease, condition or disorder modulated by a cannabinoid receptor antagonist which is selected from the group consisting of weight loss, obesity, bulimia, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related

behaviors, alcoholism, tobacco abuse, dementia, seizure disorders, epilepsy, attention deficit disorder, Parkinson's disease, inflammation, gastrointestinal disorders, and type II diabetes. Thus, 1-[2-(2-chlorophenyl)-3-iodopyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide (90 mg, 0.17 mmol) was coupled with 4-chlorophenylboronic acid (41 mg, 0.26 mmol) in ethanol (2 mL), toluene (2 mL) and 2 M aqueous Na2CO3 (1 mL) in the presence of tetrakis(triphenylphosphine)palladium (27 mg, 0.023 mmol) at 80° for 1 h to give 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide (62 mg, 72%).

L4 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:993978 CAPLUS
DOCUMENT NUMBER: 141:243471
TITLE: Heterocyclic synthesis via enamionitriles: an efficient, one step synthesis of some novel azolo[1,5-a]pyrimidine, pyrimido [1,2-a]-benzimidazole, pyrido[1,2-a]benzimidazole pyrimidine and pyrazole derivatives
AUTHOR(S): Hyssein, Mona Moustafa
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Cairo University, Giza, Egypt
SOURCE: Mansoura Science Bulletin, A: Chemistry (2002), 29(2), 1-16
CODEN: MSBCF4; ISSN: 1110-4562
PUBLISHER: Mansoura University
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:243471
IT 749885-86-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(one-step preparation of azolopyrimidine, pyrimidobenzimidazole, pyridobenzimidazole pyrimidine and pyrazole derivs. using enamionitriles)
RN 749885-86-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 3-bromo-7-(4-bromophenyl)-2-phenyl- (9CI) (CA INDEX NAME)

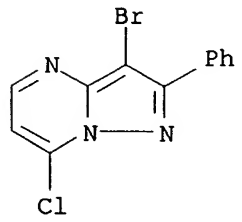


AB Some novel pyrazolo[1,5-a]pyrimidines, 1,2.4-triazolo [1,5-a] pyrimidine, and pyrimido[1,2-a] benzimidazole could be synthesized by reacting 3-(4-bromophenyl)-2-(N,N-dimethylamino)-methylene-3-oxopropanonitrile (I) with 5-aminopyrazoles, and 3-Amino-1,2,4-triazole and 2-aminobenzimidazole. The reaction of I with IH-benzimidazole-2-ylacetonitrile afforded the pyrido[1,2-a]benzimidazole. On the other hand, the reaction of I with the guanidine, hydrazine, and Ph hydrazine afforded a pyrimidine derivative and some pyrazoles. However, the reaction of I with hydroxyl amine did not afford the desired isoxazole.
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS

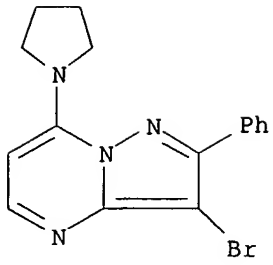
L4 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:913167 CAPLUS
DOCUMENT NUMBER: 139:381505
TITLE: Preparation of pyrazolopyrimidines for preventing or treating herpes virus infection
INVENTOR(S): Gudmundsson, Kristjan S.; Johns, Brian A.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003095455	A2	20031120	WO 2003-US13395	20030430
WO 2003095455	A3	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003228770	A1	20031111	AU 2003-228770	20030430
EP 1504004	A2	20050209	EP 2003-726540	20030430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005529919	T2	20051006	JP 2004-503469	20030430
US 2005203106	A1	20050915	US 2004-512916	20041029
PRIORITY APPLN. INFO.:			US 2002-379421P P	20020510
			WO 2003-US13395 W	20030430

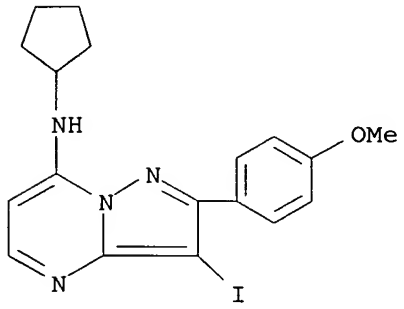
OTHER SOURCE(S): MARPAT 139:381505
IT 625095-83-2P 625095-84-3P 625095-85-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines for preventing or treating herpes virus infection)
RN 625095-83-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-7-chloro-2-phenyl- (9CI) (CA INDEX NAME)



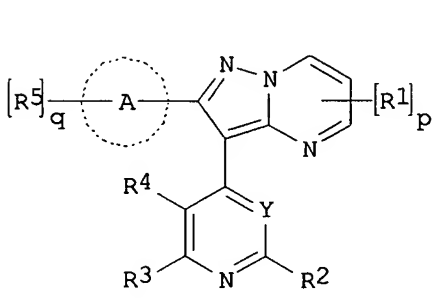
RN 625095-84-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-2-phenyl-7-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



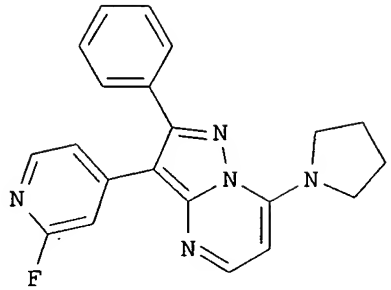
RN 625095-85-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopentyl-3-iodo-2-(4-methoxyphenyl)-
(9CI) (CA INDEX NAME)



GI



I



II

AB The title compds. [I; p = 1-3; R1 = halo, alkyl, cycloalkyl, etc.; Y = N, CH; R2 = aryl, 5-6 membered heterocyclyl or heteroaryl, aryloxy, etc.; R3, R4 = H, halo, alkyl, aryl, etc.; ring A = aryl, 5-10 membered heterocyclyl or heteroaryl; q = 0-5; R5 = halo, alkyl, cycloalkyl, aryl, etc.], useful for the prophylaxis or treatment of a herpes viral infection in an animal, were prepared Thus, coupling 3-bromo-2-phenyl-7-(pyrrolidin-1-yl)pyrazolo[1,5-a]pyrimidine with 2-fluoropyridin-4-ylboronic acid (prepns. given) in the presence of PdCl2(PPh3)2, Na2CO3 and a few drops of H2O in DMF afforded 32% II which showed IC50 of 28 μM against HSV-1. The pharmaceutical compns. containing the compds. I are claimed.

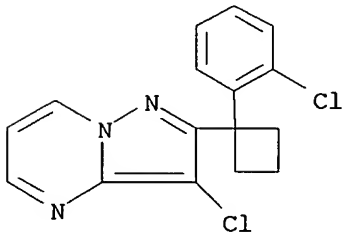
L4 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:42274 CAPLUS
DOCUMENT NUMBER: 138:106709
TITLE: Preparation of pyrazolopyrimidine derivatives and

analogs for treatment of autoimmune diseases
INVENTOR(S): Nakahira, Hiroyuki; Sone, Toshihiko; Hochigai, Hitoshi
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Company, Limited, Japan
SOURCE: PCT Int. Appl., 312 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

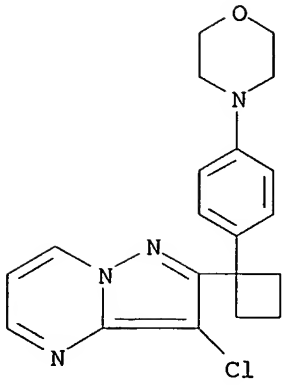
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004497	A1	20030116	WO 2002-JP6769	20020704

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

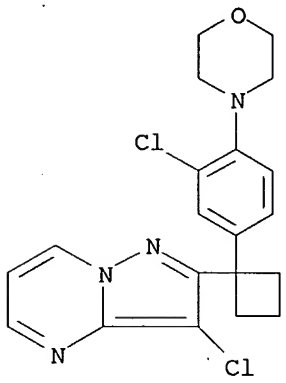
PRIORITY APPLN. INFO.: JP 2001-204839 A 20010705
OTHER SOURCE(S): MARPAT 138:106709
IT 485826-02-6P 485826-16-2P 485827-00-7P
485827-03-0P 485827-05-2P 485827-07-4P
485827-09-6P 485827-10-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidine derivs. and analogs for treatment of autoimmune diseases)
RN 485826-02-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-chloro-2-[1-(2-chlorophenyl)cyclobutyl]- (9CI) (CA INDEX NAME)



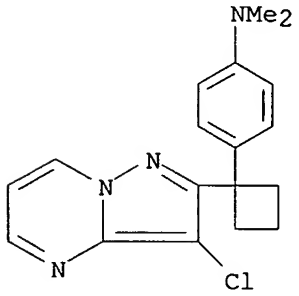
RN 485826-16-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-chloro-2-[1-[4-(4-morpholinyl)phenyl]cyclobutyl]- (9CI) (CA INDEX NAME)



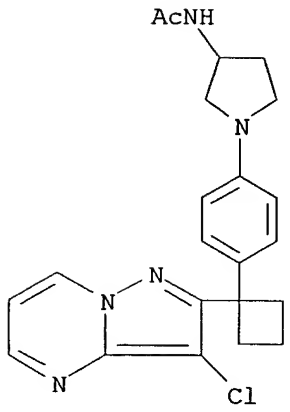
RN 485827-00-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-chloro-2-[1-[3-chloro-4-(4-morpholinyl)phenyl]cyclobutyl]- (9CI) (CA INDEX NAME)



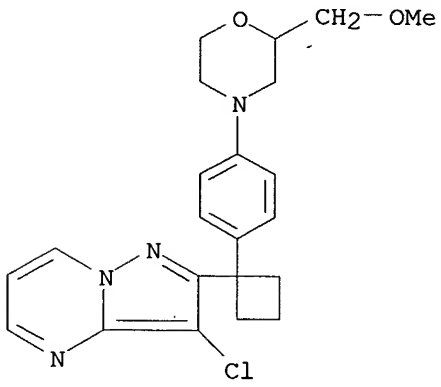
RN 485827-03-0 CAPLUS
CN Benzenamine, 4-[1-(3-chloropyrazolo[1,5-a]pyrimidin-2-yl)cyclobutyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



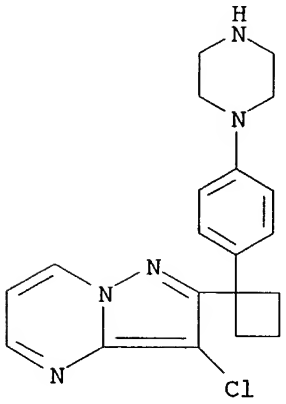
RN 485827-05-2 CAPLUS
CN Acetamide, N-[1-[4-[1-(3-chloropyrazolo[1,5-a]pyrimidin-2-yl)cyclobutyl]phenyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)



RN 485827-07-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-chloro-2-[1-[4-[2-(methoxymethyl)-4-morpholinyl]phenyl]cyclobutyl]- (9CI) (CA INDEX NAME)

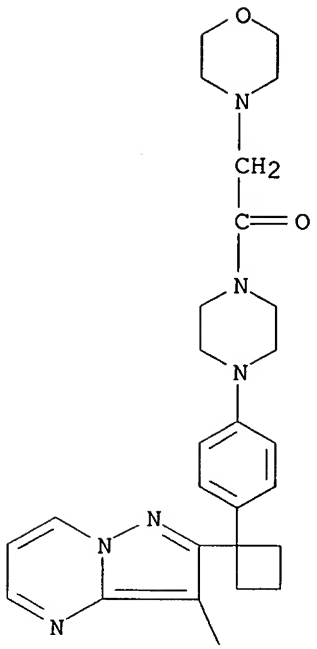


RN 485827-09-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-chloro-2-[1-[4-(1-piperazinyl)phenyl]cyclobutyl]- (9CI) (CA INDEX NAME)



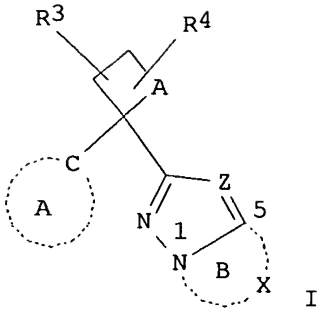
RN 485827-10-9 CAPLUS
CN Piperazine, 1-[4-[1-(3-chloropyrazolo[1,5-a]pyrimidin-2-yl)cyclobutyl]phenyl]-4-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

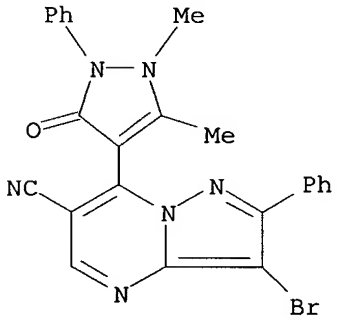
GI



AB The title compds. I [A is (CH2)m; m is an integer of 0 to 2; X together with the 1-position nitrogen atom and the 5-position carbon atom of the adjacent heterocycle forms an optionally substituted, saturated or unsatd. heterocycle B; Z represents nitrogen or optionally substituted carbon; R3 and R4 are the same or different and each represents hydrogen, halogeno, etc.; and ring A represents an (un)substituted, mono- or bicyclic, aromatic carbocycle or an (un)substituted, 5- to 12-membered, monocyclic or fused, aromatic heterocycle] are prepared In a mouse model with ulcerative colitis, a compound of this invention at 50 mg/kg orally showed therapeutic activity equal to that shown by sulfasalazine at 200 mg/kg orally.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

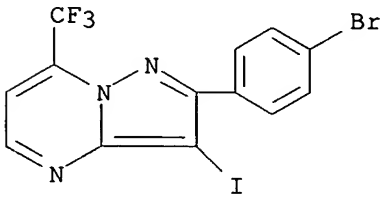
L4 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:4395 CAPLUS
DOCUMENT NUMBER: 138:321234
TITLE: Reactions with heterocyclic amidines: new routes for the synthesis of novel azolo[1,5-a]pyrimidine, benzo[4,5]imidazo[1,2-a]pyrimidine, some pyridine, pyran and pyrazole derivatives containing the antipyrine moiety
AUTHOR(S): Elmaati, Tarek M. Abu
CORPORATE SOURCE: Faculty of Specific Education, New Damietta, Egypt
SOURCE: Acta Chimica Slovenica (2002), 49(4), 721-732
CODEN: ACSLE7; ISSN: 1318-0207
PUBLISHER: Slovenian Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:321234
IT 511519-24-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of 3-dimethylamino-2-(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazole-4-carbonyl)acrylonitrile with nitrogen nucleophiles)
RN 511519-24-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 3-bromo-7-(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H-pyrazol-4-yl)-2-phenyl- (9CI) (CA INDEX NAME)



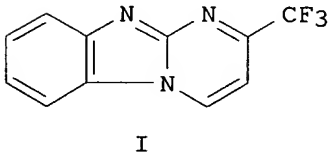
AB Some novel pyrazolo[1,5-a]pyrimidines, 1,2,4-triazolo[1,5-a]pyrimidine, and benzo[4,5]imidazo[1,2-a]pyrimidine could be synthesized by reacting 3-dimethylamino-2-(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazole-4-carbonyl)acrylonitrile (I) with 5-amino-3,4-substituted-1H-pyrazoles, 3-amino-1,2,4-triazole, and 2-aminobenzimidazole, resp. The reaction of I with 2-benzimidazolylacetonitrile afforded the benzo[4,5]imidazo[1,2-a]pyridine. On the other hand, the reaction of I with hydrazine, phenylhydrazine, malononitrile dimer, and Et cyanoacetate dimer produced pyrazole, pyridine, and pyrone derivs.
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:48962 CAPLUS
DOCUMENT NUMBER: 136:340655
TITLE: Efficient syntheses of new CF3-containing diazolopyrimidines
AUTHOR(S): Krasovsky, Arcady L.; Hartulyari, Anton S.; Nenajdenko, Valentine G.; Balenkova, Elizabeth S.
CORPORATE SOURCE: Department of Chemistry, Moscow State University, Moscow, 119899, Russia
SOURCE: Synthesis (2002), (1), 133-137

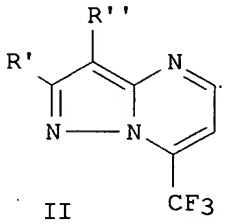
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:340655
IT 416860-66-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(cyclocondensation of aminoheterocycles with sulfonyl(trimethylsilyl)propenediols to give trifluoromethyl-containing diazolopyrimidines)
RN 416860-66-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 2-(4-bromophenyl)-3-iodo-7-(trifluoromethyl)-(9CI) (CA INDEX NAME)



GI



I



II

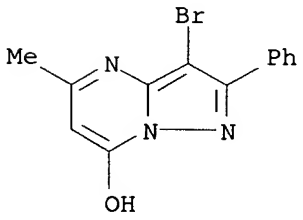
AB A new simple and efficient way to CF3-containing pyrimido[1,2-a]benzimidazoles, e.g., I, and pyrazolo[1,5-a]pyrimidines II (R' = Me, cyclopropyl, t-Bu, etc., R'' = H, ; R' = H, R'' = Ph, 4-ClC6H4, 2-MeC6H4) by reaction of 1,1,1-trifluoro-4-sulfonyl-but-3-ene-2,2-diols RSO2CH:CHC(OH)2CF3 (R = Ph, Me) with aminoheterocycles, e.g., 2-amino-1H-benzimidazole or 3-aminopyrazoles, is described. The influence of reaction conditions and nature of the aminoheterocycles on regiochem. was studied.
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:850975 CAPLUS
DOCUMENT NUMBER: 135:366758
TITLE: Agents for preventing or ameliorating insulin resistance and/or obesity
INVENTOR(S): Miyawaki, Kazumasa; Yamada, Yuichiro; Ban, Nobuhiro; Seino, Yutaka; Tubamoto, Yoshiharu; Takeda, Motohiro; Hashimoto, Hiroyuki; Yamashita, Tokuyuki; Jomori, Takahito
PATENT ASSIGNEE(S): Sanwa Kagaku Kenkyusho Co., Ltd., Japan
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087341	A1	20011122	WO 2001-JP4058	20010515
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2417590	AA	20011122	CA 2001-2417590	20010515
JP 2002037744	A2	20020206	JP 2001-144416	20010515
EP 1283058	A1	20030212	EP 2001-930173	20010515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003157107	A1	20030821	US 2003-276360	20030409
PRIORITY APPLN. INFO.:			JP 2000-143749 A	20000516
			WO 2001-JP4058 W	20010515

IT 374536-64-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(GIP receptor antagonists for preventing or ameliorating insulin resistance and/or obesity)
RN 374536-64-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-ol, 3-bromo-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)



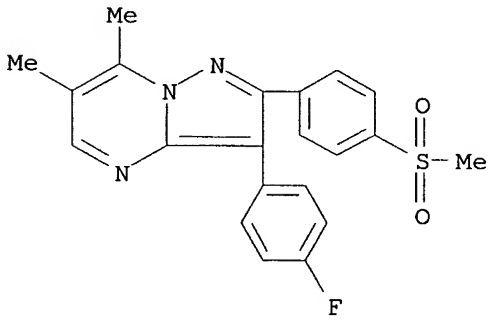
AB It is intended to provide agents for preventing or ameliorating insulin resistance and/or obesity based on a novel concept and a method of screening the same. Based on a finding that GIP causes insulin resistance and obesity via a new mechanism, a new concept that compds. inhibiting the GIP function have an effect of ameliorating insulin resistance and an antiobesitic effect is acquired. Namely, agents for preventing or ameliorating insulin resistance and/or obesity which contain as the active ingredient the compds. inhibiting the GIP function; and a method of screening agents for preventing or ameliorating insulin resistance and/or obesity characterized by selecting the compds. inhibiting the GIP function.
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:6613 CAPLUS
DOCUMENT NUMBER: 134:207784
TITLE: Synthesis and SAR of a new series of COX-2-selective inhibitors: pyrazolo[1,5-a]pyrimidines

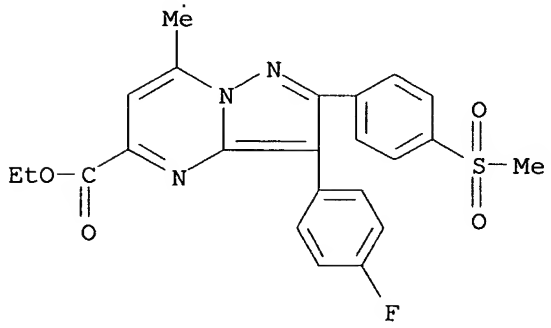
AUTHOR(S): Almansa, Carmen; de Arriba, Alberto F.; Cavalcanti, Fernando L.; Gomez, Luis A.; Miralles, Agusti; Merlos, Manuel; Garcia-Rafanell, Julian; Forn, Javier
CORPORATE SOURCE: Research Center, J. Uriach & Cia. S.A., Barcelona, 08026, Spain
SOURCE: Journal of Medicinal Chemistry (2001), 44(3), 350-361
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:207784

IT 328554-03-6P 328554-10-5P 328554-14-9P
328554-17-2P 328554-20-7P 328554-21-8P
328554-22-9P 328554-29-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation, COX-2 selective inhibitory activity, and structure-activity of pyrazolopyrimidines)

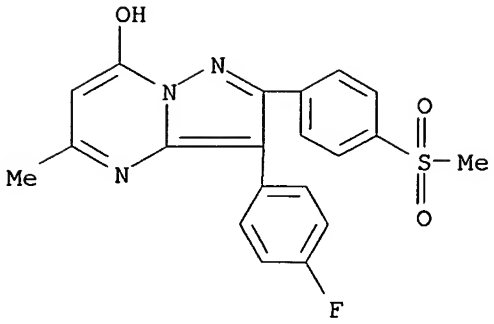
RN 328554-03-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-6,7-dimethyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



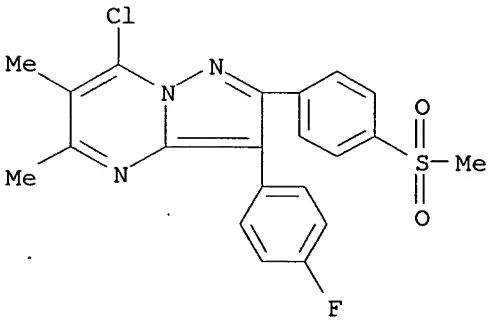
RN 328554-10-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



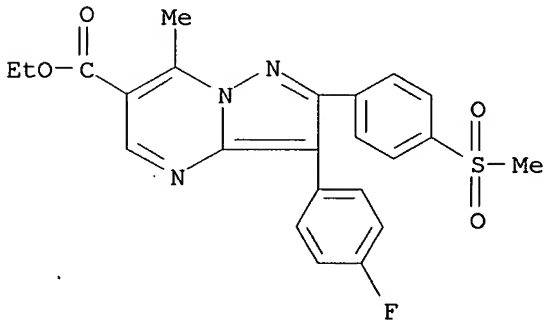
RN 328554-14-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-ol, 3-(4-fluorophenyl)-5-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



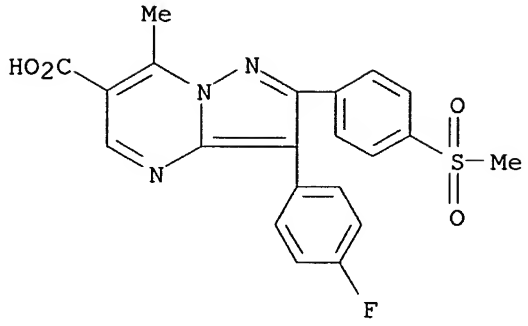
RN 328554-17-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-chloro-3-(4-fluorophenyl)-5,6-dimethyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



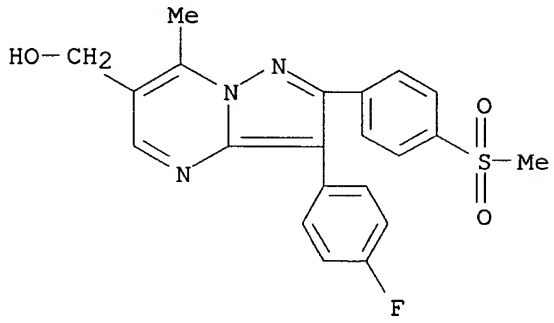
RN 328554-20-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



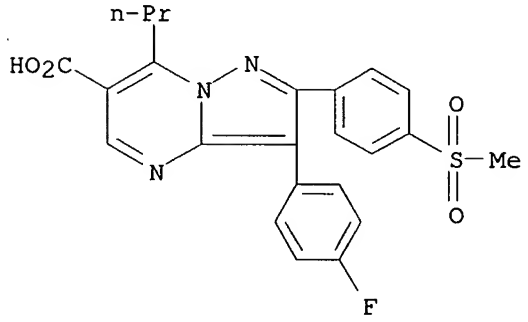
RN 328554-21-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 328554-22-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-methanol, 3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 328554-29-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-(4-fluorophenyl)-2-[4-(methylsulfonyl)phenyl]-7-propyl- (9CI) (CA INDEX NAME)

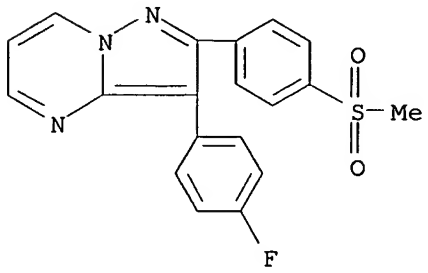


IT 328553-98-6P 328553-99-7P 328554-00-3P
328554-01-4P 328554-02-5P 328554-04-7P
328554-05-8P 328554-06-9P 328554-07-0P
328554-08-1P 328554-09-2P 328554-11-6P
328554-12-7P 328554-13-8P 328554-15-0P
328554-16-1P 328554-18-3P 328554-19-4P
328554-23-0P 328554-24-1P 328554-25-2P
328554-26-3P 328554-27-4P 328554-28-5P
328554-36-5P 328554-37-6P 328554-38-7P
328554-39-8P 328554-40-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, COX-2 selective inhibitory activity, and structure-activity of pyrazolopyrimidines)

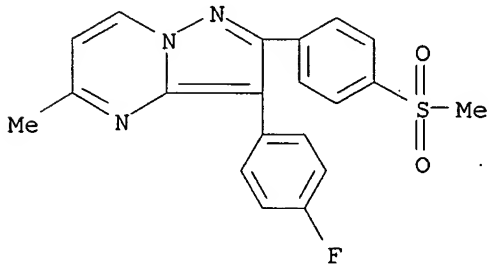
RN 328553-98-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



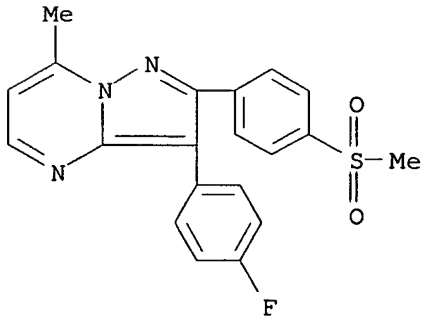
RN 328553-99-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-5-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



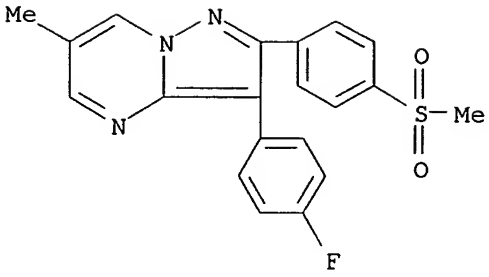
RN 328554-00-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

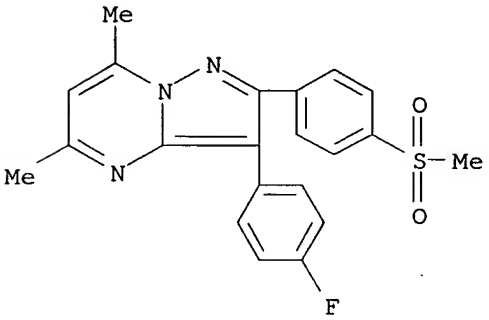


RN 328554-01-4 CAPLUS

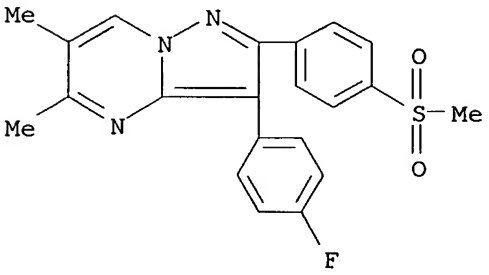
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-6-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



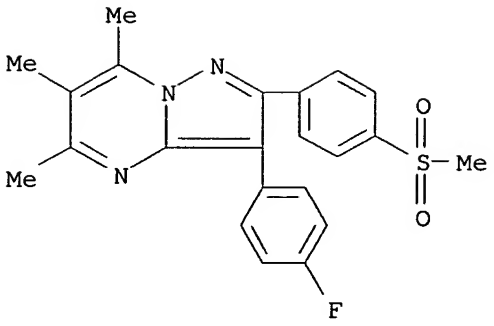
RN 328554-02-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-5,7-dimethyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



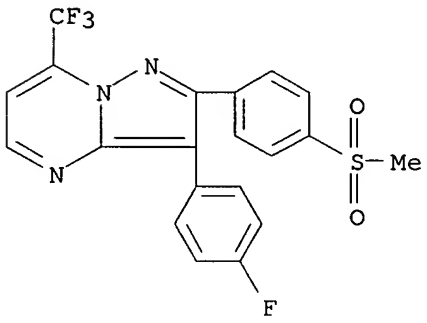
RN 328554-04-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-5,6-dimethyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



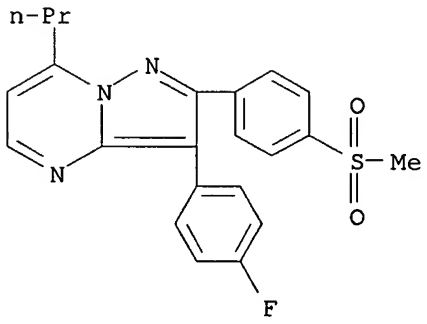
RN 328554-05-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-5,6,7-trimethyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



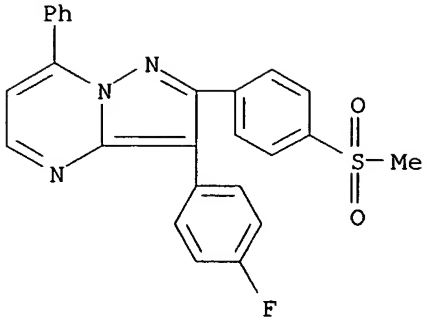
RN 328554-06-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-2-[4-(methylsulfonyl)phenyl]-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)



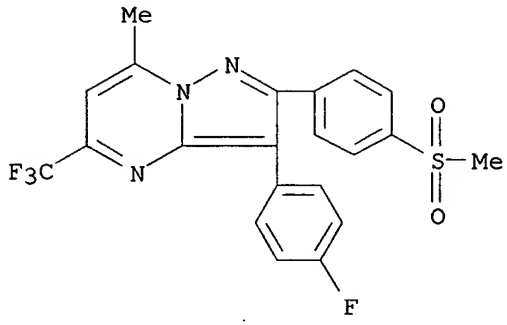
RN 328554-07-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-2-[4-(methylsulfonyl)phenyl]-7-propyl- (9CI) (CA INDEX NAME)



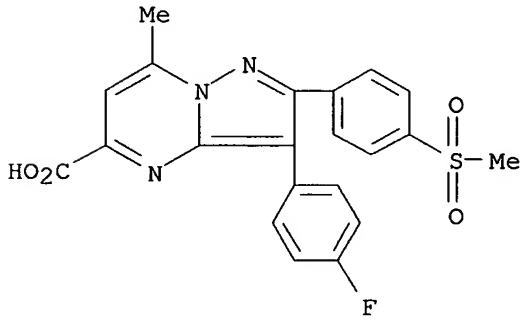
RN 328554-08-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-2-[4-(methylsulfonyl)phenyl]-7-phenyl- (9CI) (CA INDEX NAME)



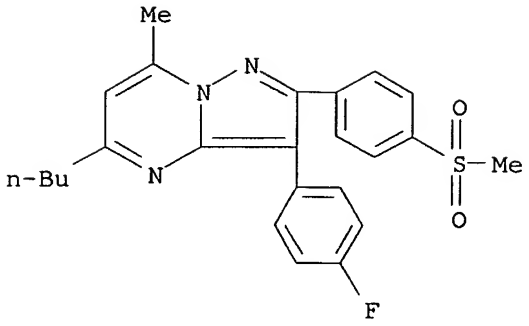
RN 328554-09-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



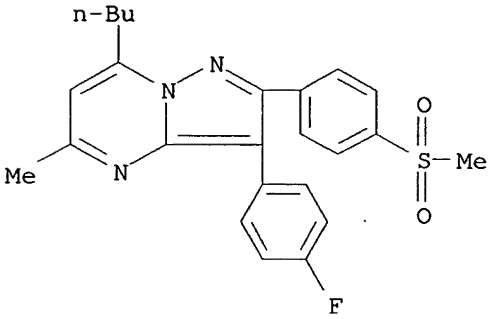
RN 328554-11-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



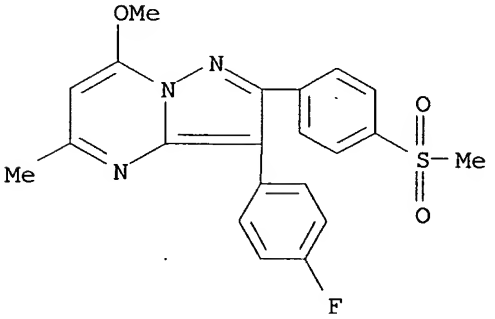
RN 328554-12-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 5-butyl-3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



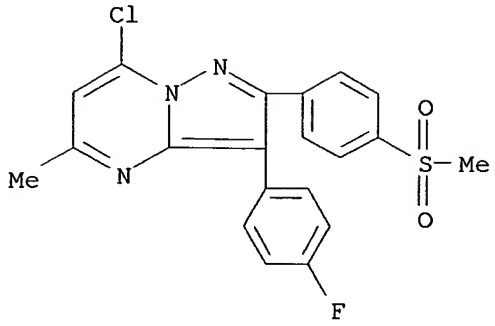
RN 328554-13-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-butyl-3-(4-fluorophenyl)-5-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



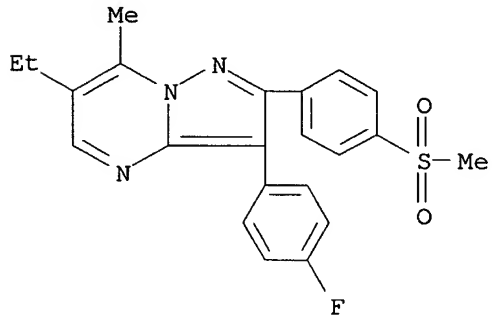
RN 328554-15-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-7-methoxy-5-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



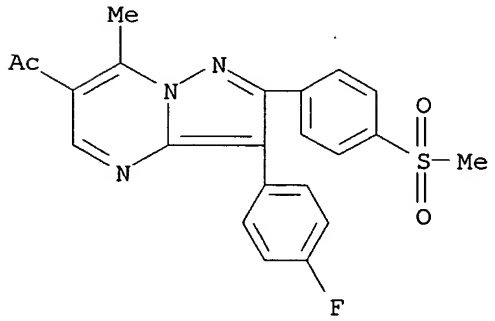
RN 328554-16-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-chloro-3-(4-fluorophenyl)-5-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



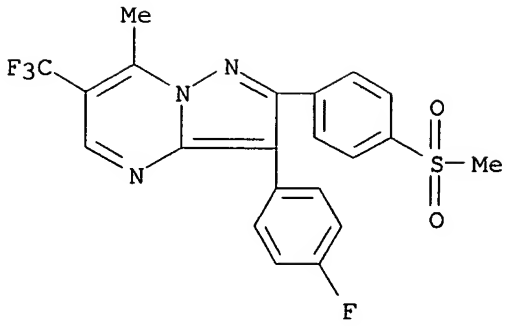
RN 328554-18-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-ethyl-3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



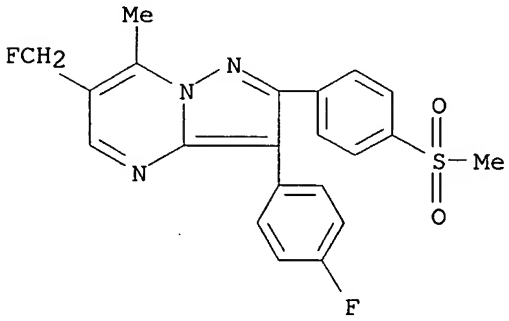
RN 328554-19-4 CAPLUS
CN Ethanone, 1-[3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]pyrazolo[1,5-a]pyrimidin-6-yl]- (9CI) (CA INDEX NAME)



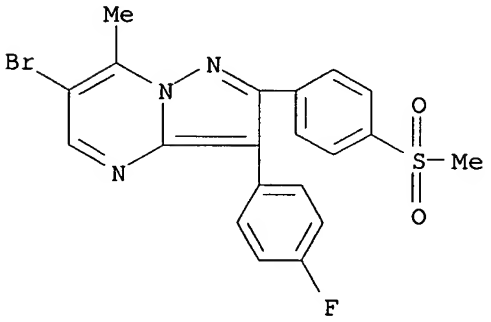
RN 328554-23-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



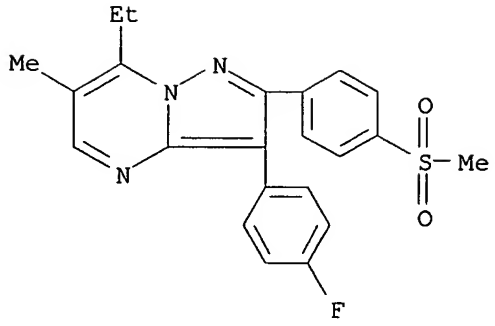
RN 328554-24-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-(fluoromethyl)-3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



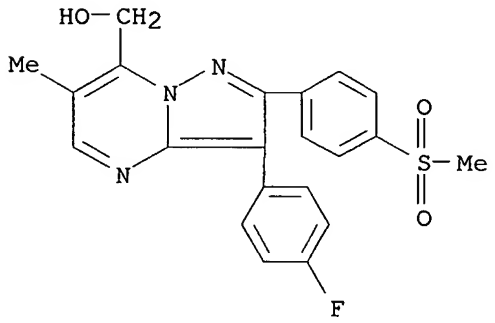
RN 328554-25-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 6-bromo-3-(4-fluorophenyl)-7-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



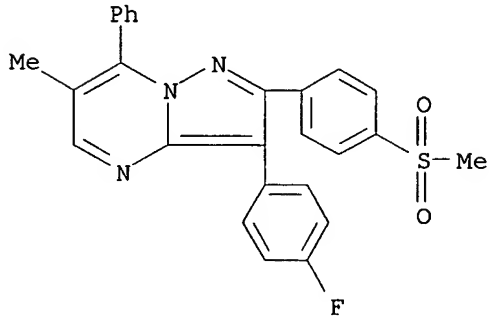
RN 328554-26-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-ethyl-3-(4-fluorophenyl)-6-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



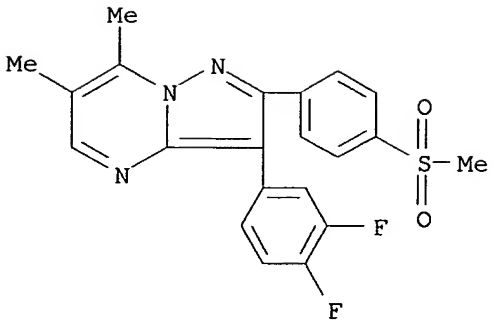
RN 328554-27-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-7-methanol, 3-(4-fluorophenyl)-6-methyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



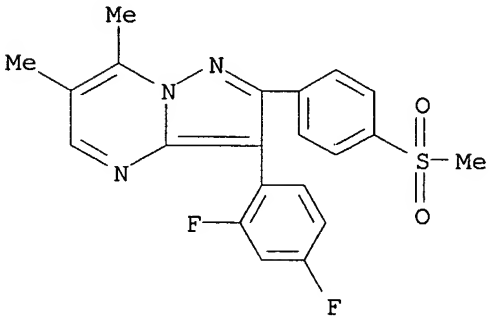
RN 328554-28-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-6-methyl-2-[4-(methylsulfonyl)phenyl]-7-phenyl- (9CI) (CA INDEX NAME)



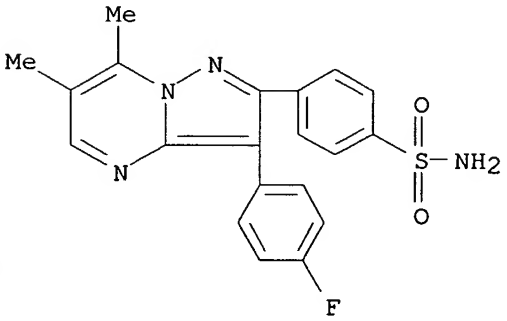
RN 328554-36-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(3,4-difluorophenyl)-6,7-dimethyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



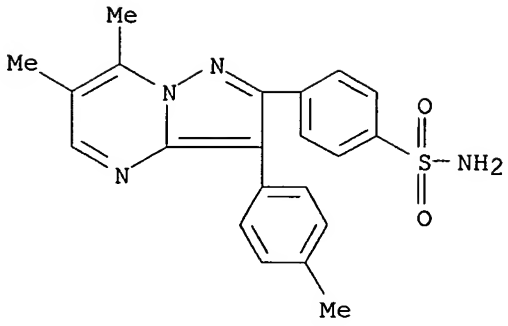
RN 328554-37-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(2,4-difluorophenyl)-6,7-dimethyl-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



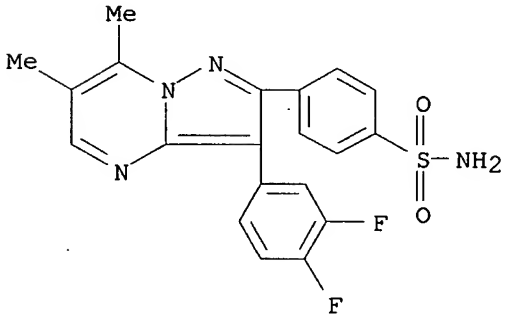
RN 328554-38-7 CAPLUS
CN Benzenesulfonamide, 4-[3-(4-fluorophenyl)-6,7-dimethylpyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



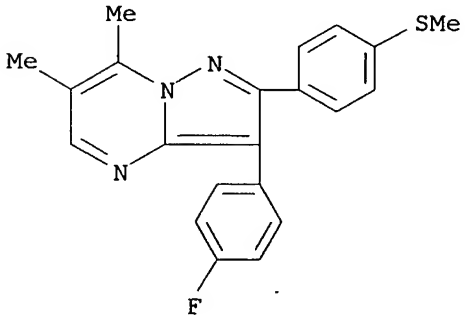
RN 328554-39-8 CAPLUS
CN Benzenesulfonamide, 4-[6,7-dimethyl-3-(4-methylphenyl)pyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



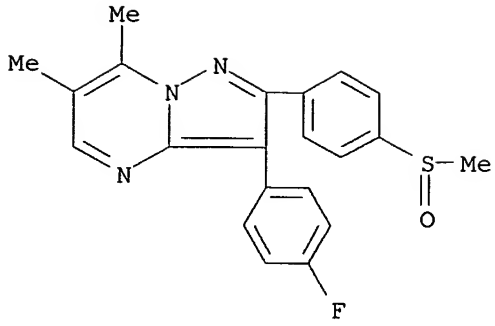
RN 328554-40-1 CAPLUS
CN Benzenesulfonamide, 4-[3-(3,4-difluorophenyl)-6,7-dimethylpyrazolo[1,5-a]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



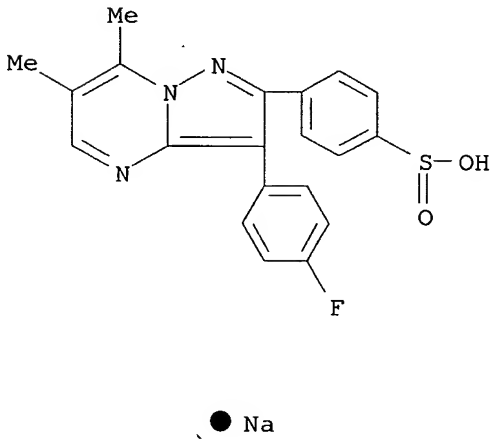
IT 328554-41-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, COX-2 selective inhibitory activity, and structure-activity of pyrazolopyrimidines)
RN 328554-41-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-6,7-dimethyl-2-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



IT 328554-42-3P 328554-43-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, COX-2 selective inhibitory activity, and structure-activity of pyrazolopyrimidines)
RN 328554-42-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-6,7-dimethyl-2-[4-(methylsulfinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 328554-43-4 CAPLUS
CN Benzenesulfinic acid, 4-[3-(4-fluorophenyl)-6,7-dimethylpyrazolo[1,5-a]pyrimidin-2-yl]-, sodium salt (9CI) (CA INDEX NAME)



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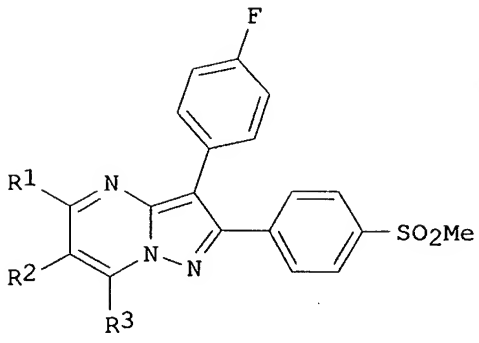
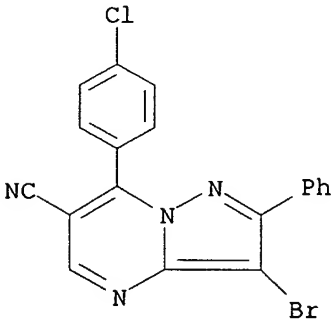


I

AB The synthesis and pharmacol. activity of a series of bicyclic pyrazolo[1,5-a]pyrimidines, e.g., I (R1, R2, R3 = H, Me), as potent and selective cyclooxygenase-2 (COX-2) inhibitors are described. The new compds. were evaluated both in vitro (COX-1 and COX-2 inhibition in human whole blood) and in vivo (carrageenan-induced paw edema and air-pouch model). Modification of the pyrimidine substituents showed that 6,7-disubstitution provided the best activity and led to the

identification of 3-(4-fluorophenyl)-6,7-dimethyl-2-[4-(methylsulfonyl)phenyl]pyrazolo[1,5-a]pyrimidine I (R1 = H, R2 = R3 = Me) as one of the most potent and selective COX-2 inhibitors in this series.
REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:310884 CAPLUS
DOCUMENT NUMBER: 133:89496
TITLE: Heterocyclic synthesis via enaminonitriles: an efficient, one step synthesis of some novel azolo[1,5-a]pyrimidine, pyrimido[1,2-a]benzimidazole, pyrido[1,2-a]benzimidazole, pyrimidine and pyrazole derivatives
AUTHOR(S): Al-Afaleq, Eljazi I.
CORPORATE SOURCE: Chemistry Department, Girls College of Science, Dammam, 31113, Saudi Arabia
SOURCE: Synthetic Communications (2000), 30(11), 1985-1999
CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:89496
IT 281665-68-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of nitrogen aromatic heterocycles via Michael addition of p-chlorobenzyl substituted enaminonitriles)
RN 281665-68-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 3-bromo-7-(4-chlorophenyl)-2-phenyl- (9CI) (CA INDEX NAME)



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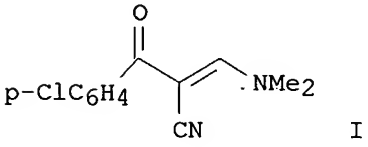


I

AB Novel p-chlorobenzyl substituted pyrazolo[1,5-a]pyrimidines, a 1,2,4-triazolo[1,5-a]pyrimidine, and a pyrimido[1,2-a]benzimidazole were synthesized by reacting 3-(4-chlorophenyl)-2-(N,N-dimethylamino)methylene-3-oxopropanenitrile (I) with 5-amino-3- and/or 4-substituted-1H-pyrazoles, 3-amino-1,2,4-triazole and 2-aminobenzimidazole. The reaction of I with 1H-benzimidazol-2-ylacetonitrile afforded the p-chlorobenzyl substituted pyrido[1,2-a]benzimidazole. The reaction of I with guanidine, hydrazine,

and Ph hydrazine afforded p-chlorobenzoyl substituted pyrimidine and pyrazole compds. However, the reaction of I with hydroxyl amine did not afford the expected isoxazole.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:136747 CAPLUS

DOCUMENT NUMBER: 132:293730

TITLE: Enaminonitriles in heterocyclic synthesis: New routes for the synthesis of some novel azolo[1,5-a]pyrimidine, pyrimido[1,2-a]benzimidazole, pyrido[1,2-a]benzimdazole, pyrazolo[3,4-b]pyridine, pyrazole and pyrimidine derivatives

AUTHOR(S): Al-Zaydi, Khadijah Mohamed; Al-Shiekh, Mariam Abd Alha; Hafez, Ebtisam Abdel-Aziz

CORPORATE SOURCE: Dep. Chem., Coll. Girls Education, Jeddah, 21481, Saudi Arabia

SOURCE: Journal of Chemical Research, Synopses (2000), (1), 13-15, 173-192
CODEN: JRPSDC; ISSN: 0308-2342

PUBLISHER: Science Reviews Ltd.

DOCUMENT TYPE: Journal

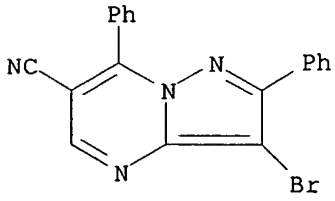
LANGUAGE: English

OTHER SOURCE(S): CASREACT 132:293730

IT 264927-69-7P 264927-70-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of fused-ring heterocycles via cyclocondensation reactions of (dimethylamino)benzoylacrylonitriles with heterocyclic amines)

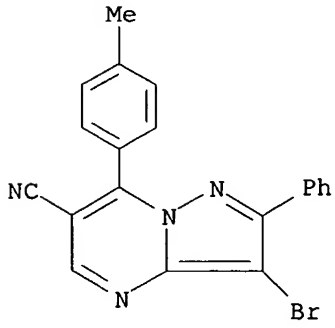
RN 264927-69-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 3-bromo-2,7-diphenyl- (9CI) (CA INDEX NAME)

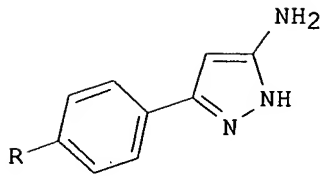


RN 264927-70-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 3-bromo-7-(4-methylphenyl)-2-phenyl- (9CI) (CA INDEX NAME)



GI



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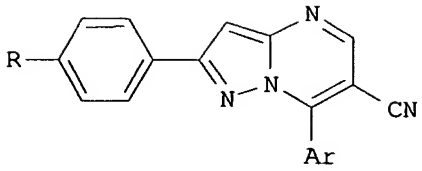
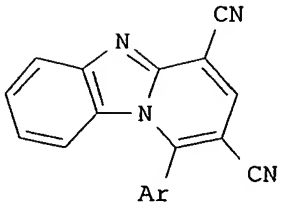


III



IV

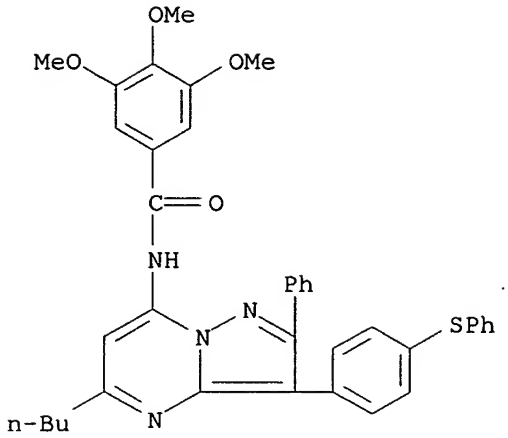
AB The synthesis of several new azolo[1,5-a]pyrimidines, pyrimido[1,2-a]benzimidazoles, pyrazolo[3,4-b]pyridines, pyrido[1,2-a]benzimidazoles, pyrazoles, and pyrimidines was reported. Thus, cyclocondensation of the enaminonitriles ArCOC(C.tplbond.N):CHNMe2 (I; Ar = Ph, 4-MeC6H4) with the aminopyrazoles II (R = H, Me) gave the pyrazolopyrimidinecarbonitriles III. Similarly, cyclization of I with 2-(cyanomethyl)benzimidazole gave the dicyanopyridobenzimidazoles IV.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

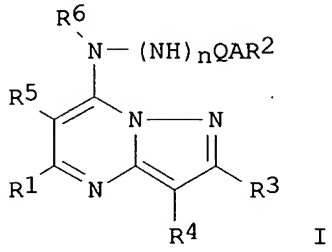
L4 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:246630 CAPLUS
DOCUMENT NUMBER: 128:248613
TITLE: Adenosine reinforcement agents
INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Ootsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 10101672	A2	19980421	JP 1997-208772		19970804
PRIORITY APPLN. INFO.:			JP 1996-207171	A	19960806

OTHER SOURCE(S): MARPAT 128:248613
IT 174859-38-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine reinforcement agents)
RN 174859-38-2 CAPLUS
CN Benzamide, N-[5-butyl-2-phenyl-3-[4-(phenylthio)phenyl]pyrazolo[1,5-a]pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)



GI



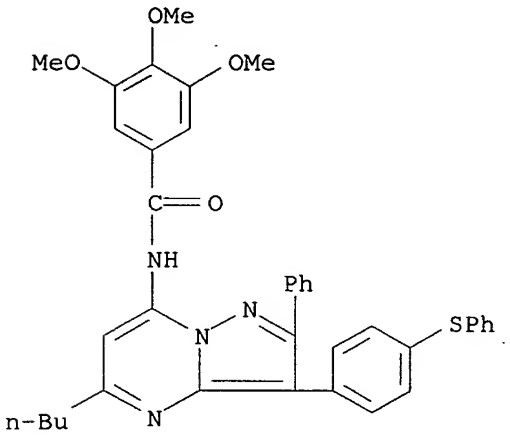
I

AB The title compds. [I; R1 = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl; R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl; R6 = H, lower alkyl, (un)substituted benzoyl, etc.; Q = CO, SO2; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as adenosine reinforcement agents. I, possessing adenosine reinforcement activity, are useful for prevention and treatment of heart attack, myocardial and brain infarction. Ten compds. of I were tested and showed excellent adenosine reinforcement activity. Formulation containing I were also prepared

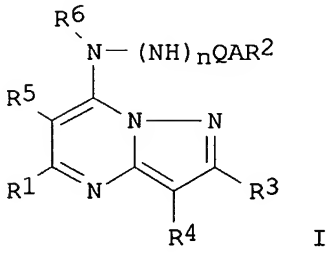
L4 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:246629 CAPLUS
DOCUMENT NUMBER: 128:248612
TITLE: Nitrogen monooxide synthase inhibitors
INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Ootsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 10101671	A2	19980421	JP 1997-207867		19970801
PRIORITY APPLN. INFO.:			JP 1996-209465	A	19960808
OTHER SOURCE(S):	MARPAT 128:248612				

IT 174859-38-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrozolopyrimidine derivs. as nitrogen monooxide synthase inhibitors)
RN 174859-38-2 CAPLUS
CN Benzamide, N-[5-butyl-2-phenyl-3-[4-(phenylthio)phenyl]pyrazolo[1,5-a]pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)



GI



I

AB The title compds. [I; R1 = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl; R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl; R6 = H, lower alkyl, (un)substituted benzoyl, etc.; Q = CO, SO2; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as NO synthase inhibitors. I are useful for prevention and treatment of septicemia. 14 Compds. of I were tested and showed excellent NO synthase inhibitory activity. Formulation containing I were also prepared

L4 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:303413 CAPLUS
DOCUMENT NUMBER: 126:277485
TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as analgesics
INVENTOR(S): Inoue, Makoto; Okamura, Takashi; Shoji, Yasuo; Hashimoto, Kinji; Ohara, Masayuki; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2

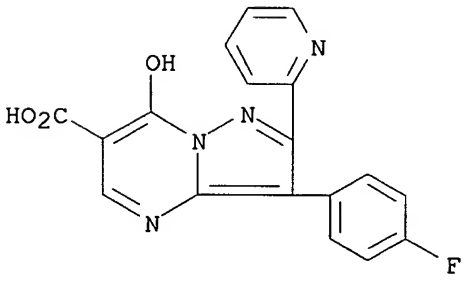
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711946	A1	19970403	WO 1996-JP2759	19960924
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2206080	AA	19970403	CA 1996-2206080	19960924
AU 9670022	A1	19970417	AU 1996-70022	19960924
AU 707530	B2	19990715		
EP 795555	A1	19970917	EP 1996-931299	19960924
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1169149	A	19971231	CN 1996-191570	19960924
TW 492970	B	20020701	TW 1996-85111836	19960926
US 5843951	A	19981201	US 1997-836822	19970521
PRIORITY APPLN. INFO.:			JP 1995-289096 A	19950928
			WO 1996-JP2759 W	19960924

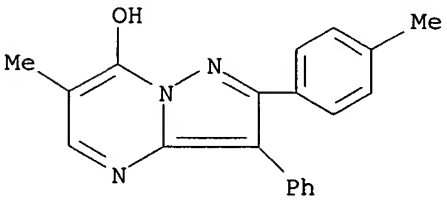
OTHER SOURCE(S): MARPAT 126:277485

IT 148612-04-8P 189018-07-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidine derivs. as analgesics)

RN 148612-04-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-(4-fluorophenyl)-7-hydroxy-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 189018-07-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-ol, 6-methyl-2-(4-methylphenyl)-3-phenyl- (9CI) (CA INDEX NAME)



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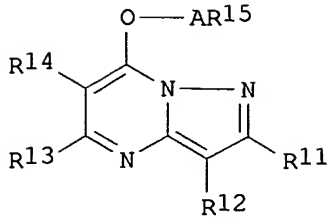
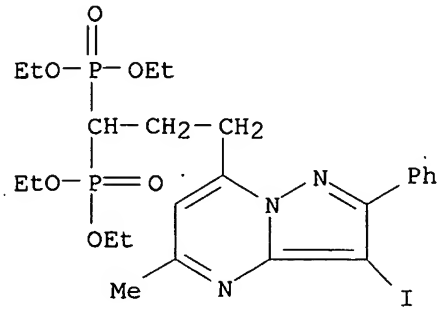


I

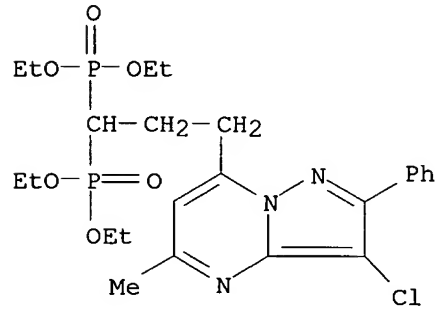
AB Claimed are analgesics which contain as the active ingredient pyrazolo[1,5-a]pyrimidine derivs. represented by general formula [e.g. I; R11 = H, lower alkyl, pyridyl, furyl, thienyl, Ph optionally having lower alkyl or phenylthio as substituent(s), N-(lower alkyl)pyrrolyl, or pyrazinyl; R12 = H, halo, Ph, Ph having substituent(s) selected from among halo, phenylthio and CF3, Ph having CF3 and NO2 as substituents, or Ph having lower alkoxy and phenylthio as substituents; R13 = H, lower alkyl optionally having oxo, ethylenedioxy, lower alkanoyloxy, lower alkoxy, lower alkylthio, CO2H, halo or thienyl as substituent(s), lower alkenyl, cycloalkyl, Ph optionally having one to three substituents selected from among lower alkyl, halo and lower alkoxy, furyl, or thienyl; R14 = H, CO2H, lower alkoxycarbonyl, NO2, halo, or lower alkyl having lower alkoxycarbonyl or an alkali metal carboxylate residue as substituent(s), or alternatively R13 and R14 may be bonded to each other to thereby form lower alkylene; R15 = H, alkali metal, lower alkyl, Ph optionally having one to three substituents selected from among lower alkyl and lower alkoxy, pyridyl optionally having lower alkyl or halogeno as substituent(s), quinolyl or isoquinolyl; A = a single bond or lower alkylene]. These compds. have an analgetic action and are useful in relieving symptoms with pain such as postoperative pain and migraine. Thus, a solution of 1.5 g 3-amino-4-(4-phenylthio)phenylpyrazole and 1.1 g Et 2-cyclohexanecarboxylate in AcOH was heated at 100° for 3 h to give 1.8 g 9-hydroxy-3-(4-phenylthio)phenyl-5,6,7,8-tetrahydropyrazolo[5,1-b]quinazoline. I (R11 = R12 = R14 = H, R13= Bu, R15 = 3-pyridyl, A = CH2) and I (R11 = R12 = R14 = H, R13= Bu, R15 = 4-pyridyl, A = CH2) (II) at 3 mg/kg p.o. showed 95.3 and 92.8% recovery of pain threshold value in rat, resp., which was measured 3 h after the administration of the compound Formulations, e.g. tablet containing II, are described.

L4 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:680346 CAPLUS
DOCUMENT NUMBER: 126:8203
TITLE: New antiinflammatory/antiarthritic heterocyclic bisphosphonates
AUTHOR(S): Nugent, Richard A.; Dunn, Colin J.; Staite, Nigel D.; Murphy, Michael J.; Schlachter, Stephen T.; Aspar, Danielle G.; Shields, Sharon K.; Galinet, Louise A.
CORPORATE SOURCE: Upjohn Co., Kalamazoo, MI, 49001, USA
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1996), 109-110(1-4, Proceedings of the Thirteenth International Conference on Phosphorus Chemistry, 1995), 229-232
CODEN: PSSLEC; ISSN: 1042-6507
PUBLISHER: Gordon & Breach
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 146777-99-3P 146778-00-9P 146778-01-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiinflammatory/antiarthritic activity of)

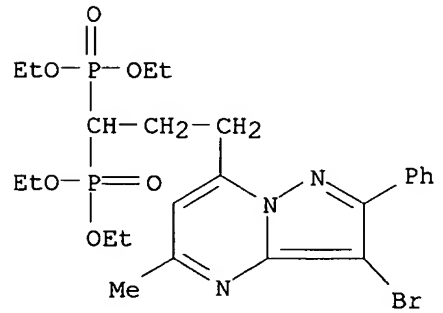
RN 146777-99-3 CAPLUS
CN Phosphonic acid, [3-(3-iodo-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)propylidene]bis-, tetraethyl ester (9CI) (CA INDEX NAME)



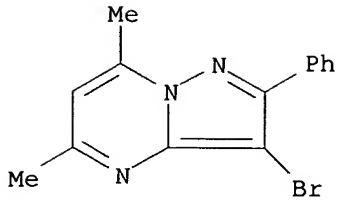
RN 146778-00-9 CAPLUS
CN Phosphonic acid, [3-(3-chloro-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)propylidene]bis-, tetraethyl ester (9CI) (CA INDEX NAME)



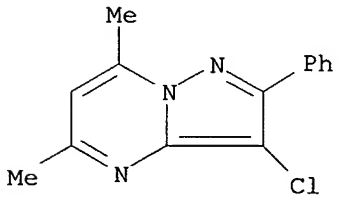
RN 146778-01-0 CAPLUS
CN Phosphonic acid, [3-(3-bromo-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)propylidene]bis-, tetraethyl ester (9CI) (CA INDEX NAME)



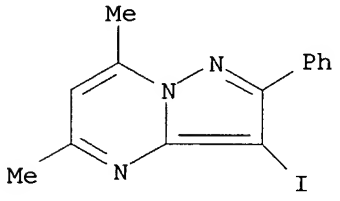
IT 87119-68-4P 183536-76-7P 183536-78-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidinylpropylphosphonates from)
RN 87119-68-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-5,7-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 183536-76-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-chloro-5,7-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 183536-78-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-iodo-5,7-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



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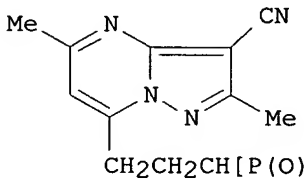

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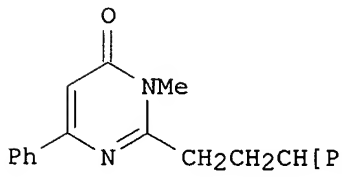


II

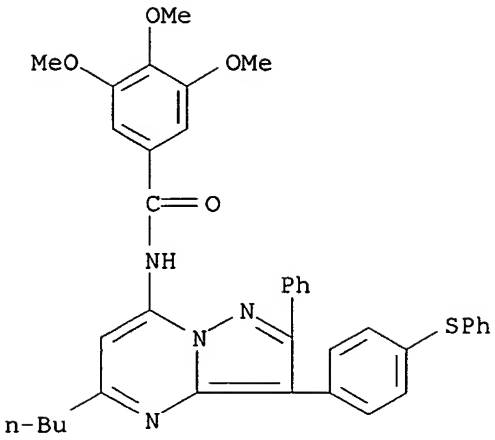
AB In research toward a safe and effective treatment for rheumatoid arthritis, the authors identified new pyrazolo[1,5-a]pyrimidine and 4-pyrimidinone bisphosphonate esters, e.g., I and II, which are potent inhibitors of a murine model of chronic, cutaneous inflammation (delayed

type hypersensitivity granuloma) and a murine antigen induced arthritis model. II has EC30 values of 0.01 and 0.005 mg/kg resp. and represents a new class of antiinflammatory/antiarthritic bisphosphonate ester.

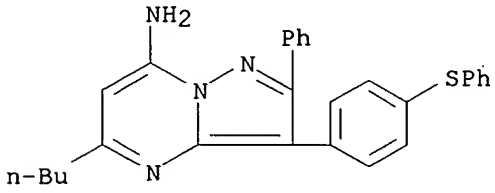
L4 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:196727 CAPLUS
DOCUMENT NUMBER: 124:261026
TITLE: Preparation and formulation of pyrazolopyrimidine derivatives as analgesics
INVENTOR(S): Shoji, Yasuo; Inoue, Makoto; Okamura, Takashi; Hashimoto, Kinji; Ohara, Masayuki; Yasuda, Tsuneo
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9535298	A1	19951228	WO 1995-JP1104	19950605
W: AU, CA, CN, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2169719	AA	19951228	CA 1995-2169719	19950605
CA 2169719	C	20020416		
AU 9525765	A1	19960115	AU 1995-25765	19950605
AU 680370	B2	19970724		
EP 714898	A1	19960605	EP 1995-920260	19950605
EP 714898	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1131948	A	19960925	CN 1995-190760	19950605
CN 1046730	B	19991124		
JP 08311068	A2	19961126	JP 1995-137878	19950605
JP 3163412	B2	20010508		
JP 08310951	A2	19961126	JP 1995-137890	19950605
JP 3163413	B2	20010508		
AT 208776	E	20011115	AT 1995-920260	19950605
ES 2164153	T3	20020216	ES 1995-920260	19950605
PT 714898	T	20020429	PT 1995-920260	19950605
US 5707997	A	19980113	US 1996-602824	19960221
PRIORITY APPLN. INFO.:			JP 1994-138635 A	19940621
			JP 1995-53997 A	19950314
			WO 1995-JP1104 W	19950605

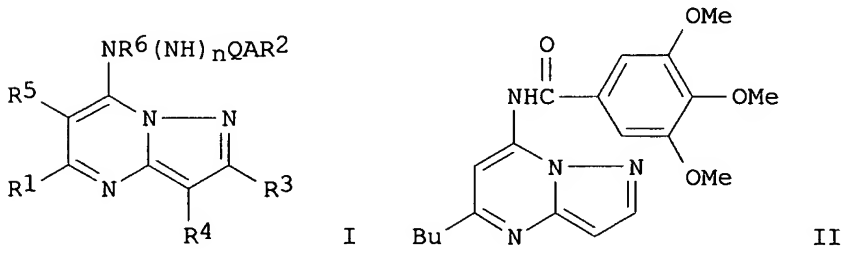
OTHER SOURCE(S): MARPAT 124:261026
IT 174859-38-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidine derivs. as analgesics)
RN 174859-38-2 CAPLUS
CN Benzamide, N-[5-butyl-2-phenyl-3-[4-(phenylthio)phenyl]pyrazolo[1,5-a]pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)



IT 174859-82-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidine derivs. as analgesics)
RN 174859-82-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-butyl-2-phenyl-3-[4-(phenylthio)phenyl]- (9CI) (CA INDEX NAME)



GI

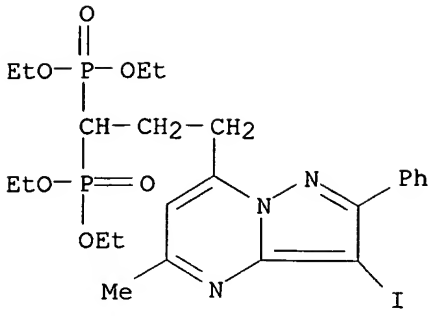


AB The title compds. I [R1 represents hydrogen, lower alkyl, cycloalkyl, thienyl, furyl, lower alkenyl or phenyl; R2 represents naphthyl, cycloalkyl, furyl, thienyl, pyridyl, phenoxy or phenyl; R3 represents hydrogen, Ph or lower alkyl; R4 represents hydrogen, lower alkyl, lower alkoxycarbonyl, phenyl-substituted lower alkyl, Ph or halogen; R5 represents hydrogen or lower alkyl; R6 represents hydrogen, lower alkyl, phenyl-substituted lower alkyl or benzoyl; Q represents carbonyl or sulfonyl; A represents a single bond, lower alkylene or lower alkenylene; and n represents 0 or 1] are prepared The title compound II (preparation given) at
3 mg/Kg orally showed potent analgesic activity in rats.

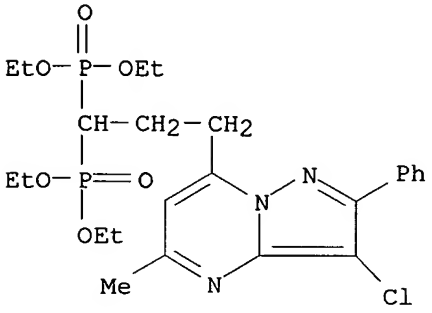
L4 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:708492 CAPLUS
DOCUMENT NUMBER: 123:112407
TITLE: Pyrazolopyrimidine and pyrimidinyl bisphosphonic esters as anti-inflammatories
INVENTOR(S): Nugent, Richard A.; Schlachter, Stephen T.
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: U.S., 9 pp. Cont.-in-part of U.S. Ser. No. 725,047, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5397774	A	19950314	US 1993-175216	19931228
ZA 9204284	A	19931213	ZA 1992-4284	19920611
IL 102171	A1	19961114	IL 1992-102171	19920611
CA 2110167	AA	19930121	CA 1992-2110167	19920701
WO 9301198	A1	19930121	WO 1992-US5398	19920701
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9222408	A1	19930211	AU 1992-22408	19920701
AU 653428	B2	19940929		
EP 593575	A1	19940427	EP 1992-914301	19920701
EP 593575	B1	19970507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
SK 277986	B6	19950913	SK 1993-1504	19920701
HU 70758	A2	19951030	HU 1994-3	19920701
AT 152728	E	19970515	AT 1992-914301	19920701
RU 2079506	C1	19970520	RU 1993-58618	19920701
CN 1068332	A	19930127	CN 1992-105346	19920703
CN 1031826	B	19960522		
NO 9400009	A	19940109	NO 1994-9	19940103

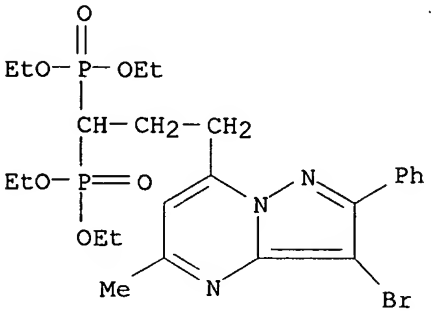
PRIORITY APPLN. INFO.: US 1991-725046 B2 19910703
US 1991-725047 B2 19910703
WO 1992-US5398 A 19920701
OTHER SOURCE(S): CASREACT 123:112407; MARPAT 123:112407
IT 146777-99-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrazolopyrimidinyl and pyrimidinyl bisphosphonic esters as anti-inflammatories)
RN 146777-99-3 CAPLUS
CN Phosphonic acid, [3-(3-iodo-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)propylidene]bis-, tetraethyl ester (9CI) (CA INDEX NAME)



IT 146778-00-9P 146778-01-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolopyrimidinyl and pyrimidinyl bisphosphonic esters as anti-inflammatories)
RN 146778-00-9 CAPLUS
CN Phosphonic acid, [3-(3-chloro-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)propylidene]bis-, tetraethyl ester (9CI) (CA INDEX NAME)



RN 146778-01-0 CAPLUS
CN Phosphonic acid, [3-(3-bromo-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)propylidene]bis-, tetraethyl ester (9CI) (CA INDEX NAME)



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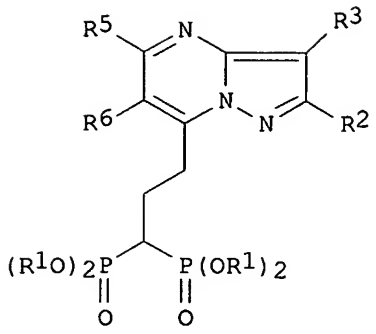


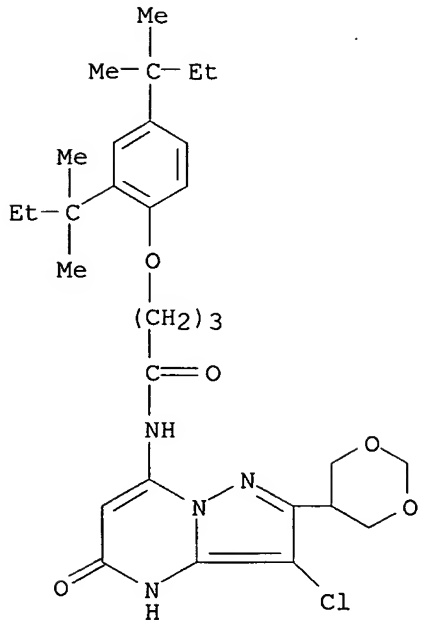
I

AB The preparation of title compds., e.g. I (R1 = H, Na, K, C1-6 alkyl, benzyl, (un)substituted Ph, etc.; R2 = H, C1-6 alkyl, benzoyloxy, benzyloxy, C1-6 alkoxy, phenoxy, C3-7 cycloalkyl, (un)substituted Ph, etc.; R3 = H, cyano, CO2R1, CO2R2, amido, halo, NO2, cyano, CF3, C1-10 alkyl, C3-7 cycloalkyl, Ph; R5 = H, C1-6 alkyl, C3-7 cycloalkyl; R6 = H, halo, C1-6 alkyl), useful in the treatment of inflammation, is described. Thus, deprotonation of 5,7-dimethyl-2-phenylpyrazolo(1,5-a)pyrimidine-3-carbonitrile with LiN(SiMe3)2 in THF followed by treatment with ethylidenebis(Et phosphonate) and acidic workup gave title compound, (3-(3-cyano-5-methyl-2-phenylpyrazolo(1,5a)pyrimin-7-yl)propylidene)bisphosphonic acid tetra-Et ester. The compds. are useful as anti-inflammatory and anti-arthritic agents without inhibiting prostaglandin synthesis. The biol. activity of some of the compds. prepared is given.

L4 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:389702 CAPLUS
DOCUMENT NUMBER: 122:174165
TITLE: Color photographic material
INVENTOR(S): Ikesu, Satoru; Ishidai, Hiroshi; Kaneko, Yutaka
PATENT ASSIGNEE(S): Konishiroku Photo Ind, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 59 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06222527	A2	19940812	JP 1993-10901	19930126

PRIORITY APPLN. INFO.: JP 1993-10901 19930126
IT 161285-82-1
RL: DEV (Device component use); USES (Uses)
(photog. coupler)
RN 161285-82-1 CAPLUS
CN Butanamide, 4-[2,4-bis(1,1-dimethylpropyl)phenoxy]-N-[3-chloro-2-(1,3-dioxan-5-yl)-4,5-dihydro-5-oxopyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



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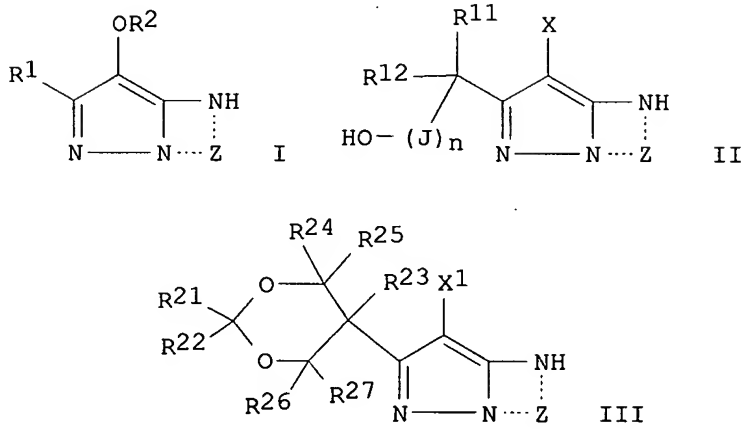


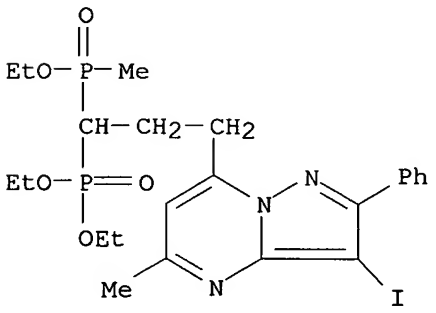
AB The title color photog. material contains I, II, and III [R1 = H, substituent; R2 = alkyl, aryl, heterocyclyl; Z = non-metallic atoms required to complete a 6-membered heterocyclic ring; R11,12 = H, alkyl, aryl, heterocyclyl, -JnOH; J = bivalent linking group; n = 0, 1; X, X1 = H, group releasable on reaction with oxidized color developing agent; R21-27 = H, alkyl, aryl, heterocyclyl]. Color images produced with this photog. material show good stability to heat, humidity, and light.

L4 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:534466 CAPLUS
DOCUMENT NUMBER: 121:134466
TITLE: Preparation of pyrimidine bisphosphonate esters and (alkoxymethylphosphinyl)alkyl phosphonic acids as antiinflammatories
INVENTOR(S): Dunn, Colin John; Chin, Jia En; Nugent, Richard Allen; White, David R.; Fritzen, Edward L., Jr.
PATENT ASSIGNEE(S): Upjohn Co., USA

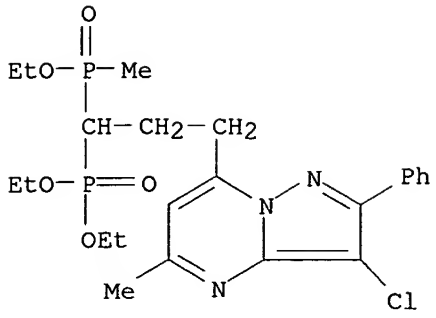
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9409017	A1	19940428	WO 1993-US8626	19930920
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9348594	A1	19940509	AU 1993-48594	19930920
EP 663919	A1	19950726	EP 1993-921537	19930920
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08502287	T2	19960312	JP 1993-509997	19930920
US 5635495	A	19970603	US 1995-416797	19950406
PRIORITY APPLN. INFO.:			US 1992-958986	A2 19921009
			US 1992-959316	A2 19921009
			WO 1993-US8626	W 19930920

OTHER SOURCE(S): MARPAT 121:134466
IT 157129-20-9P 157129-21-0P 157129-22-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory)
RN 157129-20-9 CAPLUS
CN Phosphonic acid, [1-(ethoxymethylphosphinyl)-3-(3-iodo-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)propyl]-, diethyl ester (9CI) (CA INDEX NAME)

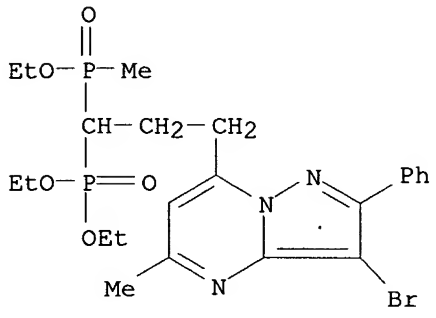


RN 157129-21-0 CAPLUS
CN Phosphonic acid, [3-(3-chloro-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-1-(ethoxymethylphosphinyl)propyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 157129-22-1 CAPLUS

CN Phosphonic acid, [3-(3-bromo-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-1-(ethoxymethylphosphinyl)propyl]-, diethyl ester (9CI) (CA INDEX NAME)



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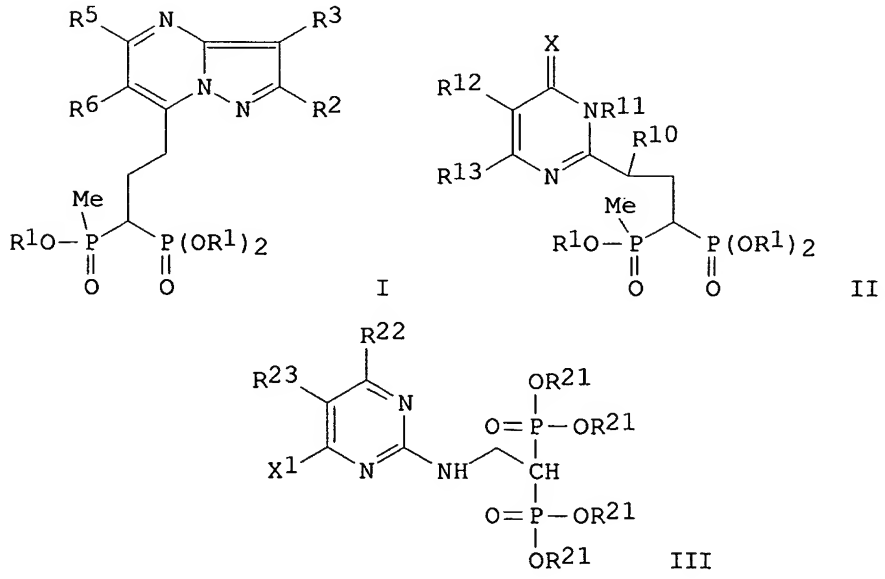


AB Title compds. I (X = O, S; R1 = H, Na, K, tromethamine, C1-6 alkyl, PhCH2, (substituted) Ph, or both R1 on the same P = (CH2)2 (CH2)3, CH2CMe2CH2

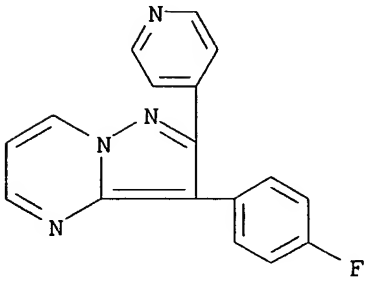
form a heterocycle; R2 = H, C1-y alkyl, BzO, PhCH2O, C1-6 alkoxy, PhO, C3-7 cycloalkyl, (substituted) Ph, (substituted) 2-, 4-, 5-pyrimidinyl, (substituted) 2-, 3-, 4-pyridyl, (substituted) 1-, 2-naphthalenyl; R3 = H, NC, R1O2C, R2CO, (R5)2NCO, halo, O2N, F3C, C1-10 alkyl, C3-7 cycloalkyl, Ph wherein R5 = H, C1-6 alkyl, C3-7 cycloalkyl; R6 = H, halo, C1-6 alkyl), II (R10 = C1-6 alkyl, C3-7 cycloalkyl, (substituted) Ph, etc.; R11 = H, C1-6 alkyl, allyl, substituted MeO, etc.; R12 = H, C1-6 alkyl, halo, O2N; R13 = H, C1-6 alkyl, C3-7 cycloalkyl, (substituted) Ph, (substituted) pyridyl, (substituted) naphthalenyl, substituted amino, heterocyclyl, etc.), and III (R21 = H, C1-6 alkyl, C3-8 cycloalkyl, PhCH2, or both R21 on the same P = (CH2)2, (CH2)3, CH2CMe2CH2 form a heterocycle; R22 = H, C1-6 alkyl, C3-8 cycloalkyl, (substituted) Ph, etc.; R23 = H, Ph, halo, etc.; X1 = R24O, R24S, heterocyclyl wherein R24 = (substituted) Ph, etc.) or a salt thereof, are prepared NaH was added to 2,4-F2C6H3OH followed by 2-amino-4-chloro-6-methylpyrimidine to give the pyrimidine ether which was treated with 2,2'-ethenylidenebis(5,5-dimethyl2,2'-dioxide-1,3-2-dioxaphosphorinane) (preparation given) to give III (R21 = CH2CMe2CH2, R22 = Me, R23 = H, X1 = 2,4-F2C6H3O) (IV). In a delayed type hypersensitivity granuloma assay model for inflammation, IV at 10 mg/kg, po, showed 70.6 and 58.5% inhibition of wet and dry weight Title compds. were also tested in an in vitro model which identifies Ca channel blocking activity.

L4 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:603440 CAPLUS
DOCUMENT NUMBER: 119:203440
TITLE: Preparation of condensed pyrazole derivatives with interleukin-1 and tumour necrosis factor inhibitory activity
INVENTOR(S): Oku, Teruo; Kawai, Yoshio; Marusawa, Hiroshi; Yamazaki, Hitoshi; Abe, Yoshito; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 84 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

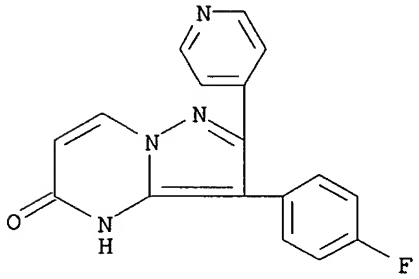
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 531901	A2	19930317	EP 1992-115154	19920902
EP 531901	A3	19930505		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5356897	A	19941018	US 1992-931093	19920817
ZA 9206417	A	19930315	ZA 1992-6417	19920825
AU 9222805	A1	19930311	AU 1992-22805	19920907
CA 2077732	AA	19930310	CA 1992-2077732	19920908
CN 1070404	A	19930331	CN 1992-110569	19920908
HU 65204	A2	19940502	HU 1992-2877	19920908
JP 06287188	A2	19941011	JP 1992-240454	19920909
JP 07088386	B4	19950927		
US 5478827	A	19951226	US 1994-269520	19940701
JP 07252256	A2	19951003	JP 1995-44698	19950306
US 5624931	A	19970429	US 1995-471175	19950606
PRIORITY APPLN. INFO.:			GB 1991-19267 A	19910909
			GB 1992-4464 A	19920302
			US 1992-931093 A3	19920817
			US 1994-269520 A3	19940701

OTHER SOURCE(S): MARPAT 119:203440
IT 148671-01-6P 148671-13-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inhibitor of interleukin-1 and tumor necrosis factor biosynthesis)

RN 148671-01-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-(4-fluorophenyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 148671-13-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-5(4H)-one, 3-(4-fluorophenyl)-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



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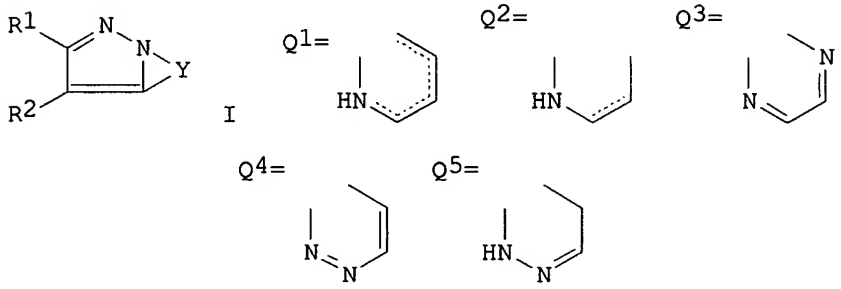


AB Title compds. [I; R1, R2 = (substituted) aryl, heterocyclyl; Y = (substituted) Q1-Q5], were prepared Thus, 5-amino-3-(4-fluorophenyl)-4-pyridin-4-ylpyrazole was refluxed with concentrate HCl and 1,1,3,3-tetramethoxypropane in EtOH to give 2-(4-fluorophenyl)-3-pyridin-4-ylpyrazolo[1,3-a]pyrimidine. This was refluxed with NaBH4 in EtOH to give 2-(4-fluorophenyl)-3-pyridin-4-yl-4,5,6,7-tetrahydropyrazolo[1,5-a]pyrimidine. This inhibited interleukin-1 and tumor necrosis factor (TNF) production by human peripheral blood monocytes with IC50's of 3.8 + 10-8 M and 1.16 + 10-7 M, resp.

L4 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:580816 CAPLUS
DOCUMENT NUMBER: 119:180816

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as pharmaceuticals

INVENTOR(S): Inoe, Makoto; Inai, Masatoshi; Tomoyasu, Takahiro; Hashimoto, Kinji

PATENT ASSIGNEE(S): Otsuka Pharma Co Ltd, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05125079	A2	19930521	JP 1991-288571	19911105

PRIORITY APPLN. INFO.: JP 1991-288571 19911105

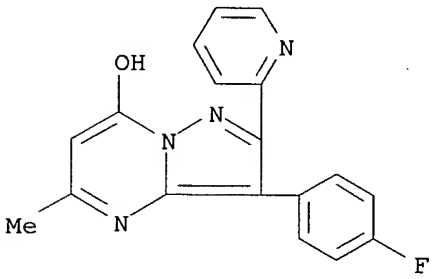
OTHER SOURCE(S): MARPAT 119:180816

IT 150130-99-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

RN 150130-99-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-ol, 3-(4-fluorophenyl)-5-methyl-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



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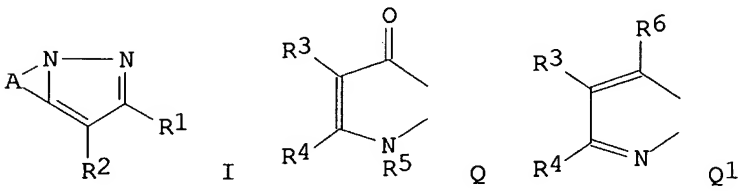


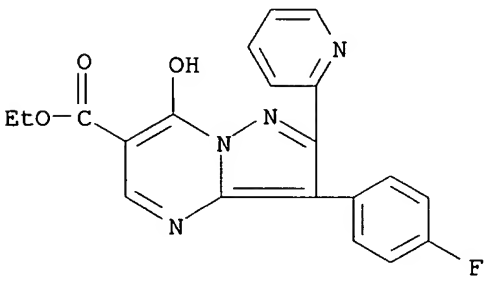
AB The title derivs. I [R1 = (lower alkyl)heterocycle; R2 = H, halophenyl; A = Q, Q1; R3, R4 = H, lower alkyl, cycloalkyl, halo-substituted lower alkyl, Ph, carboxyl, lower alkoxycarbonyl, halo; R3R4 may be bonded to form lower alkylene; R5 = lower alkyl, lower alkoxycarbonyl, BCOZ; B = lower alkylene; Z = di(lower alkyl)amino, 1-piperidinyl, 1-pyrrolidinyl; R6 = OH, lower alkyl; when R2 = halophenyl then R3 ≠ carboxy or lower alkoxycarbonyl], useful as antiinflammatories, antirheumatics, allergy inhibitors, antipyretics, and analgesics (no data), are prepared A solution of 36.8 g 2-cyanoacetyl-1-methylpyrrole and NH2NH2.H2O in isoamyl alc. was heated at 120° for 48 h to give 30.3 g 5-amino-3-[2-(1-methyl)pyrrolyl]pyrazole, 3.2 g of which was treated with

2.7 g MeCOCH2CO2Et in AcOH at 90° for 12 h to give 4.2 g 7-hydroxy-5-methyl-2-[2-(1-methyl)pyrrolyl]pyrazolo[1,5-a]pyrimidine. A total of 42 I were prepared

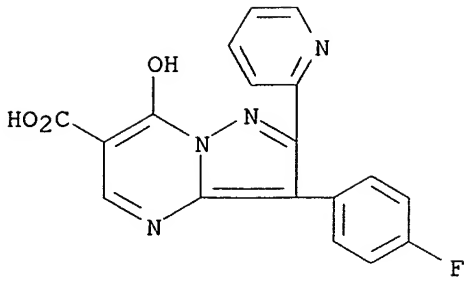
L4 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:472619 CAPLUS
DOCUMENT NUMBER: 119:72619
TITLE: Preparation of pyrazole and 4H-pyrazolo[1,5-a]pyrimidin-5-one derivatives as antiinflammatory, antirheumatic, antibacterial, and antiviral agents
INVENTOR(S): Hashimoto, Kinji; Tomoyasu, Takahiro; Inoe, Makoto; Inai, Masatoshi
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05017470	A2	19930126	JP 1991-219805	19910830
JP 2753659	B2	19980520		
PRIORITY APPLN. INFO.:			JP 1990-233622 A1	19900903
OTHER SOURCE(S):	MARPAT 119:72619			

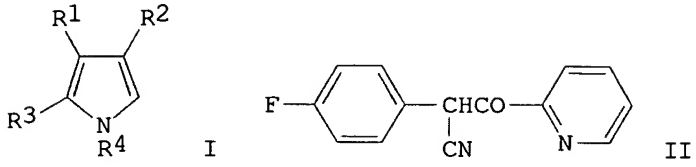
IT 148612-03-7P 148612-04-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antiinflammatory, antirheumatic, antibacterial, and antiviral agent)
RN 148612-03-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-(4-fluorophenyl)-7-hydroxy-2-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 148612-04-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-(4-fluorophenyl)-7-hydroxy-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; R1 = pyridyl, Ph optionally having 1-3 substituents selected from alkyl, alkoxy, alkylthio, haloalkyl, halo, Ph, PhS, or methylenedioxy; R2 = pyridyl, NHR5; R5 = H, alkyl, alkanoyl, CHO; R3 = pyridyl, R4 = H, alkanoyl, alkoxycarbonyl, phenylalkoxycarbonyl; or R3R4 = NHCO(CH2)n, N:NHC(CO2R6):COH; n = 1,2; R6 = H, alkyl] are prepared as antiinflammatory, antirheumatic, antibacterial, and antiviral agents (no data). Thus, condensation of 4-FC6H4CH2CN with Et picolinate in the presence of NaOMe in PhMe at 90° to a ketone nitrile (II) followed by cyclocondensation with N2H4.H2O at 90° gave I (R1 = 4-fluorophenyl, R2 = R4 = NH2, R3 = 2-pyridyl). A total of 39 I were prepared

L4 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:255119 CAPLUS
DOCUMENT NUMBER: 118:255119
TITLE: Preparation of pyrazolopyrimidine and pyrimidinyl bisphosphonic esters as antiinflammatories
INVENTOR(S): Nugent, Richard Allen; Schlachter, Stephen Thomas
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 521622	A1	19930107	EP 1992-305207	19920608
EP 521622	B1	19970813		
R: PT				
ZA 9204284	A	19931213	ZA 1992-4284	19920611
IL 102171	A1	19961114	IL 1992-102171	19920611
CA 2110167	AA	19930121	CA 1992-2110167	19920701
WO 9301198	A1	19930121	WO 1992-US5398	19920701
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE, BF, BJ,				

CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG

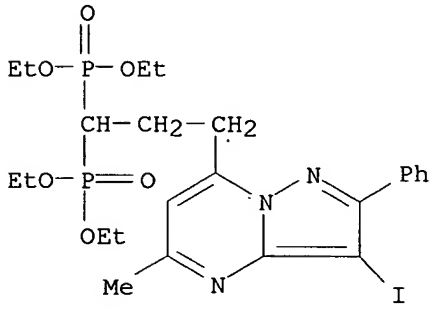
AU 9222408	A1	19930211	AU 1992-22408	19920701
AU 653428	B2	19940929		
EP 593575	A1	19940427	EP 1992-914301	19920701
EP 593575	B1	19970507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
SK 277986	B6	19950913	SK 1993-1504	19920701
HU 70758	A2	19951030	HU 1994-3	19920701
AT 152728	E	19970515	AT 1992-914301	19920701
RU 2079506	C1	19970520	RU 1993-58618	19920701
CN 1068332	A	19930127	CN 1992-105346	19920703
CN 1031826	B	19960522		
NO 9400009	A	19940109	NO 1994-9	19940103
PRIORITY APPLN. INFO.:			US 1991-725046 A	19910703
			US 1991-725047 A	19910703
			WO 1992-US5398 A	19920701

OTHER SOURCE(S): MARPAT 118:255119

IT 146777-99-3P 146778-00-9P 146778-01-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiinflammatory)

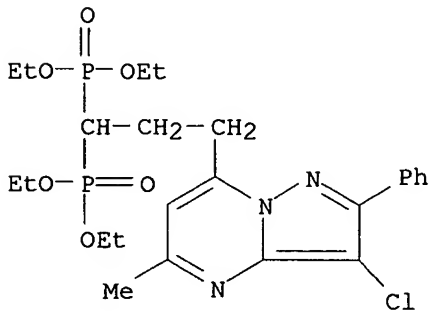
RN 146777-99-3 CAPLUS

CN Phosphonic acid, [3-(3-iodo-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)propylidene]bis-, tetraethyl ester (9CI) (CA INDEX NAME)



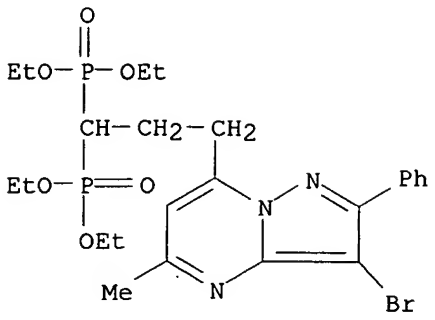
RN 146778-00-9 CAPLUS

CN Phosphonic acid, [3-(3-chloro-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)propylidene]bis-, tetraethyl ester (9CI) (CA INDEX NAME)

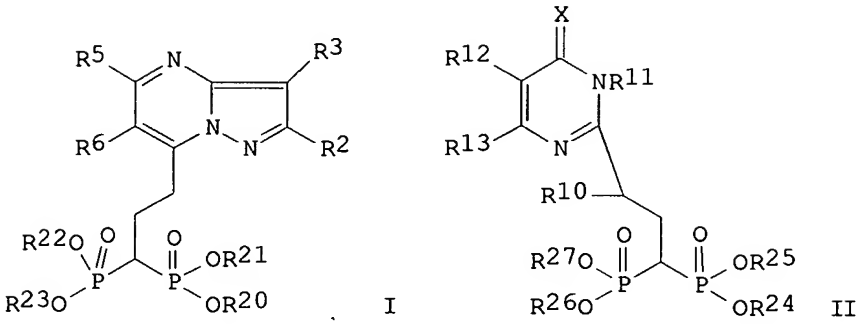


RN 146778-01-0 CAPLUS

CN Phosphonic acid, [3-(3-bromo-5-methyl-2-phenylpyrazolo[1,5-a]pyrimidin-7-yl)propylidene]bis-, tetraethyl ester (9CI) (CA INDEX NAME)



GI



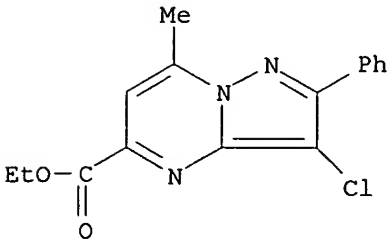
AB Title compds. [I and II; X = O, S; R2 = H, alkyl, PhCO2, PhCHO, alkoxy, PhO, cycloalkyl, (substituted) Ph, pyrimidinyl, pyridyl, naphthalenyl; R3 = H, cyano, CO2R20, COR2, CON(R5)2, halo, NO2, cyano, CF3, (cyclo)alkyl, Ph; R5 = H, (cyclo)alkyl; R6 = H, halo, alkyl; R10 = H, (cyclo)alkyl, (substituted) Ph; R11 = R10, allyl; R12 = H, alkyl, halo, NO2; R13 = R10, (substituted) pyridyl, naphthalenyl, R12R13 = atoms to form a 4-7 membered ring containing 1-3 N, 0-2 O, and 0-2 S atoms; R20-R27 = H, alkyl, PhCH2, (substituted) Ph; adjacent pairs of R20-R27 = CH2CH2, CH2CH2CH2, CH2CMe2CH2], were prepared Thus, 3-cyano-2,5,7-trimethyl-pyrazolo[1,5-a]pyrimidine in pyridine at 0° was treated with LiN(SiMe3)2 in THF and then with H2C:C[P(O)(OEt)2]2. The mixture was stirred at 22° to give tetraethyl [3-(3-cyano-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl)propylidene]bisphosphonate. I and II inhibited delayed type hypersensitivity granuloma in mice by 6-60% at 10 mpk orally.

L4 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:59666 CAPLUS
DOCUMENT NUMBER: 118:59666
TITLE: Unambiguous structure determination of some pyrazolo[1,5-α]pyrimidine derivatives by multinuclear NMR spectroscopy
AUTHOR(S): Chimichi, Stefano; Cosimelli, Barbara; Bruni, Fabrizio; Selleri, Silvia
CORPORATE SOURCE: Dip. Chim. Org., CNR, Florence, I-50121, Italy
SOURCE: Magnetic Resonance in Chemistry (1992), 30(11), 1117-21
CODEN: MRCHEG; ISSN: 0749-1581
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 72197-27-4 72197-31-0

RL: PRP (Properties)
(NMR of)

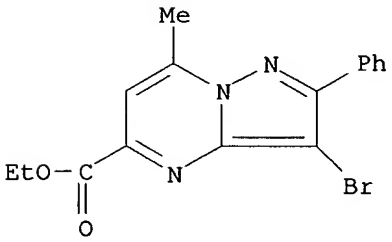
RN 72197-27-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-chloro-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

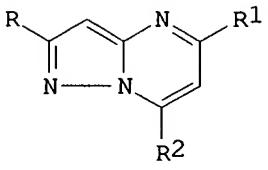


RN 72197-31-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-bromo-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



GI



II

AB The condensation of 3(5)-aminopyrazole and 5-amino-3-phenylpyrazole with Et 2,4-dioxopentanoate and 2-ethoxymethylidene-3-oxobutyrate (I) has been re-investigated. Contrary to previous reports, the former reaction gives rise to both regioisomeric pyrazolo[1,5-α]pyrimidines II (R = H, Ph, R1 = CO2Et, R2 = Me; R = H, Ph, R1 = Me, R2 = CO2Et), the structures of which were determined by 1H and 13C NMR spectroscopy. The 6-carbethoxy-7-methyl- regioisomer is shown to be the only product in the reaction of the same aminopyrazoles with 2-ethoxymethylidene-3-oxobutyrate; the regiochem. assignment was independently achieved by multinuclear (13C and 15N) NMR spectroscopy.

L4 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN

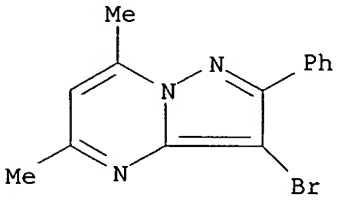
ACCESSION NUMBER: 1984:630487 CAPLUS

DOCUMENT NUMBER: 101:230487

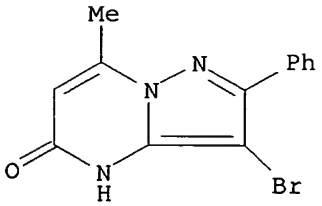
TITLE: Reactions with 5-aminopyrazoles. I. Synthesis of halogen-containing fused pyrazoles

AUTHOR(S): Hammouda, Hamdy A.; El-Barbary, Ahmed A.; Sharaf,

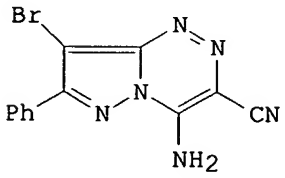
Mohie A. F.
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Cairo, Egypt
SOURCE: Journal of Heterocyclic Chemistry (1984), 21(4), 945-7
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 101:230487
IT 87119-68-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with potassium thiocyanate)
RN 87119-68-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-5,7-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



IT 93214-00-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 93214-00-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-5(4H)-one, 3-bromo-7-methyl-2-phenyl- (9CI) (CA INDEX NAME)



GI

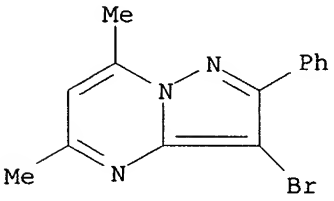


II

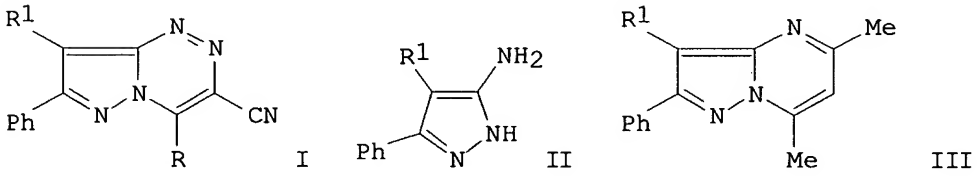
AB 5-Amino-3-phenylpyrazole and 5-amino-4-bromo-3-phenylpyrazole (I) reacted with Et acetoacetate and acetylacetone to give various pyrazolo[1,5-a]pyrimidines and with benzoins to give different fused pyrazoles, namely, imidazo[1,2-b]pyrazoles, pyrrolo[2,3-c]pyrazoles and pyrazolo[4,3-b][1,4]oxazines. Diazotized I was coupled with active methylene-containing nitriles, e.g., BrCH2CN to afford pyrazolotriazine II.

L4 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:68247 CAPLUS

DOCUMENT NUMBER: 100:68247
TITLE: Pyrimidine derivatives and related compounds, XI: Synthesis of some new mercaptopyrazolo[1,5-a]pyrimidines and mercaptopyrazolo[1,5-c]-as-triazines
AUTHOR(S): Elmoghayar, Mohamed R. H.; Ibrahim, Mohamed K. A.; El-Sakka, Ibrahim; Elghandour, Ahmed H. H.; Elnagdi, Mohamed H.
CORPORATE SOURCE: Fac. Sci., Cairo Univ., Giza, Egypt
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1983), 316(8), 697-702
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:68247
IT 87119-68-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with potassium thiocyanate)
RN 87119-68-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 3-bromo-5,7-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



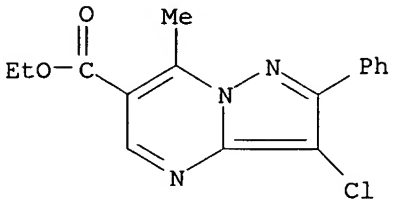
GI



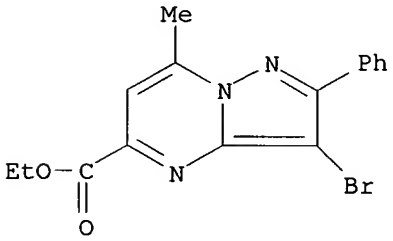
AB The pyrazolotriazines I (R = Ph, Me, CN; R1 = SH) were prepared by diazotizing the aminopyrazole II (R1 = SH) followed by cyclization of the resulting diazonium salt with RCH2CN. II (R1 = Br) similarly gave I (R = Ph, Me, CN; R1 = Br) which were treated with Na2S to give I (R1 = SH). II (R1 = Br) underwent cyclization with MeCOCH2COMe to give the pyrazolopyrimidine III (R1 = Br), which was treated with KSCN to III (R1 = SCN). I and III were potential antischistomal agents.

L4 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1981:525870 CAPLUS
DOCUMENT NUMBER: 95:125870
TITLE: Further investigations on the antiinflammatory activity of some 2-phenylpyrazolo[1,5-a]pyrimidine compounds
AUTHOR(S): Pirisino, R.; Mangano, G.; Ceppatelli, P.; Corrias, M.; Ignesti, G.; Carla, V.; Pecori Vettori, L.
CORPORATE SOURCE: Dep. Pharmacol., Univ. Florence, Italy
SOURCE: Farmaco, Edizione Scientifica (1981), 36(8), 682-91
CODEN: FRPSAX; ISSN: 0430-0920

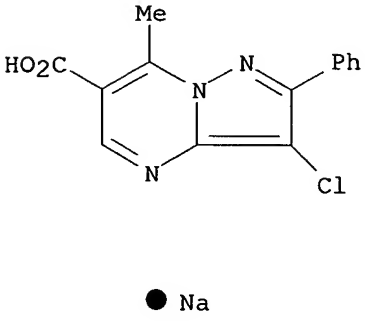
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 72197-29-6 72197-31-0 72265-30-6
72265-31-7
RL: BIOL (Biological study)
(antiinflammatory and antipyretic and analgesic activities of, structure in relation to)
RN 72197-29-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-chloro-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 72197-31-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-bromo-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

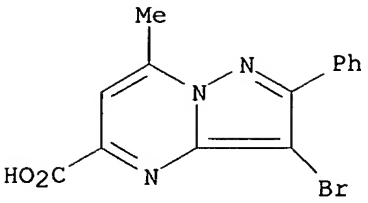


RN 72265-30-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-chloro-7-methyl-2-phenyl-, sodium salt (9CI) (CA INDEX NAME)



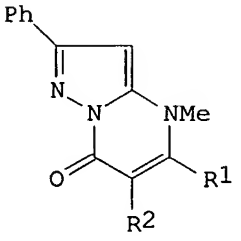
● Na

RN 72265-31-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-bromo-7-methyl-2-phenyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

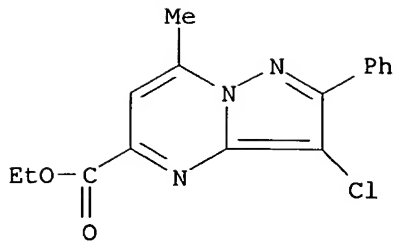
GI



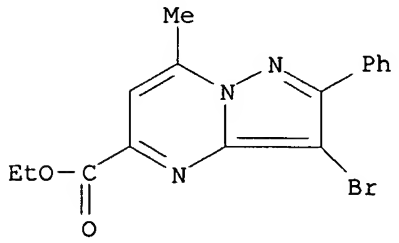
I, R^1=R^2=H
II, R^1=H, R^2=Me
III, R^1=Me, R^2=H

AB Twenty-six title compds. were tested in vitro for prostaglandin synthetase [9055-65-6]-inhibiting activity and in vivo for antiinflammatory activity; I [77494-10-1], II [27232-23-1], and III [65774-88-1] had significant antiinflammatory activities and were also tested for in vivo antipyretic and analgesic activities. I and II had greater analgesic activities than aspirin or phenylbutazone in vivo; the order of potency for the 3 tested derivs. was I > II > III. None of the compds. had toxic side effects, except for hypothermia in the rat. Derivs. of I were the most active compds.; however, 5- or 6-Me substitution of I generally reduced activity.

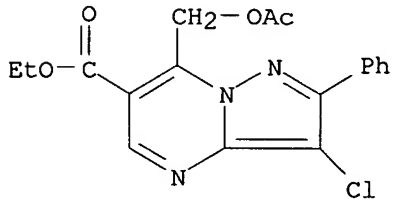
L4 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:22459 CAPLUS
DOCUMENT NUMBER: 92:22459
TITLE: Reaction of some pyrazolo[1,5-a]pyrimidines with sodium borohydride
AUTHOR(S): Auzzi, G.; Cecchi, L.; Costanzo, A.; Vettori, L. Pecori; Bruni, F.
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Firenze, Florence, Italy
SOURCE: Farmaco, Edizione Scientifica (1979), 34(9), 751-8
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Italian
OTHER SOURCE(S): CASREACT 92:22459
IT 72197-27-4 72197-31-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(attempted reduction of, by sodium borohydride in methanol)
RN 72197-27-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-chloro-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



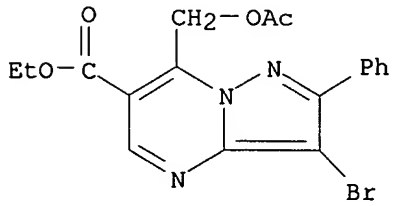
RN 72197-31-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-bromo-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



IT 72197-43-4P 72197-44-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of, by sodium borohydride)
RN 72197-43-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(acetyloxy)methyl]-3-chloro-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

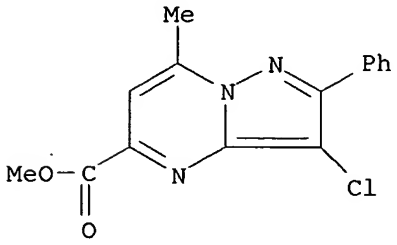


RN 72197-44-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(acetyloxy)methyl]-3-bromo-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

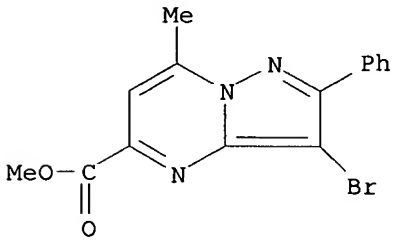


IT 72197-52-5P 72197-53-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

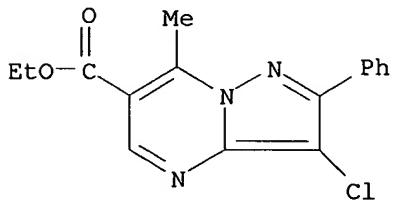
RN 72197-52-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-chloro-7-methyl-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)



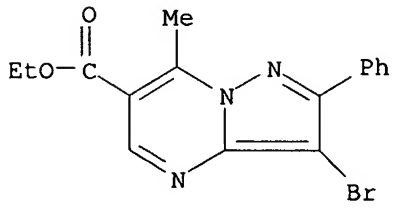
RN 72197-53-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-bromo-7-methyl-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)



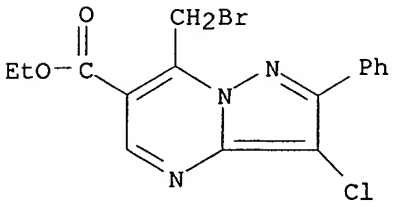
IT 72197-29-6 72197-33-2 72197-37-6
72209-05-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of, by sodium borohydride)
RN 72197-29-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-chloro-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



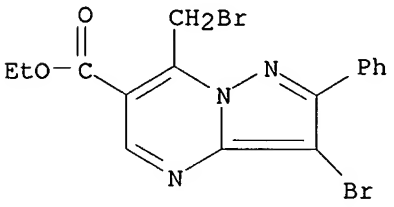
RN 72197-33-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-bromo-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



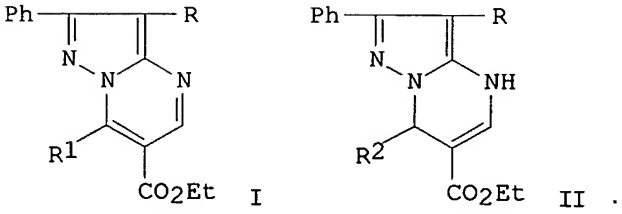
RN 72197-37-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-(bromomethyl)-3-chloro-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 72209-05-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-bromo-7-(bromomethyl)-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



GI

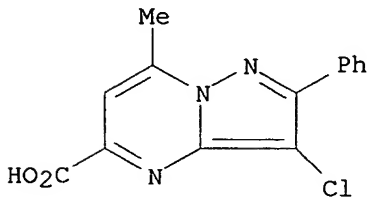


AB Title compds. I (R = H, Cl, Br; R1 = CH2I, CH2Br, Me) were treated with NaBH4 to yield dihydro compds. II (R2 = Me). I (R1 = CH2I, CH2Br) were converted to I (R1 = CH2OAc), and reaction of the products with NaBH4 gave II (R2 = CH2OH). The various products are useful in pharmaceuticals (no data).

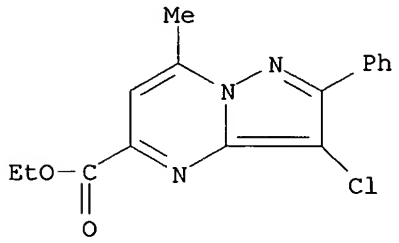
L4 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:22458 CAPLUS
DOCUMENT NUMBER: 92:22458
TITLE: Halogenation of some pyrazolo[1,5-a]pyrimidine derivatives
AUTHOR(S): Auzzi, G.; Cecchi, L.; Costanzo, A.; Vettori, L. Pecori; Bruni, F.
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Firenze, Florence, Italy
SOURCE: Farmaco, Edizione Scientifica (1979), 34(9), 743-50
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Italian
OTHER SOURCE(S): CASREACT 92:22458
IT 72197-26-3P 72197-27-4P 72197-28-5P

72197-29-6P 72197-30-9P 72197-31-0P
72197-32-1P 72197-33-2P 72197-34-3P
72197-35-4P 72197-36-5P 72197-37-6P
72197-38-7P 72197-39-8P 72197-40-1P
72209-05-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

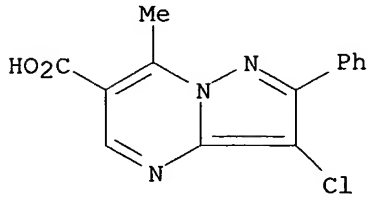
RN 72197-26-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-chloro-7-methyl-2-phenyl- (9CI) (CA INDEX NAME)



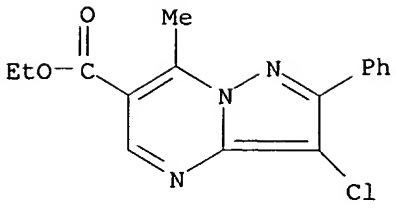
RN 72197-27-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-chloro-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



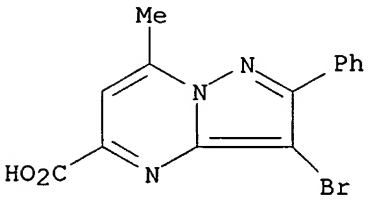
RN 72197-28-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-chloro-7-methyl-2-phenyl- (9CI) (CA INDEX NAME)



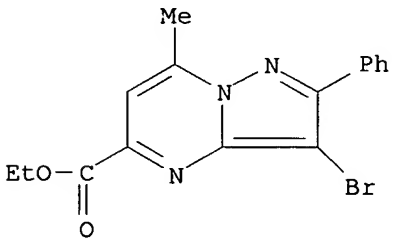
RN 72197-29-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-chloro-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



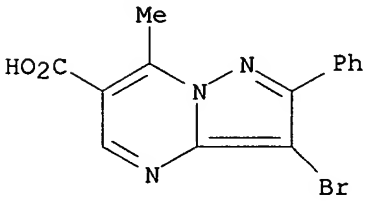
RN 72197-30-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-bromo-7-methyl-2-phenyl- (9CI) (CA INDEX NAME)



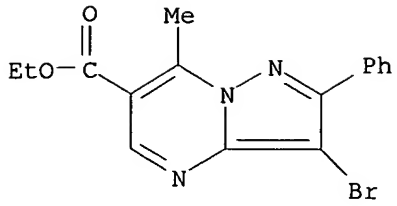
RN 72197-31-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-bromo-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



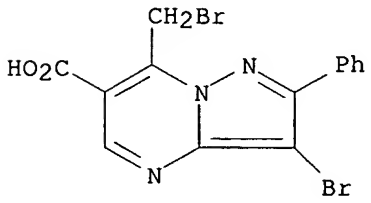
RN 72197-32-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-bromo-7-methyl-2-phenyl- (9CI) (CA INDEX NAME)



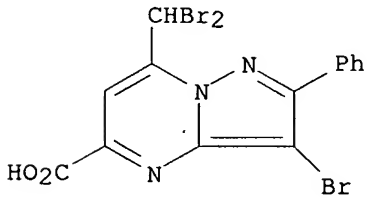
RN 72197-33-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-bromo-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



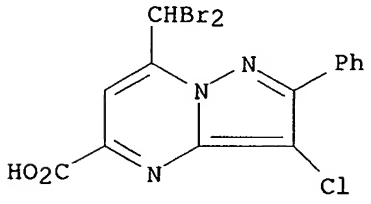
RN 72197-34-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-bromo-7-(bromomethyl)-2-phenyl- (9CI) (CA INDEX NAME)



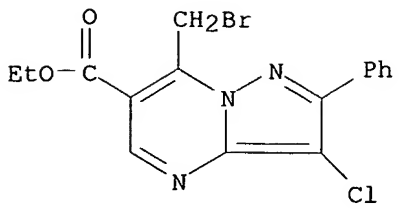
RN 72197-35-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-bromo-7-(dibromomethyl)-2-phenyl- (9CI) (CA INDEX NAME)



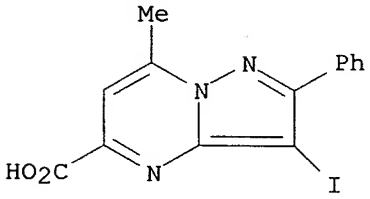
RN 72197-36-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-chloro-7-(dibromomethyl)-2-phenyl- (9CI) (CA INDEX NAME)



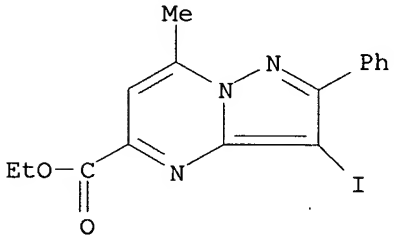
RN 72197-37-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-(bromomethyl)-3-chloro-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



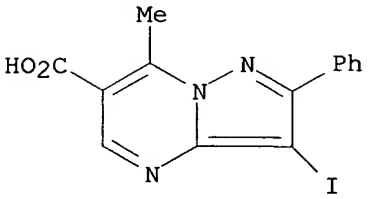
RN 72197-38-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-iodo-7-methyl-2-phenyl- (9CI) (CA INDEX NAME)



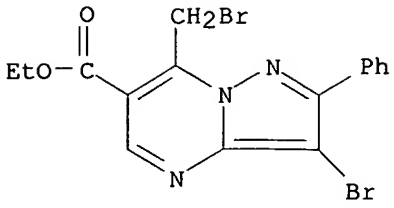
RN 72197-39-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-iodo-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



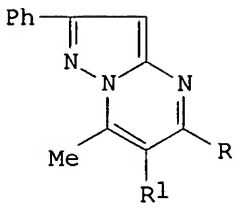
RN 72197-40-1 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-iodo-7-methyl-2-phenyl- (9CI) (CA INDEX NAME)



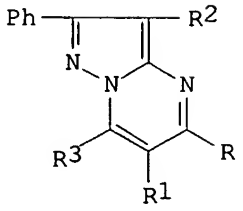
RN 72209-05-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-bromo-7-(bromomethyl)-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



GI



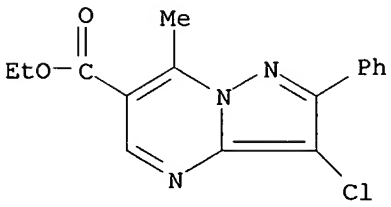
I



II

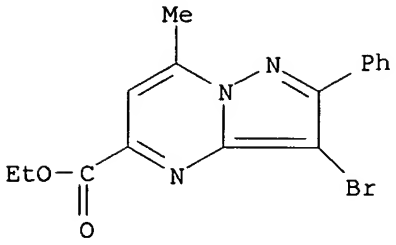
AB The treatment of I (R = CO2H, CO2Et, and R1 = H; R = H, and R1 = CO2H CO2Et) with N-halosuccinimides gave the resp. II (R2 = Br, Cl; R3 = Me). I and Br gave II (R2 = Br; R3 = CHBr2, Me, CH2Br). II (R = R2 = H, R1 = CO2Et, R3 = CH2I) and three II (R2 = iodo, R3 = Me) were obtained by the reaction of I with ICl. The various products are useful as antipyretics and in lowering body temperature (no data).

L4 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:15229 CAPLUS
DOCUMENT NUMBER: 92:15229
TITLE: Pharmacological activity of some pyrazolo[1,5-a]pyrimidines
AUTHOR(S): Pirisino, R.; Vettori, L. Pecori
CORPORATE SOURCE: Dep. Pharmacol., Univ. Florence, Florence, Italy
SOURCE: Farmaco, Edizione Scientifica (1979), 34(9), 802-7
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 72197-29-6 72197-31-0 72265-30-6
72265-31-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)
RN 72197-29-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-chloro-7-methyl-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

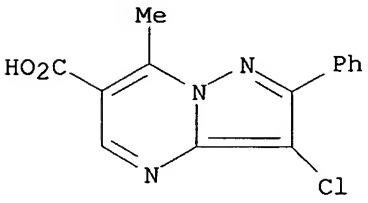


RN 72197-31-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-bromo-7-methyl-2-phenyl-,

ethyl ester (9CI) (CA INDEX NAME)

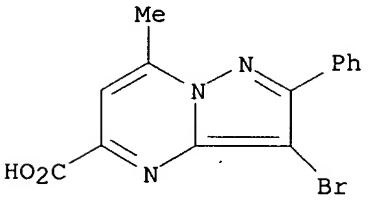


RN 72265-30-6 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 3-chloro-7-methyl-2-phenyl-, sodium salt (9CI) (CA INDEX NAME)



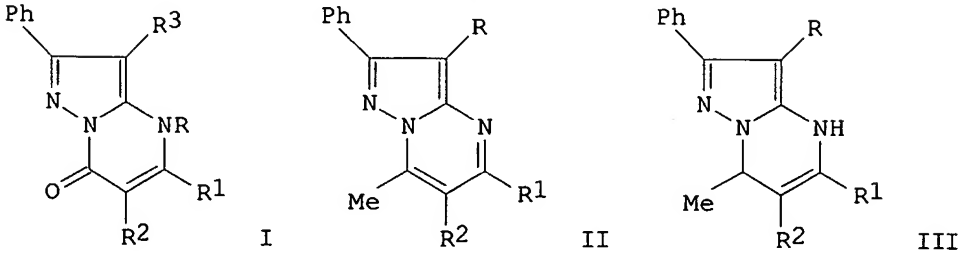
● Na

RN 72265-31-7 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-5-carboxylic acid, 3-bromo-7-methyl-2-phenyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

GI



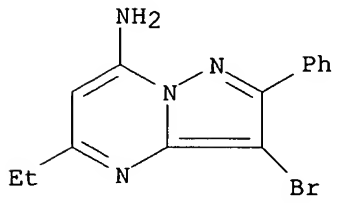
I II III

AB The title compds. I (R = H, Me; R1 = H, Me, CO2H, or CO2Et; R2 = H, Me, or CH2CO2Et; R3 = H or NO), II (R = H, Br, Cl; R1 = R2 = H, CO2Na, or CO2Et), and III (R = R1 = H; R2 = CO2Et) were evaluated in vitro as inhibitors of liver mitochondrial monoamine oxidase [9001-66-5] and prostaglandin synthetase [9055-65-6] activity. II and III were also evaluated in vivo for their hypothermic effect in rats. All compds. were weak inhibitors of monoamine oxidase. Compds. with a keto group in position 7 were more inhibitory to prostaglandin synthetase.

L4 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:10957 CAPLUS
DOCUMENT NUMBER: 66:10957
TITLE: 3-Halo-7-aminopyrazolo[1,5-a]pyrimidine derivatives
INVENTOR(S): Takamizawa, Akira; Hamashima, Yoshio
PATENT ASSIGNEE(S): Shionogi and Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 2 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 41015583	B4	19660902	JP	19631101

IT 13300-97-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 13300-97-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine, 7-amino-3-bromo-5-ethyl-2-phenyl- (8CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.
AB Manufacture of I, useful as antipyretic and antiinflammatory agents, was described. In an example, a mixture of 1 g. 3-amino-4-bromo-5-methylpyrazole and 0.465 g. 3-iminobutyronitrile is dissolved in 20 ml. MeOH, 3 ml. concentrated HCl is dropped in, the whole refluxed 5 hrs. and concentrated in vacuo, the residue dissolved in H2O, the solution neutralized with K2CO3, and the precipitate recrystd. (Me2CO) to give 1.3 g. I (R1 = R2 = Me), m. 236°, hydrochloride m. 235° (decomposition) (MeOH). Similarly prepared are the following I (R1, R2, appearance, m.p., and m.p. of the hydrochloride given): H, Me, 198° (Me2CO), 262°; H, Et, 144-5° (CHCl3), -; Ph, Et, 200°, -.

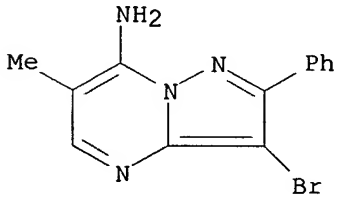
L4 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:29698 CAPLUS
DOCUMENT NUMBER: 62:29698
ORIGINAL REFERENCE NO.: 62:5276b-f
TITLE: Pyrazole derivatives. VII. C-Alkyl- and C-bromo-7-amino-pyrazolo[1,5-a]pyrimidines

AUTHOR(S): Takamizawa, Akira; Hamashima, Yoshio
CORPORATE SOURCE: Shionogi Co., Osaka, Japan
SOURCE: Yakugaku Zasshi (1964), 84(11), 1113-18
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

IT 1780-70-7, Pyrazolo[1,5-a]pyrimidine, 7-amino-3-bromo-6-methyl-2-phenyl- 1780-71-8, Pyrazolo[1,5-a]pyrimidine, 7-amino-3-bromo-6-methyl-2-phenyl-, hydrobromide
(preparation of)

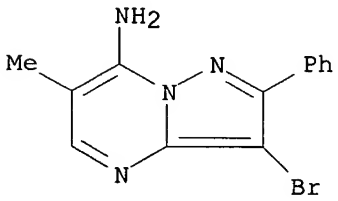
RN 1780-70-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 7-amino-3-bromo-6-methyl-2-phenyl- (7CI, 8CI) (CA INDEX NAME)



RN 1780-71-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine, 7-amino-3-bromo-6-methyl-2-phenyl-, hydrobromide (7CI, 8CI) (CA INDEX NAME)



●x HBr

GI For diagram(s), see printed CA Issue.

AB cf. CA 59, 15282a. Na (17.3 g.) is dissolved in 900 ml. liquid NH3, a small amount of Fe(NO3)3 added, then a mixture of 33 g. AcOEt and 62.3 g. Me(CH2)3CN is dropped in, the whole kept 30 min. at -40 to -50°, NH3 removed under addition of Et2O, iced H2O added, the whole neutralized with 50% H2SO4, and extracted with Et2O to give 74.2% RCOCHR'CN (I) (R = Me, R' = Pr), b3 62-4°. Similarly prepared are the following I (R, R', b.p./mm., and % yield given): Me, Et, 55°/3, 65.8; Et, Me, 104°/34, 37.0; Ph, H, --, 83; Ph, Pr, 130°/0.7, 71.2. Refluxing I with N2H4.H2O gives the following II (R1, R2, m.p. picrate, and % yield given): Et, Me, 207°, 71.2; Ph, H, 200-1°, 82.5; Me, Ph (HCl salt m. 197-8°), 89.5; Ph, Pr, 162-3°, 85.3. Refluxing II with R3COCHR4CN gives the corresponding III (R1, R2, R3, R4, m.p. and % yield given): H, H, Et, Me, 185-6°, 64.7; Me, H, Ph, H, 241-2°, 70; Me, H, Ph, Me (HCl salt m. 276°), 58.0; Me, H, Ph, Pr (HCl salt m. 240-2°), 70; Me, Me, Ph, H, 198-9° (HCl salt m. 278-80°), 81.8; Me, Me, Me, Ph, 193-6° (HCl salt m. 293°), 96.2; Et, Me, Me, Ph, 189-91° (HCl salt m. 265-6°), 100; Ph, H, H, Me (HCl salt m. 280°), 71; Ph, H, Me, Me (HCl salt m. 300°), 79; Me, Ph, H, Me, 180-2° (HCl salt m. 267°), 63.0; Me, Ph, Me, Me (HCl salt m. 276-80°),

--, 80.2; Me, Ph, Ph, Me, 273-4°, 80.5. Also are prepared IV (R1, R2, R3, m.p., and % yield given): H, Me, H, 198° (HCl salt m. 262°), 46.8; H, Me, Me, 242°, 57.3; Me, Me, H, 229° (HBr salt m. 243°), 55.2; Me, Me, Me, 252°, 55.7; Me, Ph, H (HBr salt m. 270°), 76.7; Ph, H, Me, 253° (HBr salt m. 237°), 63. V (R, m.p., and yield given): H, 137°, 92.5; Me, 122°, 86.5; Ph, 116° 63.8. Some of the resulting pyrazolopyrimidines exhibit antipyretic, analgesic, and antiinflammatory activities.

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	194.64	361.79

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-28.50	-28.50

STN INTERNATIONAL LOGOFF AT 14:53:51 ON 24 AUG 2006

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Connecting via Winsock to STN


Welcome to STN International!  Enter x:x

LOGINID:ssptasxm1624

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2


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 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  FEB 27   New STN AnaVist pricing effective March 1, 2006
 NEWS  4  APR 04   STN AnaVist $500 visualization usage credit offered
 NEWS  5  MAY 10   CA/CAplus enhanced with 1900-1906 U.S. patent records
 NEWS  6  MAY 11   KOREAPAT updates resume
 NEWS  7  MAY 19   Derwent World Patents Index to be reloaded and enhanced
 NEWS  8  MAY 30   IPC 8 Rolled-up Core codes added to CA/CAplus and
                   USPATFULL/USPAT2
 NEWS  9  MAY 30   The F-Term thesaurus is now available in CA/CAplus
 NEWS 10  JUN 02   The first reclassification of IPC codes now complete in
                   INPADOC
 NEWS 11  JUN 26   TULSA/TULSA2 reloaded and enhanced with new search and
                   and display fields
 NEWS 12  JUN 28   Price changes in full-text patent databases EPFULL and PCTFULL
 NEWS 13  JUl 11   CHEMSAFE reloaded and enhanced
 NEWS 14  JUl 14   FSTA enhanced with Japanese patents
 NEWS 15  JUl 19   Coverage of Research Disclosure reinstated in DWPI
 NEWS 16  AUG 09   INSPEC enhanced with 1898-1968 archive

 NEWS EXPRESS  JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
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 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 16:16:36 ON 24 AUG 2006

=> fil reg
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                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21
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FILE 'REGISTRY' ENTERED AT 16:16:49 ON 24 AUG 2006

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

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DICTIONARY FILE UPDATES: 23 AUG 2006 HIGHEST RN 904004-64-4

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http://www.cas.org/ONLINE/UG/regprops.html

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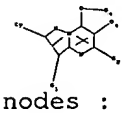
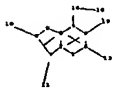
Uploading C:\Program Files\Stnexp\Queries\10762959a.str





chain nodes :
10 11 13
ring nodes :
1 2 3 4 5 6 7 8 9 16 18 19
chain bonds :
6-13 7-11 8-10
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-16 5-6 5-19 7-8 8-9 16-18 18-19
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 4-16 5-6 5-19 6-13 7-8 7-11 8-9 8-10
16-18 18-19

G1:Cl,Br,F,I,Cb

G2:C,H,O,Cl,Br,F,I

G3:C,O,S,N

G4:C,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 13:CLASS 16:Atom 18:Atom 19:Atom

L1 STRUCTURE UPLOADED

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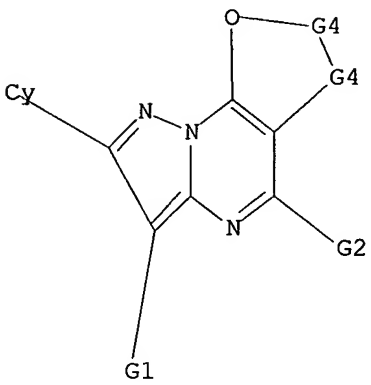
L1 HAS NO ANSWERS
L1 STR



G1 Cl,Br,F,I,Cb

G2 C,H,O,Cl,Br,F,I

G3 C,O,S,N

G4 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 16:17:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 33 TO ITERATE

100.0% PROCESSED 33 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 316 TO 1004
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 16:17:16 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 738 TO ITERATE

100.0% PROCESSED 738 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 166.94 167.15

FILE 'CAPLUS' ENTERED AT 16:17:23 ON 24 AUG 2006

FILE COVERS 1907 - 24 Aug 2006 VOL 145 ISS 9
FILE LAST UPDATED: 23 Aug 2006 (20060823/ED)

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=> s l3
L4 1 L3

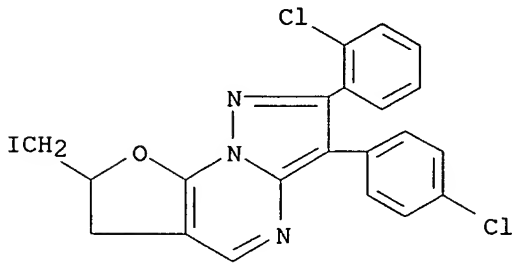
=> d l4 ibib hitstr abs 1

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:654772 CAPLUS
DOCUMENT NUMBER: 141:190798
TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as cannabinoid receptor ligands
INVENTOR(S): Griffith, David A.
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 67 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

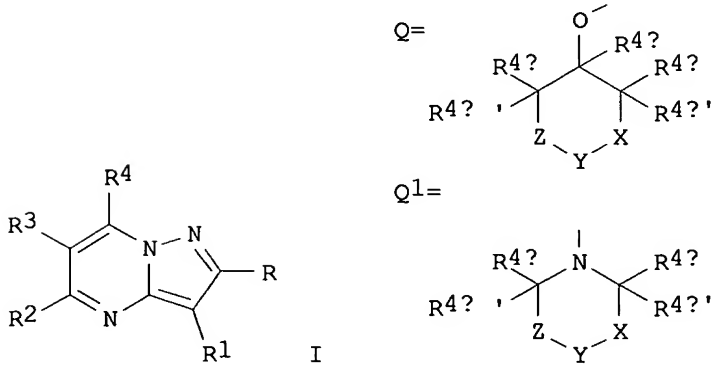
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004157838	A1	20040812	US 2004-762959		20040121
CA 2515596	AA	20040819	CA 2004-2515596		20040128
WO 2004069838	A1	20040819	WO 2004-IB286		20040128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1594872	A1	20051116	EP 2004-705862		20040128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2004007305	A	20060207	BR 2004-7305		20040128
JP 2006517220	T2	20060720	JP 2006-502398		20040128
PRIORITY APPLN. INFO.:			US 2003-446450P	P	20030210
			WO 2004-IB286	W	20040128

OTHER SOURCE(S): MARPAT 141:190798

IT 737828-18-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolo[1,5-a]pyrimidine derivs. as cannabinoid receptor ligands (antagonists) for treating diseases mediated by cannabinoid receptors)
RN 737828-18-1 CAPLUS
CN Furo[3,2-e]pyrazolo[1,5-a]pyrimidine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-6,7-dihydro-7-(iodomethyl)- (9CI) (CA INDEX NAME)



GI



AB Compds. of formula (I) [wherein R, R1 = each (un)substituted aryl or heteroaryl; R2, R3 = H, halo, C1-4alkyl, halo-C1-4 alkyl, C1-4 alkoxy; R4 = Q, Q1, OR5 (where R5 taken together with R3 forms a 5- to 6-membered partially saturated heterocyclic ring optionally containing an addnl. oxygen, or a
5-membered heteroaryl, said heterocyclic ring and said heteroaryl being optionally substituted with one or more substituents); R4a = H, C1-3 alkyl; R4b, R4b', R4f, R4f'= H, cyano, HO, NH2, CONH2, C1-6 alkyl, C1-6 alkoxy, acyloxy, acyl, C1-3 alkoxycarbonyl, mono- or di(C1-4 alkyl)carbamoyl, mono- or di(C1-6 alkyl)amino, C3-6 cycloalkylamino, acylamino, aryl(C1-4 alkyl)amino, heteroaryl(C1-4 alkyl)amino, aryl, heteroaryl, each (un)substituted and partially or fully saturated 3-6 membered heterocycle or carbocyclic ring; or either R4b or R4b' taken together with R4e, R4e', R4f, or R4f' forms a bond, a methylene bridge, or an ethylene bridge; X, Z = a bond, (un)substituted CH2CH2; Y = O, S, CO, each (un)substituted CH2CH2 or NH] or pharmaceutically acceptable salt thereof, prodrugs of said compds. or said salts, or solvates or hydrates of said compds., said salts or said prodrugs are prepared These compds. act as

cannabinoid receptor ligands and are useful for treating disease, condition or disorder modulated by a cannabinoid receptor antagonist which is selected from the group consisting of weight loss, obesity, bulimia, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related behaviors, alcoholism, tobacco abuse, dementia, seizure disorders, epilepsy, attention deficit disorder, Parkinson's disease, inflammation, gastrointestinal disorders, and type II diabetes. Thus, 1-[2-(2-chlorophenyl)-3-iodopyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide (90 mg, 0.17 mmol) was coupled with 4-chlorophenylboronic acid (41 mg, 0.26 mmol) in ethanol (2 mL), toluene (2 mL) and 2 M aqueous Na2CO3 (1 mL) in the presence of tetrakis(triphenylphosphine)palladium (27 mg, 0.023 mmol) at 80° for 1 h to give 1-[3-(4-Chlorophenyl)-2-(2-chlorophenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide (62 mg, 72%).

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---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.57	172.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.75	-0.75

STN INTERNATIONAL LOGOFF AT 16:17:49 ON 24 AUG 2006